

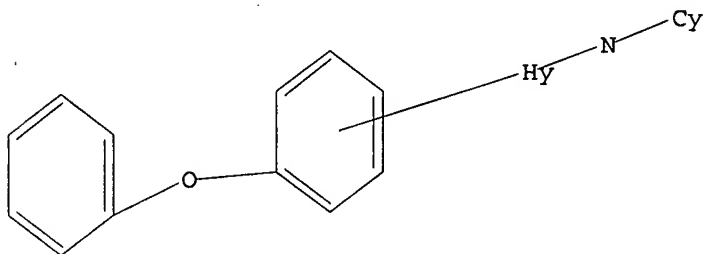
FILE 'REGISTRY' ENTERED AT 09:12:12 ON 19 DEC 2007
L26 STRUCTURE UPLOADED
L27 14 S L26 SAM SUB=L6
L28 506 S L26 SSS FULL SUB=L6
L29 1077 S L6 NOT L28

FILE 'STNGUIDE' ENTERED AT 09:14:12 ON 19 DEC 2007

FILE 'CAPLUS' ENTERED AT 09:14:23 ON 19 DEC 2007
L30 105 S L29

FILE 'REGISTRY' ENTERED AT 09:14:47 ON 19 DEC 2007

=> d l26
L26 HAS NO ANSWERS
L26 STR



Structure attributes must be viewed using STN Express query preparation.

=> d his

(FILE 'HOME' ENTERED AT 08:23:53 ON 19 DEC 2007)

FILE 'REGISTRY' ENTERED AT 08:23:59 ON 19 DEC 2007

L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 STRUCTURE UPLOADED
L4 993942 S NCSC2/ES
L5 50 S L1 SAM SUB=L4
L6 1583 S L1 SSS FULL SUB=L4
L7 40 S L2 SAM SUB=L6
L8 1101 S L2 SSS FULL SUB=L6
L9 197 S L3 SSS FULL SUB=L6
L10 1163 S L8 OR L9
SAV TEM L6 BRD576830/A
SAV TEM L10 NAR576830/A

FILE 'STNGUIDE' ENTERED AT 08:26:31 ON 19 DEC 2007

FILE 'REGISTRY' ENTERED AT 08:28:44 ON 19 DEC 2007

L11 STRUCTURE UPLOADED
L12 STRUCTURE UPLOADED
L13 2 S L11 SAM SUB=L10
L14 5 S L12 SAM SUB=L10
L15 14 S L11 SSS FULL SUB=L10
L16 32 S L12 SSS FULL SUB=L10
L17 43 S L15 OR L16
SAV TEM L17 NA2576830/A

FILE 'CAPLUS' ENTERED AT 08:30:22 ON 19 DEC 2007

L18 18 S L17

FILE 'STNGUIDE' ENTERED AT 08:30:43 ON 19 DEC 2007

FILE 'CAPLUS' ENTERED AT 08:31:19 ON 19 DEC 2007

L19 1 S US200!-576830/APPS
L20 1 S L18 AND L19

FILE 'REGISTRY' ENTERED AT 08:31:37 ON 19 DEC 2007

L21 STRUCTURE UPLOADED
L22 1 S L21 SAM SUB=L10
L23 14 S L21 SSS FULL SUB=L10

FILE 'CAPLUS' ENTERED AT 08:32:29 ON 19 DEC 2007

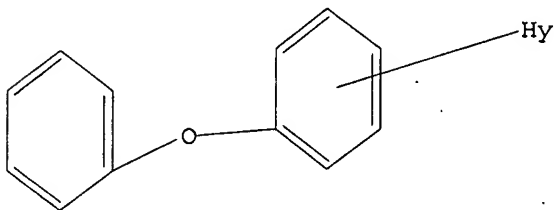
L24 4 S L23
L25 3 S L24 NOT L19

FILE 'REGISTRY' ENTERED AT 08:33:07 ON 19 DEC 2007

=> d l1

L1 HAS NO ANSWERS

L1 STR

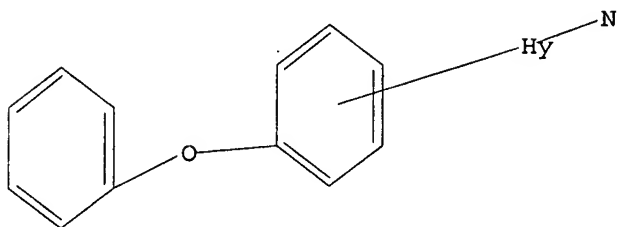


Structure attributes must be viewed using STN Express query preparation.

=> d 12

L2 HAS NO ANSWERS

L2 STR

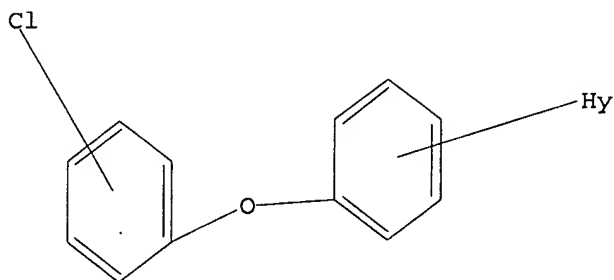


Structure attributes must be viewed using STN Express query preparation.

=> d 13

L3 HAS NO ANSWERS

L3 STR

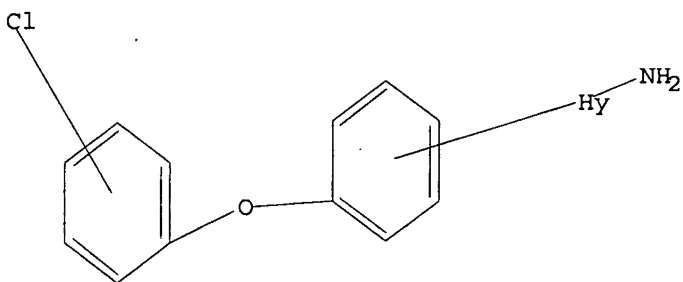


Structure attributes must be viewed using STN Express query preparation.

=> d 111

L11 HAS NO ANSWERS

L11 STR

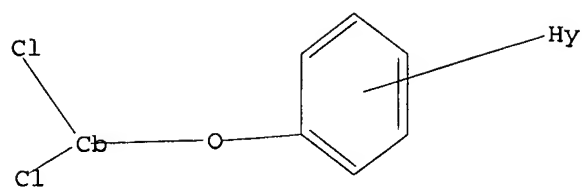


Structure attributes must be viewed using STN Express query preparation.

=> d 112

L12 HAS NO ANSWERS

L12 STR

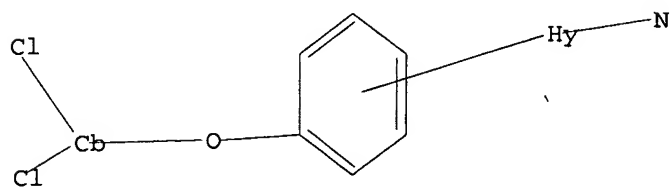


Structure attributes must be viewed using STN Express query preparation.

=> d 121

L21 HAS NO ANSWERS

L21 STR



Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\Program Files\Stnexp\Queries\10576830-broad.str

L1 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10576830-narrow-1.str

L2 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10576830-narrow-2.str

L3 STRUCTURE UPLOADED

=>
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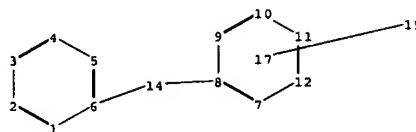
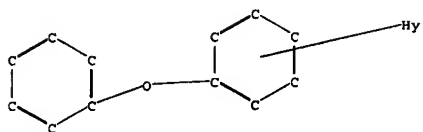
L11 STRUCTURE UPLOADED

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L12 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\10576830-narrow-5.str

L21 STRUCTURE UPLOADED



chain nodes :

14 15

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

6-14 8-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

6-14 8-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 14:CLASS 15:Atom 17:Atom

Generic attributes :

15:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : 2 or more

Type of Ring System : Monocyclic

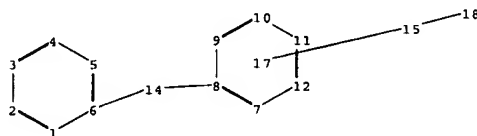
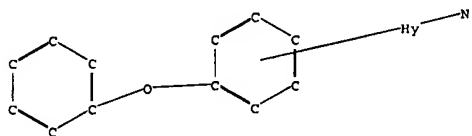
Element Count :

Node 15: Limited

C,C3

S,S1

N,N1



chain nodes :

14 15 18

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

6-14 8-14 15-18

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

6-14 8-14 15-18

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 14:CLASS 15:Atom 17:Atom 18:CLASS

Generic attributes :

15:
Saturation : Unsaturated
Number of Carbon Atoms : less than 7
Number of Hetero Atoms : 2 or more
Type of Ring System : Monocyclic

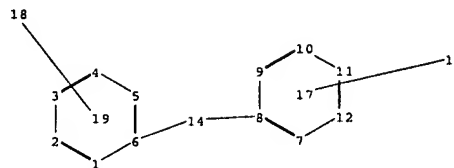
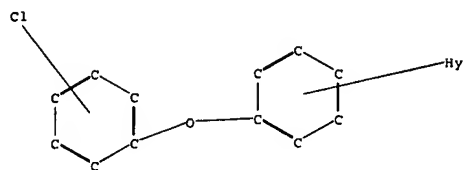
Element Count :

Node 15: Limited

C,C3

S,S1

N,N1



chain nodes :

14 15 18

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

6-14 8-14

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

6-14 8-14

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 14:CLASS 15:Atom 17:Atom 18:CLASS 19:Atom

Generic attributes :

15:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : 2 or more

Type of Ring System : Monocyclic

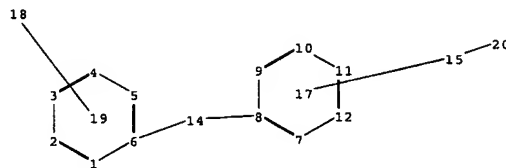
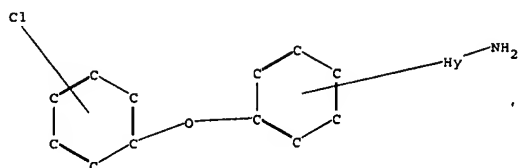
Element Count :

Node 15: Limited

C,C3

S,S1

N,N1



chain nodes :

14 15 18 20

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12

chain bonds :

6-14 8-14 15-20

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

exact/norm bonds :

6-14 8-14 15-20

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12

isolated ring systems :

containing 1 : 7 :

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:Atom 14:CLASS 15:Atom 17:Atom 18:CLASS 19:Atom 20:CLASS

Generic attributes :

15:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : 2 or more

Type of Ring System : Monocyclic

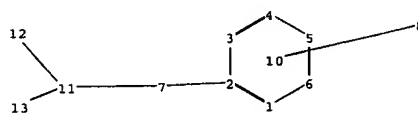
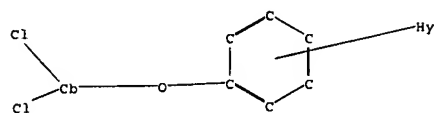
Element Count :

Node 15: Limited

C,C3

S,S1

N,N1



chain nodes :

7 8 11 12 13

ring nodes :

1 2 3 4 5 6

chain bonds :

2-7 7-11 11-12 11-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

2-7

exact bonds :

7-11 11-12 11-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 10:Atom 11:Atom
12:CLASS 13:CLASS

Generic attributes :

8:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : 2 or more

Type of Ring System : Monocyclic

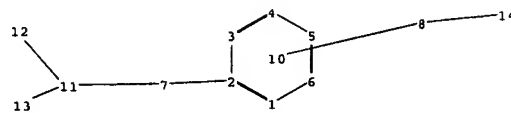
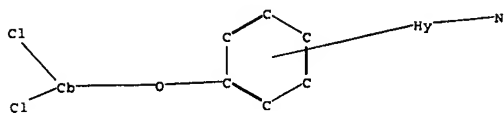
Element Count :

Node 8: Limited

C,C3

S,S1

N,N1



chain nodes :

7 8 11 12 13 14

ring nodes :

1 2 3 4 5 6

chain bonds :

2-7 7-11 8-14 11-12 11-13

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6

exact/norm bonds :

2-7 8-14

exact bonds :

7-11 11-12 11-13

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 10:Atom 11:Atom .
12:CLASS 13:CLASS 14:CLASS

Generic attributes :

8:

Saturation : Unsaturated

Number of Carbon Atoms : less than 7

Number of Hetero Atoms : 2 or more

Type of Ring System : Monocyclic

Element Count :

Node 8: Limited

C,C3

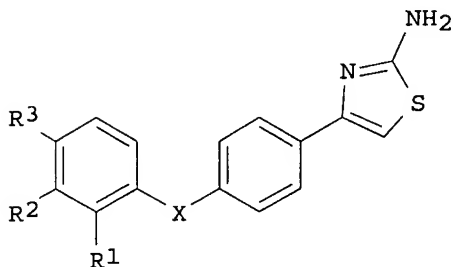
S,S1

N,N1

=> d 119 bib abs

L19 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:426430 CAPLUS
DN 142:482037
TI Preparation of substituted 4-aryloxy and 4-arylsulfanyl-phenyl-2-aminothiazoles as inhibitors of cell proliferation
IN Gorczynski, Michael J.; Bushweller, John H.; Brown, Milton L.
PA University of Virginia Patent Foundation, USA
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005044263	A1	20050519	WO 2004-US35586	20041027
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2007082934	A1	20070412	US 2006-576830	20060424 <--
PRAI	US 2003-514678P	P	20031027		
	WO 2004-US35586	W	20041027		
OS	CASREACT 142:482037; MARPAT 142:482037				
GI					

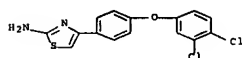


I

AB The invention discloses compds. which are substituted 4-aryloxy and 4-arylsulfanyl-phenyl-2-aminothiazoles (shown as I; X = O, S, and NH; and R1, R2, and R3 = H, halo, (C1-C4)alkyl, (C1-C4)alkoxy, aryl, -O-aryl and (CO)OR4; and R4 is H or (C1-C4)alkyl; e.g. [4-[4-(3,4-dichlorophenoxy)phenyl]thiazol-2-yl]ammonium iodide (II)) with anti-cancer activity. The invention further discloses methods of preparing compds. of the invention. For example, II was prepared (75 %) from thiourea, iodine and 4'-(3,4-dichlorophenoxy)acetophenone in EtOH. The invention also discloses methods of inhibiting cell proliferation and tumor growth in a subject by administering compds. of the invention to the subject. Pharmaceutical compns. containing the aminothiazoles and a kit for administering the aminothiazoles are also claimed.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

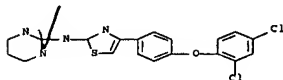
ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
 RN 2004:151244 CAPLUS Full-text
 DN 140:368073
 TI Synthesis and evaluation of substituted 4-aryloxy- and 4-arylsulfanyl-phenyl-2-aminothiazoles as inhibitors of human breast cancer cell proliferation
 AU Gorczynski, Michael J.; Leal, Rachel M.; Mooberry, Susan L.; Bushweller, John H.; Brown, Milton L.
 CS Department of Chemistry, University of Virginia, Charlottesville, VA, 22904, USA
 SO Bioorganic & Medicinal Chemistry (2004), 12(5), 1029-1036
 CODEN: BMECEP; ISSN: 0968-0896
 PB Elsevier Ltd.
 DT Journal
 LA English
 OS CASREACT 140:368073
 AB Several substituted 4-aryloxy- and 4-arylsulfanyl-phenyl-2-aminothiazoles were synthesized and evaluated for cytotoxic activity against estrogen-pos., estrogen-neg., and adriamycin-resistant human breast cancer cell lines. 4-[4-(3,4-dichlorophenoxy)-phenyl]-thiazol-2-yl ammonium iodide demonstrated potent activity against both estrogen-pos. and neg. breast cancer cell lines with low micromolar (μM) GI50 values. In addition, we have identified several 2-aminothiazoles that demonstrated selective potency for the adriamycin-resistant and estrogen-neg. breast cancer cell lines. The results suggest that these 2-aminothiazoles represent lead compds. for evaluation in animal models of breast cancer.
 IT 684255-35-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 {synthesis and structure-activity relationship studies of substituted 4-aryloxy- and 4-arylsulfanyl-Ph-2-aminothiazoles as inhibitors of human breast cancer cell proliferation}
 RN 684255-35-4 CAPLUS
 CN 2-Thiazolamine, 4-[4-(3,4-dichlorophenoxy)phenyl]-, monohydriodide (9CI) (CA INDEX NAME)



● HI

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

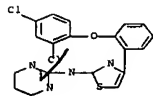
ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
 RN 1990:567366 CAPLUS Full-text
 DN 113:167366
 TI Substituted 2-aminothiazoles as fungicides
 IN Ippen, Joachim; Baasner, Bernd; Marhold, Albrecht; Kysela, Ernst; Dutzmann, Stefan; Reinecke, Paul



● x HBr

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

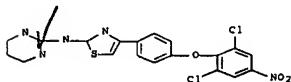
RN 129675-09-8 CAPLUS
 CN 2-Pyrimidinamine, N-[4-[2-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)



● x HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 129695-19-8 CAPLUS
 CN 2-Pyrimidinamine, N-[4-[2-(2,6-dichloro-4-nitrophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, hydrochloride (9CI) (CA INDEX NAME)



● x HCl

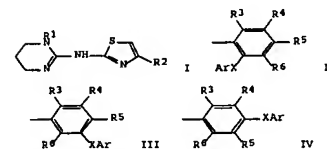
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 129735-34-8 CAPLUS
 CN 2-Pyrimidinamine, N-[4-[2-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, methanesulfonate (9CI) (CA INDEX NAME)

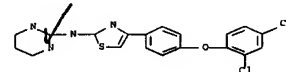
CM 1

CRN 129639-92-5

PA Bayer A.-G., Germany
 SO Ger. Offen., 78 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1
 PATENT NO. KIND DATE APPLICATION NO. DATE
 PI DE 3836161 A1 19900426 DE 1988-3836161 19881024
 PRAI DE 1988-3836161 19881024
 OS CASREACT 113:167366; MARPAT 113:167366
 GI



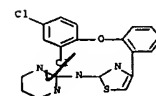
AB Substituted 2-aminothiazoles (I, R1 = H, alkyl; R2 = II, III, IV; R3, R4, R5, R6 = H, alkyl, alkoxy, alkoxy-carbonyl, alkylthio, alkylsulfanyl, alkylsulfonyle, halo, NO2, etc.; X = O, S, SO, SO2; Ar = substituted or unsubstituted alkyl or their addition salts and tautomeric compds.) are fungicides. Thus, spray application of I (R1 = H; R2 = C6H4OPh-4) at 0.025% by weight to wheat in greenhouse expts. gave complete protection against *Leptosphaeria nodorum*.
 IT 129639-88-9P 129675-08-7P 129675-09-8P 129695-19-8P 129735-34-8P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as fungicide)
 RN 129639-88-9 CAPLUS
 CN 2-Pyrimidinamine, N-[4-[4-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 129675-08-7 CAPLUS
 CN 2-Pyrimidinamine, N-[4-[4-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, hydrobromide (9CI) (CA INDEX NAME)

CMF C19 H16 C12 N4 O S



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 75-75-2
 CMF C H4 O3 S



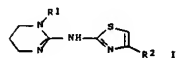
ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
 RN 1990:552458 CAPLUS Full-text

DN 113:152458
 TI Preparation of 2-(2-tetrahydropyrimidinyl)aminothiazoles as antimycotics
 IN Ippen, Joachim; Baasner, Bernd; Marhold, Albrecht; Kysela, Ernst; Schaller, Klaus; Von Bittera, Miklos
 PA Bayer A.-G., Germany
 SO Ger. Offen., 81 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN.CNT 1
 PATENT NO. KIND DATE APPLICATION NO. DATE
 PI DE 3839758 A1 19900426 DE 1988-3839758 19881125
 EP 365915 A2 19900502 EP 1989-118839 19891011
 EP 365915 A3 19900829
 EP 365915 B1 19940420
 R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE
 US 4956370 A 19900911 US 1989-419981 19891011
 AT 104669 T 19940515 AT 1989-118839 19891011
 ES 2051954 T3 19940701 ES 1989-118839 19891011
 CA 2001167 A1 19900426 CA 1989-2001167 19891020
 CA 2001167 C 19991123
 AU 8943633 A 19900426 AU 1989-43633 19891023
 AU 622227 B2 19920402
 JP 02164879 A 19900625 JP 1989-273932 19891023
 JP 06037494 B 19940518

10576830-102

5 of 8

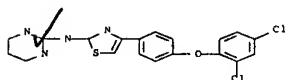
PRAI DE 1988-3836167 A1 19881024
 DE 1988-3839758 A 19881125
 EP 1989-118839 A 19891011
 OS CASREACT 113:152458; MARPAT 113:152458
 GI



AB The title compds. [I; R1 = H, alkyl; R2 = Ph optionally substituted by o-, m-, or p-Xar and by 1-4 halo, NO2, alkyl(thio), alkoxy(carbonyl), dialkylamino, etc.; Ar = (un)substituted Ph; X = O, S, SO, SO2] and their physiologically compatible acid addition salts, were prepared by cyclocondensation of N-(2-tetrahydropyrimidinyl)thioureas with o-haloacetophenones. Thus, a mixture of N-(1,4,5,6-tetrahydropyrimidinyl)thiourea and 2-(2,4-dimethylphenoxy)phenacyl chloride was refluxed 2 h in Me2CO to give 91.4% title compound I [R1 = H, R2 = C6H4(OC6H3Me2-2,4)-2]. Another I [R1 = H, R2 = C6H4(OPh)-2], tested in vitro as a phosphate salt, inhibited *Candida albicans* with a MIC of 4 µg/mL vs. 16 µg/mL for a HCl salt of a known fungicide [I; R1 = H, R2 = C6H3Cl2-2,4].

IT 129639-88-9 SP 129639 88 SP 129639 88-9
 129639 88-9 SP 129639 88-9
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as antimycotic)

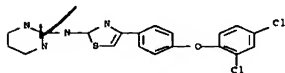
RN 129639-87-8 CAPLUS
 CN 2-Pyrimidinamine, N-[4-[2-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

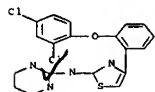
ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE
 RN 129639-88-9 CAPLUS

CN 2-Pyrimidinamine, N-[4-[2-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro- (CA INDEX NAME)



10576830-102

7 of 8



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

CM 2

CRN 75-75-2

CMP C H4 O3 S



=> fil stng
 COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

SINCE FILE ENTRY TOTAL
 16.28 406.18

SINCE FILE ENTRY TOTAL
 -2.34 -2.34

FILE 'STNGUIDE' ENTERED AT 08:35:20 ON 19 DEC 2007
 USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT
 COPYRIGHT (C) 2007 AMERICAN CHEMICAL SOCIETY (ACS)

FILE CONTAINS CURRENT INFORMATION.
 LAST RELOADED: Dec 18, 2007 (20071218/UP).

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 COST IN U.S. DOLLARS

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

CA SUBSCRIBER PRICE

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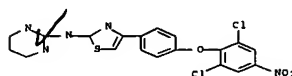
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10576830-102

6 of 8

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

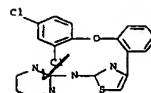
RN 129639-89-0 CAPLUS
 CN 2-Pyrimidinamine, N-[4-[2-(2,6-dichloro-4-nitrophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 129639-90-3 CAPLUS
 CN 2-Pyrimidinamine, N-[4-[2-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 129639-93-6 CAPLUS
 CN 2-Pyrimidinamine, N-[4-[2-(2,4-dichlorophenoxy)phenyl]-2-thiazolyl]-1,4,5,6-tetrahydro-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

CRN 129639-92-5

CMP C19 H16 Cl2 N4 O S

10576830-102

8 of 8

STN INTERNATIONAL SESSION SUSPENDED AT 08:35:59 ON 19 DEC 2007

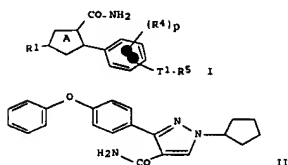
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L31 104 L30 NOT L19

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DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N:y

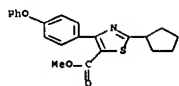
L31 ANSWER 1 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:1176019 CAPLUS [Full-text](#)

DN 147:448778
TI Preparation of thiazoles, imidazoles, and pyrazoles useful as inhibitors of protein kinases for disease treatment
IN Jimenez, Juan-Miguel; Knegetel, Ronald; Robinson, Daniel; Collier, Philip
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 71pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007117692	A2	20071018	WO 2007-US8819	20070411
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRAI US 2006-791083P	P	20060411		
OS MARPAT 147:448778				
GI				



II



L31 ANSWER 2 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:1145598 CAPLUS [Full-text](#)

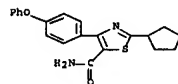
DN 147:449083
TI Preparation of pyrrolopyridines and thiazolopyridines, particularly N-[(4-hydroxy-1H-pyrrolo[2,3-c]pyridin-5-yl)carbonyl]glycine and N-[(7-hydroxythiazolo[4,5-c]pyridin-6-yl)carbonyl]glycine derivatives, as hypoxia inducible factor hydroxylase modulators
IN Deng, Shaojiang; Wu, Min; Turtle, Eric D.; Ho, Wen-Bin; Arend, Michael P.; Cheng, Heng; Flippin, Lee A.
PA Fibrogen, Inc., USA
SO PCT Int. Appl., 210pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007115315	A2	20071011	WO 2007-US65987	20070404
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRAI US 2006-789310P	P	20060404		
OS MARPAT 147:449083				
GI				

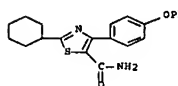
AB The present invention relates to compds. of general formula I (wherein the A ring is thiazole, imidazole, or pyrazole; R1 is a 3-7 membered monocyclic cycloalkyl optionally substituted; R4 is H, C1-6alkyl, C3-6cycloalkyl, etc.; T1 is a C1-6 aliphatic chain wherein 0-3 methylene units are optionally replaced with -O-, -S-, etc.; R5 is a (un)substituted 5-10 membered aromatic ring containing 0-4 heteroatoms; p is 0-4) useful as inhibitors of protein kinase. The invention also relates to pharmaceutically acceptable compns. comprising said compds. and methods of using the compds. and the compns. in the treatment of various disease, conditions, or disorders. The invention also relates to processes for preparing compds. of the inventions. Example compound II was prepared by converting tert-Bu 1-cyclopentyl-3-(4-phenoxyphe-nyl)-1H-pyrazole-4-carboxylate (preparation given) to the carboxamide. In a Lck inhibition assay, II had a Ki value of 100-500 nM.
IT 952330-70-0P, 2-Cyclopentyl-4-(4-phenoxyphe-nyl)thiazole-5-carboxamide 952330-74-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(Drug candidate; preparation of thiazoles, imidazoles, and pyrazoles useful as inhibitors of protein kinases for disease treatment)

RN 952330-70-0 CAPLUS
CN 5-Thiazolecarboxamide, 2-cyclopentyl-4-(4-phenoxyphe-nyl)- (CA INDEX NAME)

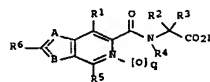


RN 952330-74-4 CAPLUS
CN 5-Thiazolecarboxamide, 2-cyclohexyl-4-(4-phenoxyphe-nyl)- (CA INDEX NAME)

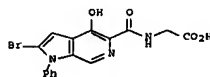


IT 952330-63-6P, Methyl 2-cyclopentyl-4-(4-phenoxyphe-nyl)thiazole-5-carboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazoles, imidazoles, and pyrazoles useful as inhibitors of protein kinases for disease treatment)

RN 952330-68-6 CAPLUS
CN 5-Thiazolecarboxylic acid, 2-cyclopentyl-4-(4-phenoxyphe-nyl)-, methyl ester (CA INDEX NAME)



I

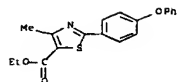


II

AB The invention is related to compds. I [q = 0-1; A, B = independently CR7, NH and derivs., N, S, provided that at least one of the following is present: A = CR7 and B = NH and derivs.; A = S and B = N; A = N and B = S; A = NH and derivs. and B = CR7; when the bond between A and CR6 is double, the bond between B and CR6 is single and vice-versa; R1 = OH, (un)substituted alkoxyaryloxy, alkylthio, etc.; R2 = H, D, Me; R3 = H, D, (un)substituted alkyl; R4 = H, (un)substituted alkyl; R5, R6 = independently H, halo, CN, OH, (un)substituted heteroaryl, acyl, etc.; or when A or B = CH and derivs., then R6CCR7 = (un)substituted cycloalkenyl, (hetero)aryl] and their pharmaceutically acceptable salts, stereoisomers, esters and prodrugs that modulate the stability and/or activity of hypoxia inducible factor (HIF). Thus, arylation of 2-methyl-1H-pyrrolo-3-carboxylic acid Et ester (preparation given) with iodobenzene, bromination with NBS, treatment of 5-bromo-2-bromomethyl-1-phenyl-1H-pyrrolo-3-carboxylic acid Et ester with (tert-butoxycarbonyl)amino)acetic acid Et ester in the presence of NaH in DMF, cyclization in the presence of potassium tert-butoxide in THF/cleavage of tert-butoxycarbonyl group/aromatization (no data for protected tetrahydropyrrolopyridine intermediate), and reaction of the ester with glycine in the presence of NaOMe in methanol gave pyrrolopyridine II. I were active in at least one of the cell-based HIFa stabilization assay, cell-based VEGF and erythropoietin (EPO) ELISA assay, and HIF-PH assay (no data). I are useful for treating, preventing or delaying onset of a condition mediated at least in part by HIF or by EPO.

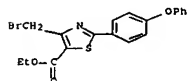
IT 952335-23-3P, 4-Methyl-2-(4-phenoxyphe-nyl)thiazole-5-carboxylic acid ethyl ester 952335-24-3P, 4-Bromomethyl-2-(4-phenoxyphe-nyl)thiazole-5-carboxylic acid ethyl ester 952335-25-4P, 4-[[[2,4-Dimethoxybenzyl]([ethoxycarbonyl)methyl]amino]methyl]-2-(4-phenoxyphe-nyl)thiazole-5-carboxylic acid ethyl ester
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of [(pyrrolo[2,3-c]pyridin-5-yl)carbonyl]glycines and [(thiazolo[4,5-c]pyridin-6-yl)carbonyl]glycines as hypoxia inducible factor hydroxylase modulators)

RN 952335-23-2 CAPLUS
CN 5-Thiazolecarboxylic acid, 4-methyl-2-(4-phenoxyphe-nyl)-, ethyl ester (CA INDEX NAME)



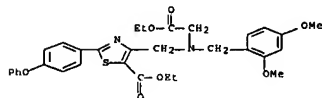
RN 952395-24-3 CAPLUS

CN 5-Thiazolecarboxylic acid, 4-(4-bromomethyl)-2-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)



RN 952395-25-4 CAPLUS

CN 5-Thiazolecarboxylic acid, 4-[[[(2,4-dimethoxyphenyl)methyl](2-ethoxy-2-oxoethyl)amino]methyl]-2-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)



LJ1 ANSWER 3 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:963933 CAPLUS [Full-text](#)

DN 147:322708

TI Preparation of biaryls compounds, such as hydroxy- and alkoxybiphenyls and diphenyl ethers as inhibitors of 17 β -hydroxysteroid dehydrogenase

IN Vicker, Nigel; Allan, Gillian Margaret; Lawrence, Marshani Ritma Ruchiranani; Day, Joanna Mary; Purohit, Atul; Reed, Michael John; Potter, Barry Victor Lloyd

PA Sterix Limited, UK

SO PCT Int. Appl., 187pp.

CODEN: PXXD2

DT Patent

LA English

PAN: CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
147:322708				

LJ1 ANSWER 4 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2007:938960 CAPLUS [Full-text](#)

DN 147:449366

TI Synthesis and characterization of novel polyimides derived from 2-amino-5-[4-(4'-aminophenoxy)phenyl]-thiazole with some of dianhydride monomers

AU Zhao, Xin; Li, Yan-Feng; Zhang, Shu-Jiang; Shao, Yu; Wang, Xiao-Long
CS State Key Laboratory of Applied Organic Chemistry, College of Chemistry and Chemical Engineering, Institute of Biochemical Engineering and Environmental Technology, Lanzhou University, Lanzhou, 730000, Peop. Rep. China

SO Polymer (2007), 48(18), 5241-5249

CODEN: POLMAG; ISSN: 0032-3861

PE Elsevier Ltd.

DT Journal

LA English

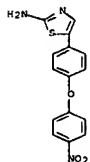
AB A new kind of aromatic unsym. diamine monomer containing thiazole ring, 2-amino-5-[4-(4'-aminophenoxy)phenyl]-thiazole (APPT), was synthesized. A series of novel polyimides were prepared by polycondensation of APPT with various aromatic dianhydrides via one-step process. The resulting polyimides held inherent viscosities of 0.40-0.71 dL/g and were easily dissolved in strong dipolar solvents. Meanwhile, strong and flexible polyimide films were obtained, which had thermal stability with the glass transition temps. (T_g) of 268.2-328.8 °C in nitrogen, the temperature at 5% weight loss of 452-507 °C in nitrogen and 422-458 °C in air, and the residue at 800 °C of 54.18-63.33% in nitrogen, as well as exhibited outstanding mech. properties with the tensile strengths of 105.4-125.3 MPa, elongation at breakage of 6-13%. These films also held dielec. consts. of 3.01-3.18 (10 MHz) and showed predominantly amorphous revealed by wide-angle X-ray diffraction measurements.

IT [Full-text](#)
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate in monomer synthesis; synthesis and characterization of novel polyimides derived from asym. thiazole moiety-containing diamines and dianhydride comonomers)

RN 952421-13-5 CAPLUS

CN 2-Thiazolamine, 5-[4-(4-nitrophenoxy)phenyl]- (CA INDEX NAME)



IT 952421-14-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (monomer; synthesis and characterization of novel polyimides derived

PI WO 2007096647 A2 20070830 WO 2007-GB655 20070226

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

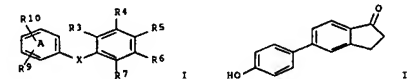
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PRA1 GB 2006-3894 A 20060227

GB 2006-15464 A 20060803

OS MARPAT 147:322708

GI



AB Title compds. I [ring A = (un)substituted (hetero)aryl; X = bond or linker group; at least one of R3-7 = substituted acyl; CN, -CH=N-O-alkyl, -CH=N-OH, alkylheterocycle, alkenylheterocycle, alkylheteroaryl, alkenylheteroaryl, heteroaryl, etc.; or R3-7 together with another of R3-7 forms a (hetero)cyclol ring; R9 = alkyl or halo; R10 = OH, oxyhydrocarbyl, -OSO2NH2, etc.], and their pharmaceutically acceptable salts, are prepared and disclosed as inhibitors of 17 β -hydroxysteroid dehydrogenase (17 β -HSD). Thus, Suzuki coupling reaction of 5-bromoindan-1-one with (4-benzoyloxyphenyl)boronic acid to generate 5-(4-(benzyloxy)phenyl)indan-1-one which undergoes hydrolysis provided II. Select compds. of the invention were evaluated for their inhibitory activity on 17 β -HSD (type 1), e.g., II exhibited > 80% inhibition at the concentration of 10 μ M.

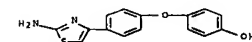
IT 947543-35-2F, 4-[4-(2-Aminothiazol-4-yl)phenoxy]phenol

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of biaryls compds., such as hydroxy- and alkoxybiphenyls and biphenyl ethers as inhibitors of 17 β -hydroxysteroid dehydrogenase)

RN 947548-39-2 CAPLUS

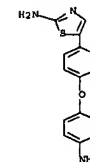
CN Phenol, 4-[4-(2-amino-4-thiazolyl)phenoxy]- (CA INDEX NAME)



from asym. thiazole moiety-containing diamines and dianhydride comonomers)

RN 952421-14-6 CAPLUS

CN 2-Thiazolamine, 5-[4-(4-aminophenoxy)phenyl]- (CA INDEX NAME)



IT 952421-15-7P 952421-16-8P 952421-17-9P

952421-18-0F

RL: PREP (Properties); SPN (Synthetic preparation); PREP (Preparation)
 (synthesis and characterization of novel polyimides derived from asym. thiazole moiety-containing diamines and dianhydride comonomers)

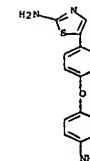
RN 952421-15-7 CAPLUS

CN 1,3-Isobenzofurandione, 5,5'-[2,2,2-trifluoro-1-(trifluoromethyl)ethylidene]bis-, polymer with 5-[4-(4-aminophenoxy)phenyl]-2-thiazolamine (CA INDEX NAME)

CM 1

CRN 952421-14-6

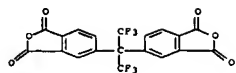
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CM 2

CRN 1107-00-2

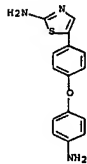
CMF C19 H6 F6 O6



RN 952421-16-8 CAPLUS
CN 1,3-Isobenzofurandione, 5,5'-oxybis-, polymer with 5-[4-(4-aminophenoxy)phenyl]-2-thiazolamine (CA INDEX NAME)

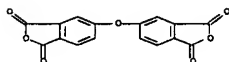
CM 1

CRN 952421-14-6
CMP C15 H13 N3 O 5



CM 2

CRN 1823-59-2
CMP C16 H6 O7



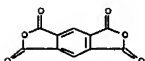
RN 952421-17-9 CAPLUS
CN 1,3-Isobenzofurandione, 5,5'-carbonylbis-, polymer with 5-[4-(4-aminophenoxy)phenyl]-2-thiazolamine (CA INDEX NAME)

CM 1

CRN 952421-14-6
CMP C15 H13 N3 O 5

CM 2

CRN 89-32-7
CMP C10 H2 O6



RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 5 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:932937 CAPLUS [Full-text](#)

DN 147:301160

TI Preparation of thiophene and thiazole substituted trifluoroethanone derivatives as histone deacetylase (HDAC) inhibitors.

IN Ferrigno, Federica; Jones, Philip; Muraglia, Ester; Ontario Ontario, Jesus Maria; Scarpelli, Rita; Schultz-Pademrech, Carsten

PA Istituto di Ricerche di Biologia Molecolare P. Angeletti SpA, Italy

SO PCT Int. Appl., 70pp.

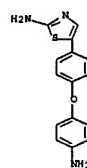
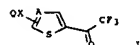
CODEN: PIXXD2

DT Patent

LA English

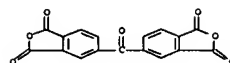
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007093827	A1	20070823	WO 2007-GB50061	20070214
W:				
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RW:				
AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BH, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI GB 2006-3041	A	20060215		
OS MARPAT 147:301160				
OI				



CM 2

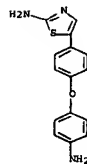
CRN 2421-28-5
CMP C17 H6 O7



RN 952421-18-0 CAPLUS
CN 1H,3H-Benzo[1,2-c:4,5-c']difuran-1,3,5,7-tetrone, polymer with 5-[4-(4-aminophenoxy)phenyl]-2-thiazolamine (CA INDEX NAME)

CM 1

CRN 952421-14-6
CMP C15 H13 N3 O 5



AB Title compds. [I; a, b = 0-3; c = 0-2; A = CH, N; X = (substituted) aryl, heteroaryl, heterocyclyl; Y = bond, O, CO, S, SO, SO2, CONR2; R2 = H, alkyl; Z = H, halo, cyano, OH, alkyl, haloalkyl, alkoxy, NO2, amino, (substituted) cycloalkyl, aryl, heterocyclyl; O = Z(CH2)aY(CH2)b(CH2)c], were prepared Thus, 5-trifluoroacetylthiophene-2-carboxylic acid in DMF was stirred with carbonyldiimidazole followed after 30 min. by addition of 2-[(4-fluorobenzyl)sulfonyl]-N-hydroxyethanimidamide in DMF and stirring overnight. The resulting intermediate was microwaved with carbonyldiimidazole in DMF to give 2,2,2-trifluoro-1-[5-[3-[(4-fluorobenzyl)sulfonyl]methyl]-1,2,4-oxadiazol-5-yl]-2-thienyl]ethanone. I inhibited HDAC with IC50 <10 μM.

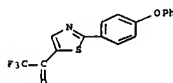
IT 946501-35-EP

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiophene and thiazole substituted trifluoroethanone derivs. as HDAC inhibitors)

RN 2007:793568 CAPLUS

CN Ethanone, 2,2,2-trifluoro-1-[2-(4-phenoxyphenyl)-5-thiazolyl]- (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 6 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2007:793568 CAPLUS [Full-text](#)

DN 147:189168

TI Preparation of thiazoles as inhibitors of 11β-hydroxysteroid dehydrogenase

IN Gillespie, Paul; Goodnow, Robert Alan; Kowalczyk, Agnieszka; Le, Kang; Zhang, Qiang

PA USA

SO U.S. Pat. Appl. Publ., 66pp.

CODEN: USXXCO

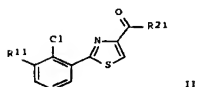
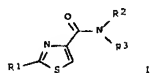
DT Patent

LA English

FAN.CNT 1

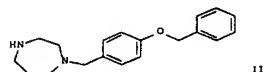
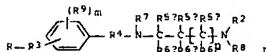
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2007167622	A1	20070719	US 2007-650645	20070108
WO 2007082808	A2	20070726	WO 2007-EP50141	20070108
WO 2007082808	A3	20070913		
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,				

RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GU, HK, IL, IN, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LI, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, ND, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 PRAI US 2006-759676P P 20060118
 OS MARPAT 147:189168
 GI



AB Title compds. I [R1 = 5- to 8-membered cycloalkyl, Ph, 9- or 10-membered bicyclic unsatd. or partially unsatd. ring, etc.; one of R2 and R3 is H or alkyl, the other is alkyl, -CH2-Ph, mono-, bi- or tricyclic 5- to 10-membered carbocyclic ring; R2 and R3, together with the N atom to which they are attached, may form a saturated or partially unsatd. 6- to 8-membered monocyclic or 7- to 10-membered bicyclic ring] and their pharmaceutically acceptable salts were prepared. For example, HATU mediated amidation of 2-(2,3-dichlorophenyl)thiazole-4-carboxylic acid with decahydroquinoline afforded compound II [R11 = Cl; R21 = decahydroquinolin-1-yl]. In 11β-HSD1 inhibition assays, compound II [R11 = H; R21 = azocan-1-yl] exhibited the IC50 value of 0.05 μM. Compds. I are claimed useful for the treatment of type II diabetes mellitus and metabolic syndrome.

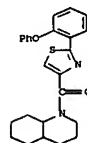
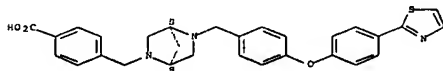
IT 944273-8a-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of thiazoles as inhibitors of 11β-hydroxysteroid dehydrogenase for treatment of type II diabetes mellitus and metabolic syndrome)
 RN 944273-88-5 CAPLUS
 CN Methanone, (octahydro-1(2H)-quinolinyl)[2-(2-phenoxyphenyl)-4-thiazolyl]- (CA INDEX NAME)



AB This invention is directed to compds. of formula I, as single stereoisomers or as mixts. of stereoisomers, or pharmaceutically acceptable salts, solvates, clathrates, polymorphs, ammonium ions, N-oxides or prodrugs thereof; which are leukotriene A4 hydrolase inhibitors and therefore useful in treating inflammatory disorders. Pharmaceutical compns. comprising the compds. of the invention and methods of preparing the compds. of the invention are also disclosed. Compds. of formula I wherein R is (un)substituted Ph, (un)substituted fluoroalkyl, and (un)substituted heteroaryl; n is 0 - 4; n is 0 - 2; R2 is H, (halo)alkyl, haloalkenyl, haloalkynyl, hydroxyalkyl, (un)substituted cycloalkyl, etc.; R3 is a bond, O, alkoxy, oxyalkoxy, (un)branched alkylene, etc.; R5a, R5b, R5c, R6a, R6b, and R6c are independently H, (halo)alkyl, hydroxyalkyl, or any of R5aR6a, R5bR6b, and R5cR6c together to form oxo, etc.; R7 is H, OH and derivs., CHO, acyl, NH2 and derivs., etc.; R8 is H, (halo)alkyl, haloalkenyl, haloalkynyl, hydroxyalkyl, (un)substituted cycloalkyl, etc.; each R9 is independently OH and derivs., alkyl, hydroxyalkyl, (halo)alkyl, aryl and aralkyl; and their single stereoisomers, mixts. of stereoisomers, pharmaceutically acceptable salts, solvates, polymorphs, clathrates, ammonium ions, N-oxides, and prodrugs thereof, are claimed. Example compound II was prepared by alkylation of hexahydro-1H-1,4-diazepine with 1-(chloromethyl)-4-(benzyloxy)benzene. All the invention compds. were evaluated for their leukotriene A4 hydrolase inhibitory activity. The tested compds. exhibited IC50 values of less than 100 μM.

IT 944273-8a-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of diamine derivs. as inhibitors of leukotriene A4 hydrolase for treating inflammatory disorders)
 RN 943765-37-5 CAPLUS
 CN Benzoic acid, 4-[[[(1S,4S)-5-[[4-(4-(2-thiazolyl)phenoxy]phenyl)methyl]-2,5-diazabicyclo[2.2.1]hept-2-yl]methyl]-, (CA INDEX NAME)

Absolute stereochemistry.



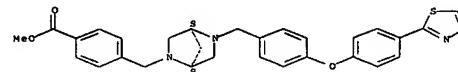
L31 ANSWER 7 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2007:731135 CAPLUS Full-text
 DN 147:166393
 TI Diamine derivatives as inhibitors of leukotriene A4 hydrolase and their preparation, pharmaceutical compositions and use in the treatment of inflammatory disorders
 IN Arnal, Damian; Brown, Greg; Claret, Emmanuel; Cleve, Arwed; Davey, David; Guilford, William; Khim, Seock-Kyu; Kirkland, Thomas; Kochanny, Monica J.; Liang, Amy; Light, David; Parkinson, John; Vogel, David; Wei, Guo Ping; Ye, Bin
 PA Schering Aktiengesellschaft, Germany
 SO U.S. Pat. Appl. Publ., 97pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2007155726	A1	20070705	US 2006-644244	20061222
WO 2007079078	A1	20070712	WO 2006-US49273	20061222

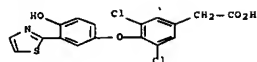
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 RM: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GM, GU, HK, IL, IN, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LI, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, ND, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
 PRAI US 2006-755421P P 20051229
 US 2006-835819P P 20060804
 OS MARPAT 147:166353
 GI

RN 943765-38-6 CAPLUS
 CN Benzoic acid, 4-[[[(1S,4S)-5-[[4-(4-(2-thiazolyl)phenoxy]phenyl)methyl]-2,5-diazabicyclo[2.2.1]hept-2-yl]methyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



L31 ANSWER 8 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2007:632256 CAPLUS Full-text
 DN 147:226220
 TI QSAR study of selective ligands for the thyroid hormone receptor β
 AU Liu, Huanxiang; Gramatica, Paola
 CS QSAR Research Unit in Environmental Chemistry and Ecotoxicology, Department of Structural and Functional Biology, University of Insubria, Varese, 21100, Italy
 SO Bioorganic & Medicinal Chemistry (2007), 15(15), 5251-5261
 CODEN: BMECEP; ISSN: 0968-0896
 PB Elsevier Ltd.
 DT Journal
 LA English
 AB In this paper, an accurate and reliable QSAR model of 87 selective ligands for the thyroid hormone receptor β 1 (TRβ1) was developed, based on theor. mol. descriptors to predict the binding affinity of compds. with receptor. The structural characteristics of compds. were described wholly by a large amount of mol. structural descriptors calculated by DRAGON. Six most relevant structural descriptors to the studied activity were selected as the inputs of QSAR model by a robust optimization algorithm Genetic Algorithm. The built model was fully assessed by various validation methods, including internal and external validation, Y-randomization test, chemical applicability domain, and all the validations indicate that the QSAR model we proposed is robust and satisfactory. Thus, the built QSAR model can be used to fast and accurately predict the binding affinity of compds. (in the defined applicability domain) to TRβ1. At the same time, the model proposed could also identify and provide some insight into what structural features are related to the biol. activity of these compds. and provide some instruction for further designing the new selective ligands for TRβ1 with high activity.
 IT 725239-54-3
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (QSAR of selective ligands for thyroid hormone receptor β)
 RN 725239-54-3 CAPLUS
 CN Benzenecarboxylic acid, 3,5-dichloro-4-[4-hydroxy-3-(2-thiazolyl)phenoxy]- (CA INDEX NAME)



RE.CNT 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ31 ANSWER 9 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2007:619459 CAPLUS [Full-text](#)

DN 147:52913

TI Fused pyrimidines as growth factor receptor tyrosine kinase inhibitors, their preparation, pharmaceutical compositions, and use in therapy

IN Ishikawa, Tomoyasu; Miwa, Kazuhiro; Seto, Masaki; Banno, Hiroshi; Kawakita, Youichi

PA Takeda Pharmaceutical Company Limited, Japan

SO PCT Int. Appl., 643pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007064045	A1	20070607	WO 2006-JP324499	20061201
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MM, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI JP 2005-349858	A	20051202		
JP 2006-60648	A	20060307		
OS MARPAT 147:52913				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to pyrrolo[3,2-d]pyrimidines represented by formula I and related derivs., which are inhibitors of growth factor receptor tyrosine kinase. In compds. I, R1 is H; R2 is carbonylamino-substituted C1-6 alkyl; R3 is H or C1-6 alkyl; R4 and R5 are independently halo or C1-6 alkyl; and X is H or halo; including salts and prodrugs thereof; with several compds. excluded. The invention also relates to the preparation of I, pharmaceutical compns. comprising a compound I, a related compound or a salt or prodrug thereof, as well as to the use of the compns. for the prophylaxis or treatment of cancer. Coupling of the dihydrochloride of amine II with 2-methyl-2-(methylsulfonyl)propanoic acid gave pyrrolopyrimidine III. The compds. of the invention are inhibitors of growth factor receptor tyrosine kinases, e.g.,

compound III expressed 98% inhibition of HER2 kinase at 1 μM and IC50 value below 100 nM in an assay for inhibition of breast cancer cell proliferation.

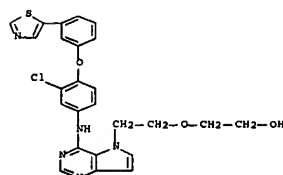
IT 940303-54-8P, 2-[2-[4-[[3-chloro-4-[[3-(1,3-thiazol-5-yl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethoxy]ethanol 940303-77-5P, 2-[2-[4-[[3-[4-(tert-butyl-1,3-thiazol-2-yl)phenoxy]-3-chlorophenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethoxy]ethanol 940303-68-8P, 2-[2-[4-[[3-chloro-4-[[3-(4-(trifluoromethyl)-1,3-thiazol-2-yl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethoxy]ethanol 940303-96-8P, N-[2-[4-[[3-chloro-4-[[3-(4-(trifluoromethyl)-1,3-thiazol-2-yl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]-2-(methylsulfonyl)acetamide 940303-69-3P, N-[2-[4-[[3-chloro-4-[[3-(4-(trifluoromethyl)-1,3-thiazol-2-yl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]-2-methyl-2-(methylsulfonyl)propanamide 940303-11-3P, N-[2-[4-[[3-chloro-4-[[3-(4-(trifluoromethyl)-1,3-thiazol-2-yl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]-3-hydroxy-3-methylbutanamide

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of fused pyrimidines as growth factor receptor tyrosine kinase inhibitors)

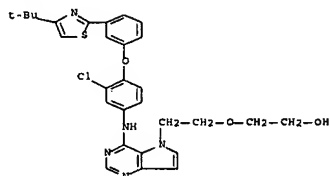
RN 940303-54-8 CAPLUS

CN Ethanol, 2-[2-[4-[[3-chloro-4-[[3-(5-thiazolyl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethoxy]- (CA INDEX NAME)



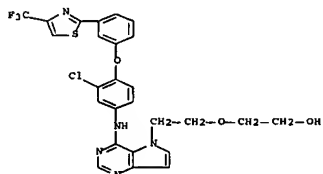
RN 940303-77-5 CAPLUS

CN Ethanol, 2-[2-[4-[[3-chloro-4-[[3-(4-(1,1-dimethylethyl)-2-thiazolyl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethoxy]- (CA INDEX NAME)



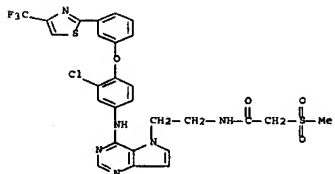
RN 940303-88-8 CAPLUS

CN Ethanol, 2-[2-[4-[[3-chloro-4-[[3-(4-(trifluoromethyl)-2-thiazolyl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethoxy]- (CA INDEX NAME)



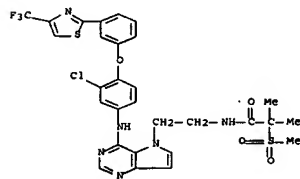
RN 940303-96-8 CAPLUS

CN Acetamide, N-[2-[4-[[3-chloro-4-[[3-(4-(trifluoromethyl)-2-thiazolyl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]-2-(methylsulfonyl)- (CA INDEX NAME)



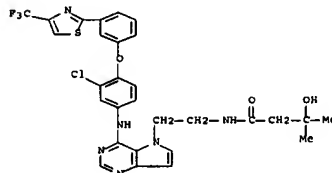
RN 940305-09-9 CAPLUS

CN Propanamide, N-[2-[4-[[3-chloro-4-[[3-(4-(trifluoromethyl)-2-thiazolyl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]-2-methyl-2-(methylsulfonyl)- (CA INDEX NAME)



RN 940305-11-3 CAPLUS

CN Butanamide, N-[2-[4-[[3-chloro-4-[[3-(4-(trifluoromethyl)-2-thiazolyl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]-3-hydroxy-3-methyl- (CA INDEX NAME)



IT 940303-54-8P, 5-[3-(2-chloro-4-nitrophenoxy)phenyl]-1,3-thiazole

940303-64-4P, 4-tert-butyl-2-[3-(2-chloro-4-nitrophenoxy)phenyl]-

1,3-thiazole 940303-86-6P, 4-[3-(4-(tert-butyl-1,3-thiazol-2-yl)phenoxy)-3-chloroaniline 940303-23-1P, 2-[3-(2-chloro-4-

nitrophenoxy)phenyl]-4-(trifluoromethyl)-1,3-thiazole 940303-94-6P

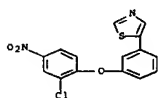
, 3-chloro-4-[3-(4-(trifluoromethyl)-1,3-thiazol-2-yl)phenoxy]aniline

940303-77-9P, tert-butyl N-[2-[4-[[3-chloro-4-[[3-(4-(trifluoromethyl)-1,3-thiazol-2-yl)phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]carbamate 940303-98-8P,

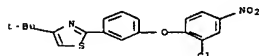
5-(2-aminoethyl)-N-[3-chloro-4-[[3-(4-(trifluoromethyl)-1,3-thiazol-2-yl)phenoxy]phenyl]-5H-pyrrolo[3,2-d]pyrimidin-4-amine dihydrochloride

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

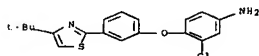
(Reactant or reagent)
(intermediate; preparation of fused pyrimidines as growth factor receptor tyrosine kinase inhibitors)
RN 940303-56-0 CAPLUS
CN Thiazole, 5-[3-(2-chloro-4-nitrophenoxy)phenyl]- (CA INDEX NAME)



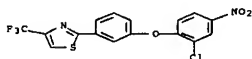
RN 940303-64-4 CAPLUS
CN Thiazole, 2-[3-(2-chloro-4-nitrophenoxy)phenyl]-4-(1,1-dimethylethyl)- (CA INDEX NAME)



RN 940303-66-6 CAPLUS
CN Benzenamine, 3-chloro-4-[3-[4-(1,1-dimethylethyl)-2-thiazolyl]phenoxy]- (CA INDEX NAME)

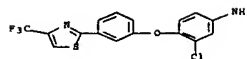


RN 940303-93-5 CAPLUS
CN Thiazole, 2-[3-(2-chloro-4-nitrophenoxy)phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)

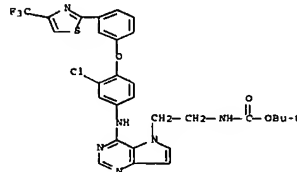


RN 940303-94-6 CAPLUS
CN Benzenamine, 3-chloro-4-[3-[4-(trifluoromethyl)-2-thiazolyl]phenoxy]- (CA INDEX NAME)

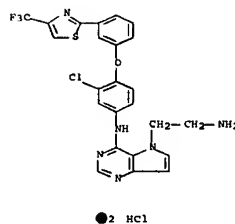
INDEX NAME)



RN 940303-97-9 CAPLUS
CN Carbamic acid, N-[2-[4-[[3-chloro-4-[3-[4-(trifluoromethyl)-2-thiazolyl]phenoxy]phenyl]amino]-5H-pyrrolo[3,2-d]pyrimidin-5-yl]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

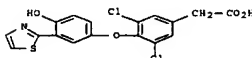


RN 940303-98-0 CAPLUS
CN 5H-Pyrrolo[3,2-d]pyrimidine-5-ethanamine, 4-[[3-chloro-4-[3-[4-(trifluoromethyl)-2-thiazolyl]phenoxy]phenyl]amino]-, hydrochloride (1:2) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ1 ANSWER 10 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2007:590026 CAPLUS [Full-text](#)
DN 147:226206
T1 2D QSAR studies on thyroid hormone receptor ligands
AU Valadares, Napoleao F.; Castilho, Marcelo S.; Polikarpov, Igor; Garratt, Richard C.
CS Departamento de Fisica e Informatica, Instituto de Fisica de Sao Carlos, Universidade de Sao Paulo, Sao Carlos-SP, 13560-970, Brazil
SO Bioorganic & Medicinal Chemistry (2007), 15(13), 4609-4617
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
AB 2D QSAR studies were carried out for a series of 58 ligands for the Thyroid receptors, TRu and TRh. Significant cross-validated correlation coeffs. (q² = 0.761 (TRu) and 0.693 (TRh)) were obtained. The models' predictive abilities were proved more valuable than the classical 2D-QSAR, and were further investigated by an external test set of 13 compds. The predicted values are in good agreement with exptl. values, suggesting that the models could be useful in the design of novel, more potent TR ligands. Contribution map anal. identified a number of positions that are promising for the development of receptor isoform specific ligands.
IT 725239-54-3
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
RN 725239-54-3 CAPLUS
CN Benzenecetic acid, 3,5-dichloro-4-[4-hydroxy-3-(2-thiazolyl)phenoxy]- (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

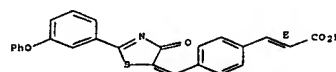
LJ1 ANSWER 11 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2007:431722 CAPLUS [Full-text](#)
DN 146:441828
T1 Preparation of oxazolone oxazinone, or thiazolone compounds as PDGF receptor antagonists and pharmaceutical compositions containing them
IN Kumazawa, Hiroaki; Sadakane, Chiharu; Igarashi, Yasuaki; Hattori, Tomohisa; Tsuchiya, Kazuaki; Yamaguchi, Sachie
PA Tsumura and Co., Japan
SO Jpn. Kokai Tokkyo Koho, 58pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE

PI JP 2007099630 A 20070419 JP 2005-288169 20050930
PRAI JP 2005-288169
OS MARPAT 146:441828
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Claimed are oxazolone compds. I [R1a = OR3a, OCOR4a, COR5a, OSO2R6a, NHSO2R7a, Ph, pyrazinyl, naphthyl, etc. (R3a-R7a = aryl, alkyl optionally substituted with alkoxy; these (hetero)aryl groups may be substituted with 1-3 alkenyl optionally having carbonyl group-containing substituent, alkyl, aryl, heterocyclyl, NO2, halo]; R2a = alkenyl optionally having carbonyl group-containing substituent, thiazolidinylidene (Markush given), OCONR16aR17a, NHSO2R21a, COR22a, (R16a, R17a, R21a, R22a = alkyl), etc.] or their pharmaceutically-acceptable salts, oxazinone compds. II (R1b = any group given for R1a; R2b = any group given for R2a) or their pharmaceutically-acceptable salts, and thiazolone compds. III (R1c = any group given for R1a; R2c = any group given for R2a) or their pharmaceutically-acceptable salts. Also claimed are pharmaceutical compns. containing I, II, III, or their salts as PDGF inhibitors, therapeutic agents for nephritis, smooth muscle cell proliferation inhibitors, and therapeutic agents for restenosis. Thus, a mixture of tert-Bu 3-[(3-formylphenyl)acrylate, N-(3-nitrobenzoyl)glycine, NaOAc, and Ac2O was stirred at 80° for 4 h to give 58% 5-oxo-1,3-oxazole compound, which was treated with CF3CO2H in CH2Cl2 at room temperature for 3 h to give 52% (E)-3-[3-[(2-(3-nitrophenyl)-5-oxo-1,3-oxazol-4(5H)-ylidene)methyl]phenyl]propenoic acid. Similarly-prepared (E)-3-[4-[[2-(2-indolyl)-5-oxo-1,3-oxazol-4(5H)-ylidene)methyl]phenyl]propenoic acid (IV) inhibited binding of PDGF-BB to PDGFR receptor in a dose-dependent manner. IV also inhibited PDGF-BB-stimulated proliferation of normal human mesangial cells.
IT 934623-60-6P
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolone, oxazinone, or thiazolone compds. as PDGF receptor antagonists as drugs for nephritis and restenosis and as smooth muscle cell proliferation inhibitors)
RN 934623-60-6 CAPLUS
CN 2-Propenoic acid, 3-[4-[[4-oxo-2-(3-phenoxyphenyl)-5(4H)-thiazolylidene)methyl]phenyl]-, (2E)- (CA INDEX NAME)

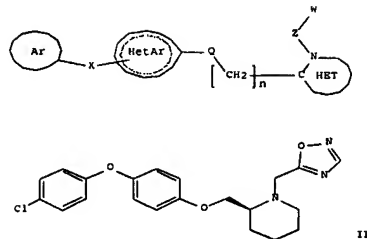
Double bond geometry as described by E or Z.



LJ1 ANSWER 12 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2007:332888 CAPLUS [Full-text](#)

DN 146:358712
 TI Preparation of heterocyclic compounds containing biaryl moiety as LTA4H inhibitors
 IN Sandanayaka, Vincent; Singh, Jasbir; Gurney, Mark; Mamat, Bjorn; Yu, Peng; Bedel, Louis; Zhao, Lei
 PA Decode Chemistry, Inc., USA
 SO U.S. Pat. Appl. Publ., 97pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN: CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2007066820	A1	20070322	US 2006-426287	20060623
US 2007078263	A1	20070405	US 2006-426284	20060623
WO 2007040681	A1	20070412	WO 2006-US24392	20060623
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
WO 2007040682	A1	20070412	WO 2006-US24393	20060623
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI US 2005-719016P	P	20050921		
OS MARPAT 146:358712				
GI				

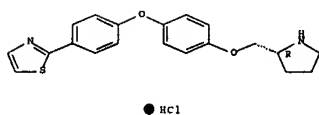


AB Title compds. I [Ar = aryl (optionally substituted with halo, alkyl, acyl, etc.), heteroaryl with (optionally substituted halo, alkyl, acyl, etc.); X = direct bond, O, SO, etc.; HetAr = aryl or heteroaryl ring attached via a ring carbon to O, further characterized in that Q and X cannot be on adjacent positions in said aryl or heteroaryl ring; Q = -O-, -NR1-, S(O); R1 = H, alkyl; p = 0-2; n = 1-5; HET = saturated nitrogenous heterocycle (optionally substituted with halo, hydroxyl, amino, etc.); taken together ZW is H; or Z = (CH2)1-10, in which one or two (CH2) may optionally be replaced by -O-, -NR1-, -SO-, etc.; W = acyl, hydroxyl, carboxyl, etc.; with the proviso that (a) when Q is -O-, HET is (S)-pyrrolidine, rac-pyrrolidine or piperidine, Ar is Ph or halo-substituted Ph, and HetAr is p-phenylene, then the Z-W combination is other than H. (b) when Q is -NR1-, HET is thiazolidine, Ar is Ph or substituted Ph and HetAr is m-phenylene, then the Z-W combination is other than H. (c) when Q is -O-, HET is acetidine, Ar is Ph, n is 1 and HetAr is a 2,5-substituted pyridine, then the Z-W combination is other than H.] were prepared. For example, reagent of (S)-2-[4-(4-chlorophenoxy)-phenoxy]methyl]-piperidine hydrochloride, e.g., prepared from (S)-piperidine-1,2-dicarboxylic acid 1-tert-Bu ester in 5 steps, with 3-(chloromethyl)-1,2,4-oxadiazole followed by treatment with HCl afforded compound II·HCl. In leukotriene A4 hydrolase (LTA4H) inhibition assays, compound II·HCl exhibited the IC50 value of <5 μM. Compds. I are claimed useful for the treatment of inflammation, asthma, etc.

IT 529916-93-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 [preparation of heterocyclic compds. containing biaryl moiety as LTA4H inhibitors for treatment of inflammation and asthma]

RN 929916-93-7 CAPLUS
 CN Thiazole, 2-[4-[4-[(2R)-2-pyrrolidinylmethoxy]phenoxy]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)

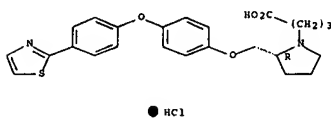
Absolute stereochemistry.



IT 929916-93-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 [preparation of heterocyclic compds. containing biaryl moiety as LTA4H inhibitors for treatment of inflammation and asthma]

RN 929916-93-8 CAPLUS
 CN 1-Pyrrolidinobutanoic acid, 2-[[4-[4-(2-thiazolyl)phenoxy]phenoxy]methyl]-, hydrochloride (1:1), (2R)- (CA INDEX NAME)

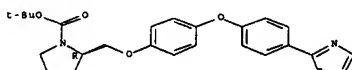
Absolute stereochemistry.



IT 929916-93-8P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 [preparation of heterocyclic compds. containing biaryl moiety as LTA4H inhibitors for treatment of inflammation and asthma]

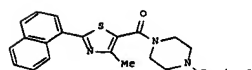
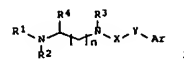
RN 929916-93-8 CAPLUS
 CN 1-Pyrrolidinobutanoic acid, 2-[[4-[4-(2-thiazolyl)phenoxy]phenoxy]methyl]-, 1,1-dimethylethyl ester, (2R)- (CA INDEX NAME)

Absolute stereochemistry.



AN 2006:845146 CAPLUS [Full-text](#)
 DN 145:271760
 TI Preparation of thiazole amides, imidazole amides and related analogues as histamine H3 receptor modulators
 IN Pringle, Wallace C.; Peterson, John M.; Xie, Linghong; Ge, Ping; Gao, Yang; Ochterski, Joseph W.; Lan, Jiong
 PA Neurogen Corporation, USA
 SO PCT Int. Appl., 329pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN: CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006089076	A2	20060824	WO 2006-US5562	20060216
WO 2006089076	A3	20061221		
WO 2006089076	A9	20070426		
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
EP 1848428	A2	20071031	EP 2006-735288	20060216
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
PRAI US 2005-654558P	P	20050218		
US 2005-720500P	P	20050926		
WO 2006-US5562	W	20060216		
OS MARPAT 145:271760				
GI				



AB The title compds. I [R1 = (un)substituted alkyl, alkenyl or cycloalkylalkyl; or R1 taken together with R2 or R4 can form (un)substituted 4-8 membered heterocycloalkyl; R2 = alkyl, alkenyl, cycloalkylalkyl; or R2 taken together with R1, R3 or R4 can form (un)substituted 4-8 membered heterocycloalkyl; R3 = H, alkyl, alkenyl, cycloalkylalkyl; or R3 taken together with R2 or R4 can form (un)substituted 4-8 membered heterocycloalkyl; R4 = H or taken together with R1, R2 or R3 can form (un)substituted 4-8 membered heterocycloalkyl; n = 1-3; X = CH2 or C(O); Y = thiazole, imidazole, etc.; Ar = (un)substituted Ph, naphthyl, biphenyl, 5-13 membered heteroaryl; with provisos] which may be used to modulate ligand binding to histamine H3 receptors in vivo or in vitro, and are particularly useful in the treatment of a variety of central nervous system (CNS) and other disorders in humans, domesticated companion animals and livestock animals, were prepared. Thus, reacting 2-bromo-4-methylthiazole-5-carboxylic acid with N-isopropylpiperazine afforded II. Over 1000 compds. I were prepared. Most of them exhibit a Ki in the chimeric human H3 receptor GTP binding assay that is less than 1 µM. Compds. I may be administered alone or in combination with one or more other CNS agents to potentiate the effects of the other CNS agent(s). Pharmaceutical compns. and methods for treating the mentioned above disorders are provided, as are methods for using such ligands for detecting histamine H3 receptors (e.g., receptor localization studies).

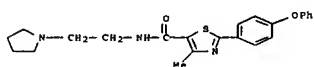
IT 506466-72-CP 506466-73-TP 506466-90-SP
506466-91-EP 506467-05-EP 506467-11-OP
506467-14-3P 506467-15-4P 506467-39-5P
506467-64-2P 506467-65-5P 506467-66-1P
506467-67-4P 506474-65-7P 506475-10-3P
506475-11-4P 506475-12-9P 506475-33-OP
506475-48-TP 506475-49-EP 506475-55-CP
506475-71-CP

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thiazole amides, imidazole amides and related analogs as histamine H3 receptor modulators)

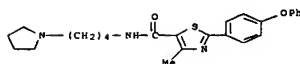
RN 506466-72-6 CAPLUS

CN 5-Thiazolecarboxamide, 4-methyl-2-(4-phenoxyphenyl)-N-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



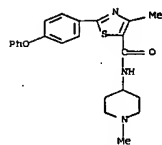
RN 506466-73-7 CAPLUS

CN 5-Thiazolecarboxamide, 4-methyl-2-(4-phenoxyphenyl)-N-[2-(1-piperidinyl)ethyl]- (CA INDEX NAME)



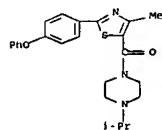
RN 506467-21-8 CAPLUS

CN 5-Thiazolecarboxamide, 4-methyl-N-(1-methyl-4-piperidinyl)-2-(4-phenoxyphenyl)- (CA INDEX NAME)



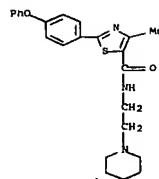
RN 506467-34-3 CAPLUS

CN Piperazine, 1-(1-methylethyl)-4-[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



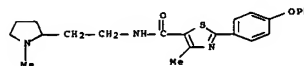
RN 506467-35-4 CAPLUS

CN Piperazine, 1-cyclopentyl-4-[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



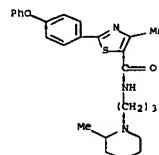
RN 506466-90-8 CAPLUS

CN 5-Thiazolecarboxamide, 4-methyl-N-[2-(1-methyl-2-pyrrolidinyl)ethyl]-2-(4-phenoxyphenyl)- (CA INDEX NAME)



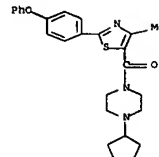
RN 506466-91-9 CAPLUS

CN 5-Thiazolecarboxamide, 4-methyl-N-[3-(2-methyl-1-piperidinyl)propyl]-2-(4-phenoxyphenyl)- (CA INDEX NAME)



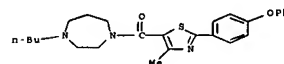
RN 506467-09-2 CAPLUS

CN 5-Thiazolecarboxamide, 4-methyl-2-(4-phenoxyphenyl)-N-[4-(1-pyrrolidinyl)butyl]- (CA INDEX NAME)



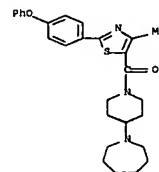
RN 506467-36-5 CAPLUS

CN 1H-1,4-Diazepine, 1-butylhexahydro-4-[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



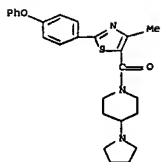
RN 506467-64-9 CAPLUS

CN Piperidine, 4-(hexahydro-1H-azepin-1-yl)-1-[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)

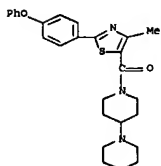


RN 506467-65-0 CAPLUS

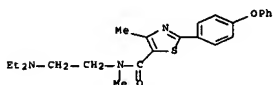
CN Piperidine, 1-[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]carbonyl]-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)



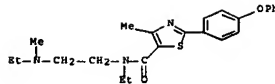
RN 906467-66-1 CAPLUS
CN 1,4'-Bipiperidine, 1'-[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



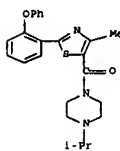
RN 906467-85-4 CAPLUS
CN 5-Thiazolecarboxamide, N-[2-(diethylamino)ethyl]-N,4-dimethyl-2-(4-phenoxyphenyl)- (CA INDEX NAME)



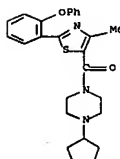
RN 906474-83-7 CAPLUS
CN 5-Thiazolecarboxamide, N-ethyl-N-[2-(ethylmethylamino)ethyl]-4-methyl-2-(4-phenoxyphenyl)- (CA INDEX NAME)



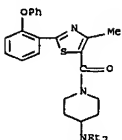
RN 906475-10-3 CAPLUS
CN Piperazine, 1-(1-methylethyl)-4-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



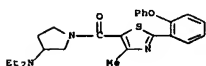
RN 906475-11-4 CAPLUS
CN Piperazine, 1-cyclopentyl-4-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



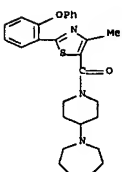
RN 906475-32-9 CAPLUS
CN 4-Piperidinamine, N,N-diethyl-1-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



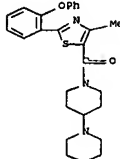
RN 906475-33-0 CAPLUS
CN 3-Pyrrolidinamine, N,N-diethyl-1-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



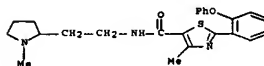
RN 906475-48-7 CAPLUS
CN Piperidine, 4-(hexahydro-1H-azepin-1-yl)-1-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



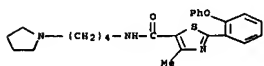
RN 906475-49-8 CAPLUS
CN 1,4'-Bipiperidine, 1'-[[4-methyl-2-(2-phenoxyphenyl)-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 906475-59-0 CAPLUS
CN 5-Thiazolecarboxamide, 4-methyl-2-(2-phenoxyphenyl)-N-[4-(1-pyrrolidinyl)butyl]- (CA INDEX NAME)

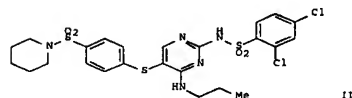
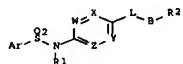


RN 906475-71-6 CAPLUS
CN 5-Thiazolecarboxamide, 4-methyl-2-(2-phenoxyphenyl)-N-[4-(1-pyrrolidinyl)butyl]- (CA INDEX NAME)

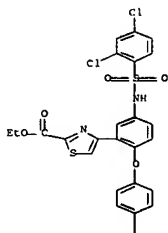


L31 ANSWER 14 OF 104 CAPLUS COPYRIGHT 2007 ACS on STM
AN 2006:333420 CAPLUS [Full-text](#)
DN 144:369771
TI Preparation of bisaryl-sulfonamides as PPAR α or PPAR δ modulators
IN Bergeron, Philippe; Farthing, Christopher N.; Jones, Stuart D.; Liebeschuetz, John W.; Lively, Sarah E.; Mcgee, Lawrence R.; Mckendry, Sharon; Sheppard, David; Young, Stephen C.
PA Amgen Inc., USA
SO PCT Int. Appl., 250 pp.
CODEN: PIXXD2
DT Patent
LA English
PAN.CNT 1

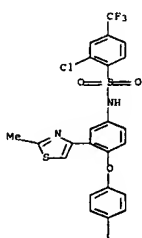
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006020830	A2	20060223	WO 2005-US28673	20050811
WO 2006020830	A3	20070412		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GO, GW, ML, MR, NE, SN, TD, TG, BM, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2005272786	A1	20060223	AU 2005-272786	20050911
CA 2576943	A1	20060223	CA 2005-2576943	20050811
US 2006044802	A1	20060420	US 2005-203006	20050911
EP 1786752	A2	20070523	EP 2005-790029	20050811
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, UA, HK, MK, YU				
PRAI US 2004-601578P	P	20040812		
WO 2005-US28673	W	20050811		
OS MARPAT 144:369771				
GI				



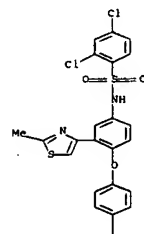
AB The title compds. I [Ar = (un)substituted Ph, naphthyl, pyridyl; B = (un)substituted (hetero)aryl; L = O, S(=O), CRaRb, C(O) (wherein Ra, Rb = H, CN, NO2, alkyl); W = CR3, N; X = CR4, N; Y = CR5, N; Z = CR6, N (wherein at least one of W, X, Y and Z = N and at least one of W, X, Y and Z is other than N); R1 = H, alkyl, heteroalkyl, arylalkyl; R2 = H, halo, CN, NO2, etc.; R3-R6 = H, OH, halo, CN, etc.; k = 0-2] that are useful in the treatment or prevention of a condition or disorder mediated by PPARγ or PPARα, were prepared E.g., a multi-step synthesis of II, starting from 4-nitrobenzenesulfonyl chloride and piperidine, was given. In particular, the compds. I modulate the function of PPARγ or PPARα. IC50 values for selected compds. I in a PPARγ ligand binding



RN 882498-37-8 CAPLUS
CN Benzenesulfonamide, 2-chloro-N-[3-(2-methyl-4-thiazolyl)-4-[4-(4-morpholinylsulfonyl)phenoxy]phenyl]-4-(trifluoromethyl)- (CA INDEX NAME)



assay utilizing [3H]-BRL 49653 as the radioligand are provided. The subject methods are particularly useful in the treatment and/or prevention of diabetes, obesity, hypercholesterolemia, rheumatoid arthritis and atherosclerosis.
IT 882498-37-8 CAPLUS
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bisaryl-sulfonamides as PPARγ or PPARα modulators)
RN 882498-37-8 CAPLUS
CN Benzenesulfonamide, 2,4-dichloro-N-[3-(2-methyl-4-thiazolyl)-4-[4-(4-morpholinylsulfonyl)phenoxy]phenyl]- (CA INDEX NAME)



PAGE 1-A



PAGE 2-A

RN 882498-42-2 CAPLUS
CN 2-Thiazolecarboxylic acid, 4-[5-[[[2,4-dichlorophenyl]sulfonyl]amino]-2-[4-(4-morpholinylsulfonyl)phenoxy]phenyl]-, ethyl ester (CA INDEX NAME)



PAGE 2-A

L31 ANSWER 15 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1290025 CAPLUS Full-text
DN 144:36329
TI Thiazole compounds as PPAR modulators, their preparation, pharmaceutical compositions, and use in therapy
IN Apple, Robert; Cow, Christopher; Xie, Yongping; Wang, Xing; Russo, Ross; Azimicara, Mihai; Saez, Enrique
PA IRM LLC, Bermuda
SO PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005116000	A1	20051208	WO 2005-US18167	20050524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GO, GW, ML, MR, NE, SN, TD, TG				
AU 2005247931	A1	20051208	AU 2005-247931	20050524
CA 2563818	A1	20051208	CA 2005-2563818	20050524
EP 1748993	A1	20070207	EP 2005-754130	20050524
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CN 1980906	A	20070613	CN 2005-80016538	20050524
US 2007203155	A1	20070830	US 2006-597282	20061121
KR 2007030791	A	20070316	KR 2006-724606	20061123
IN 2006CN04307	A	20070615	IN 2006-CN4307	20061123
NO 2006005984	A	20070205	NO 2006-5984	20061222
PRAI US 2004-574137P	P	20040524		
US 2005-648985P	P	20050131		
WO 2005-US18167	W	20050524		
OS MARPAT 144:36329				

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to thiazole compds. of formula I, which are modulators of peroxisome proliferator-activated receptors (PPAR), particularly PPAR δ . In compds. I, p is 0-3; L is selected from -XO-, -XSO-, and -XSO₂Me-, where n is 0-2 and X is a bond or (un)substituted C1-4 alkylene; R1 is selected from halo, C1-6 alkyl, C1-6 alkoxy, C1-6 hydroxyalkyl, C1-6 haloalkyl, C1-6 haloalkoxy, (un)substituted C6-10 aryl, (un)substituted C5-10 heteroaryl, (un)substituted C3-12 cycloalkyl, and (un)substituted C3-8 heterocyclyl; R2 is -XOCO₂R5 or -XOCO₂R5, where X is as defined previously and R5 is H or C1-6 alkyl; and R3 and R4 are independently selected from R6 and R6Y, where R6 is (un)substituted C3-12 cycloalkyl, (un)substituted C3-8 heterocyclyl, (un)substituted C6-10 aryl, and (un)substituted C5-13 heteroaryl, and Y is selected from C1-6 alkylene, C2-6 alkenylene, C2-6 alkynylene, -C(O)N(R5)-, and -OX-, where X and R5 are as defined previously, or R3 and R4, together with the atoms to which they are attached, form fused bi- or tricyclic C5-14 heteroaryl, including pharmaceutically acceptable salts, hydrates, solvates, isomers, and prodrugs thereof. The invention also relates to the preparation of I, pharmaceutical compns. comprising a therapeutically effective amount of compound I in combination with one or more pharmaceutically acceptable excipients, as well as to the use of the compns. to treat or prevent diseases or disorders associated with PPAR activity. Cyclocondensation of 2-bromo-4'-methoxyacetophenone with thioacetamide followed by bromination, demethylation, and alkylation with iso-Pr iodide gave bromothiazole II, which was brominated and substituted with phenol III (preparation in 3 steps from 4-hydroxy-3-methylacetophenone given) to give thiazole IV. Compound IV underwent Suzuki coupling with 4-(trifluoromethoxy)phenylboronic acid and ester hydrolysis to give thiazole V. Most preferred compds. of the invention express an EC₅₀ value for PPAR δ of less than 100 nM. The compds. of the invention are at least 100-fold selective for PPAR δ over PPAR γ .

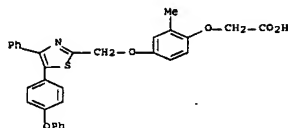
IT 870521-37-2P 870522-09-1P 870523-30-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)

(drug candidate; preparation of thiazole compds. as PPAR modulators and their use for treatment and prevention of diseases associated with PPAR δ activity)

RN 870521-37-2 CAPLUS

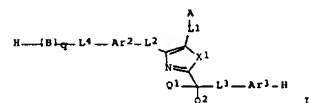
CN Acetic acid, [2-methyl-4-[[5-(4-phenoxyphenyl)-4-phenyl-2-thiazolyl]methoxy]phenoxy]- (9CI) (CA INDEX NAME)



LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RN: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG

AU 2005247610	A1	20051208	AU 2005-247610	20050530
CA 2568742	A1	20051208	CA 2005-2568742	20050530
EP 1758874	A1	20070307	EP 2005-748037	20050530
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1980908	A	20070613	CN 2005-80022519	20050530
NO 200606049	A	20070227	NO 2006-6049	20061228
KR 200704404	A	20070427	KR 2006-727509	20061228
IN 2006CN04780	A	20070629	IN 2006-CN4780	20061228
PRAI GB 2004-12198	A	20040529		
GB 2004-14194	A	20040624		
GB 2004-24016	A	20041029		
WO 2005-EP5882	W	20050530		
GB MARPAT 144:36328				



AB Title compds. I [X1 = S, O, N=, etc.; A = carboxy, carboxy bioisosters; Ar2-3 = Ph, 5-6 membered heteroaryl, etc.; B = Ar2-3, N-pyrrolidinyl, etc.; q = 0-1; L1-4 = (Alk1)m-Zn-(Alk2)p; m, n, p = 0-1; Alk1-2 = alkylene, alkenylene, etc.; Z = O, S, CO, SO2, etc.; Q1 = H, alkyl; Q2 = alkyl, alkoxy, OH, hydroxyalkyl, etc.] are prepared for instance, [2-benzhydryl-4-(4-chlorophenyl)thiazol-5-yl]acetic acid (II) is prepared from 3-bromo-4-(4-chlorophenyl)-4-oxobutyric acid and 2,2'-diphenylthioacetamide in 77% yield. II has an IC₅₀ < 0.5 μM for the CRTH2 receptor. I are useful for the treatment of disease responsive to modulation of CRTH2 receptor activity.

IT 870542-91-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USBS (Uses)

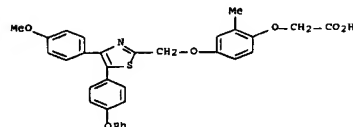
(preparation of substituted thiazoleacetic acids as CRTH2 receptor ligands)

RN 870661-81-7 CAPLUS

CN 5-Thiazoleacetic acid, 2-(diphenylmethyl)-4-(4-phenoxyphenyl)- (CA INDEX NAME)

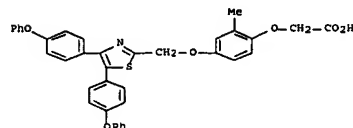
RN 870522-09-1 CAPLUS

CN Acetic acid, [4-[[4-(4-methoxyphenyl)-5-(4-phenoxyphenyl)-2-thiazolyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RN 870523-30-1 CAPLUS

CN Acetic acid, [4-[[4,5-bis(4-phenoxyphenyl)-2-thiazolyl]methoxy]-2-methylphenoxy]- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 16 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1289826 CAPLUS [Full-text](#)

DN 144:36328

TI Preparation of substituted thiazoleacetic acids as CRTH2 receptor ligands
IN Ulven, Trond; Primmer, Thomas; Rist, Oeystein; Kostenis, Evi; Hoegberg, Thomas; Receveur, Jean-Marie; Grimstrup, Marie

PA 7TM Pharma A/S, Den.

SO PCT Int. Appl., 65 pp.

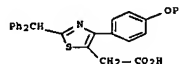
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005116001	A1	20051208	WO 2005-EP5882	20050530
M:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 17 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:732649 CAPLUS [Full-text](#)

DN 143:194251

TI Preparation of 2-(aminoacylamino)thiazole derivatives and their therapeutic applications
IN Baltzer, Sylvie; Van Dorsselaer, Viviane

PA Sanofi-Aventis, Fr.

SO PCT Int. Appl., 44 pp.

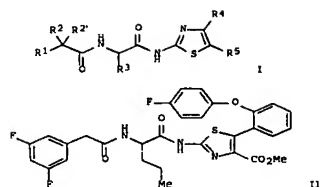
CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005073226	A1	20050811	WO 2005-FR32	20050107
M:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RN: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GM, ML, MR, NE, SN, TD, TG				
FR 2865206	A1	20050722	FR 2004-387	20040116
FR 2873370	A1	20060127	FR 2004-8115	20040722
FR 2873370	B1	20061020		
AU 2005209442	A1	20050811	AU 2005-209442	20050107
CA 2551142	A1	20050811	CA 2005-2551142	20050107
EP 1709041	A1	20061011	EP 2005-717380	20050107
R: AT, BE, CH, DE, DK, EE, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FJ, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1930155	A	20070314	CN 2005-80005095	20050107
BR 2005006880	A	20070626	BR 2005-6880	20050107
JP 2007517840	T	20070705	JP 2006-548342	20050107
IN 2006KN01876	A	20070511	IN 2006-KN1876	20060705
US 2006293365	A1	20061228	US 2006-456123	20060707
MX 2006PA08040	A	20061002	MX 2006-PA8040	20060713
WO 2006003675	A	20060106	NO 2006-3675	20060615
PRAI FR 2004-387	A	20040116		
FR 2004-8115	A	20040722		
WO 2005-FR32	W	20050107		
OS MARPAT 143:194251				



AB The invention relates to thiazolamine amino acid derivs. 1 (R1 is (un)substituted alkyl or Ph, cycloalkyl, thienyl, benzothienyl, pyridyl or furyl; R2, R2' are independently H, halo, OH, alkoxy, alkyl, cycloalkyl, alkanoyloxy, or CR2R2' is CO; R3 is H, hydroxy-, cycloalkyl- or alkoxyalkyl; one of R4 and R5 is substituted Ph, benzyl, pyridyl or pyridylmethyl and the other is a (thio)acyl or (thio)carbamoyl group), which are inhibitors of β -amyloid (A β) formation and can be used for the treatment of Alzheimer's disease and other disorders. Thus, compound II was prepared via coupling of Me 2-amino-5-[2-(4-fluorophenoxy)phenyl]thiazole-4-carboxylate (preparation given) with Boc-protected (S)-norvaline and 3,5-difluorophenylacetic acid.

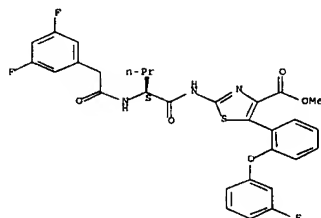
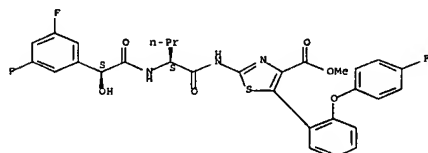
IT 5:148 6: 9F 15:162 44 OF 45:161 2F 1F
 45: 16 4: 2F 44: 249 47 2F 45:161 49 4F
 46:161 47 2F 46:161 48 4F
 RU: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (aminoacylamino)thiazole derivs. as β -amyloid inhibitors and their therapeutic applications)

RN 859148-93-9 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[(2S)-(3,5-difluorophenyl)hydroxyacetyl]amino]-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

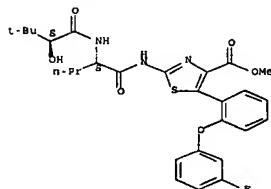
Absolute stereochemistry.



RN 859148-97-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 5-[2-(3-fluorophenoxy)phenyl]-2-[[[(2S)-2-[(2S)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino]-1-oxopentyl]amino]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 859148-98-4 CAPLUS

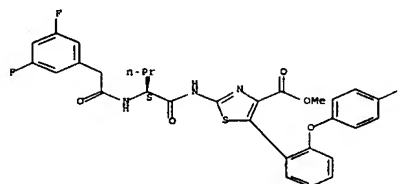
CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[(2S)-(3,5-difluorophenyl)hydroxyacetyl]amino]-1-oxopentyl]amino]-5-[2-(3-fluorophenoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 859148-94-0 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[(3,5-difluorophenyl)acetyl]amino]-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

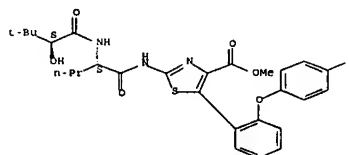
Absolute stereochemistry.



RN 859148-95-1 CAPLUS

CN 4-Thiazolecarboxylic acid, 5-[2-(4-fluorophenoxy)phenyl]-2-[[[(2S)-2-[(2S)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino]-1-oxopentyl]amino]-, methyl ester (CA INDEX NAME)

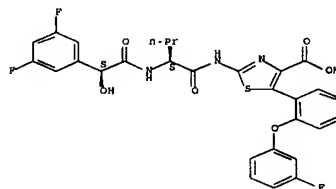
Absolute stereochemistry.



RN 859148-96-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[(3,5-difluorophenyl)acetyl]amino]-1-oxopentyl]amino]-5-[2-(3-fluorophenoxy)phenyl]-, methyl ester (9CI) (CA INDEX NAME)

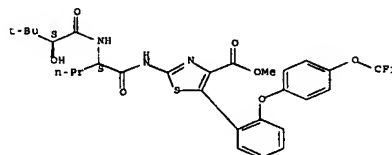
Absolute stereochemistry.



RN 861853-27-2 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[(2S)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino]-1-oxopentyl]amino]-5-[2-(4-(trifluoromethoxy)phenoxy)phenyl]-, methyl ester (CA INDEX NAME)

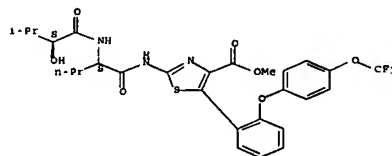
Absolute stereochemistry.



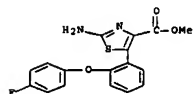
RN 861853-28-3 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[(2S)-2-hydroxy-3-methyl-1-oxobutyl]amino]-1-oxopentyl]amino]-5-[2-(4-(trifluoromethoxy)phenoxy)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

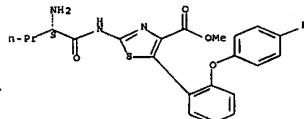


IT 859149-02-3T 859149-03-4P 859149-04-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of (aminoacylamino)thiazole derivs. as β -amyloid
 inhibitors and their therapeutic applications)
 RN 859149-02-3 CAPLUS
 CN 4-Thiazolecarboxylic acid, 2-amino-5-[2-(4-fluorophenoxy)phenyl]-, methyl
 ester (CA INDEX NAME)



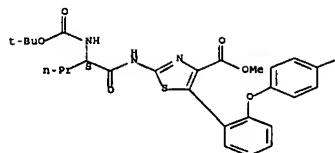
RN 859149-03-4 CAPLUS
 CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-amino-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



RN 859149-04-5 CAPLUS
 CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[[[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (CA INDEX NAME)

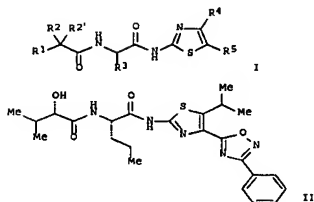
Absolute stereochemistry.



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 18 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2005:732628 CAPLUS Full-text
 DN 143:194249
 TI Preparation of acylaminothiazole derivatives as β -amyloid inhibitors
 IN Baltzer, Sylvie; Pascal, Marc; Van Dorsselaer, Viviane
 PA Sanofi-Aventis, Fr.
 SO PCT Int. Appl., 67 pp.
 CODEN: PIXXD2
 DT Patent
 LA French
 FAN.CNT 2

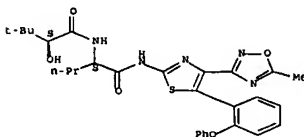
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005073202	A1	20050811	WO 2005-FR29	20050107
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RW:	BH, BM, BN, BR, BS, BT, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, FR, GB, GR, GU, HK, HN, ID, IL, IN, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
FR 2865207	A1	20050722	FR 2004-388	20040116
FR 2873374	A1	20060127	FR 2004-8116	20040722
FR 2873374	B1	20061020		
EP 1709018	A1	20061011	EP 2005-717377	20050107
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU			
CN 1910165	A	20070207	CN 2005-80002588	20050107
JP 2007520478	T	20070726	JP 2006-548340	20050107
IN 2006KN01943	A	20070518	IN 2006-KN1943	20060711
US 2006293166	A1	20061228	US 2006-457490	20060714
PRAI FR 2004-388	A	20040116		
FR 2004-8116	A	20040722		
WO 2005-FR29	M	20050107		
OS MARPAT 143:194249				
GI				



AB The invention relates to thiazolamine amino acid derivs. I (R1 is (un)substituted alkyl or Ph, cycloalkyl, thienyl, benzothienyl, pyridyl or furyl; R2, R2' are independently H, halo, OH, alkoxy, alkyl, cycloalkyl, alkanoyloxy, or CR2R2' is CO; R3 is H, hydroxy-, alkoxy- or cycloalkylalkyl; R4, R5 are independently H, CF3, alkyl, CN, aminosulfonyl, heteroaryl, etc.), which are inhibitors of β -amyloid (β -A4) formation and can be used for the treatment of Alzheimer's disease and other disorders. Thus, compound II was prepared via coupling of 2-amino-5-(1-methylethyl)-4-(3-phenyl-1,2,4-oxadiazol-5-yl)thiazole (preparation given) with Boc-protected (S)-norvaline and α -hydroxyisovaleric acid. Four compds. of the invention showed EC50 values in the range 42-94 nM for inhibition of the production of β -A4.

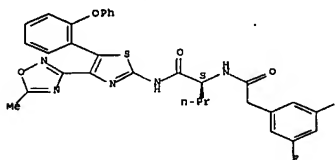
IT 859840-99-6P 859841-00-2P 862096-42-2P
 862096-43-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of acylaminothiazole derivs. as β -amyloid inhibitors)
 RN 859840-99-6 CAPLUS
 CN Pentanamide, 2-[[[(2S)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino]-N-[4-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(2-phenoxyphenyl)-2-thiazolyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



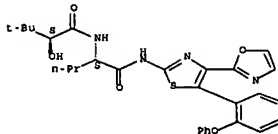
RN 859841-00-2 CAPLUS
 CN Benzeneacetamide, 3,5-difluoro-N-[[[(1S)-1-[[[4-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(2-phenoxyphenyl)-2-thiazolyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



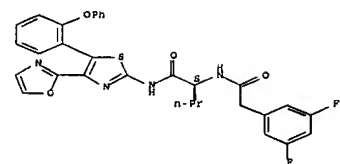
RN 862096-42-2 CAPLUS
 CN Pentanamide, 2-[[[(2S)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino]-N-[4-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(2-phenoxyphenyl)-2-thiazolyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 862096-43-3 CAPLUS
 CN Benzeneacetamide, 3,5-difluoro-N-[[[(1S)-1-[[[4-(2-oxazolyl)-5-(2-phenoxyphenyl)-2-thiazolyl]amino]carbonyl]butyl]- (CA INDEX NAME)

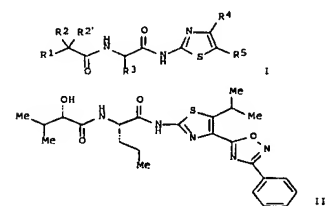
Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

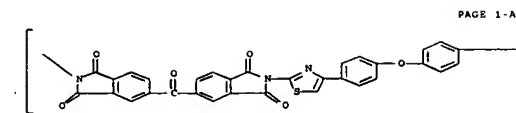
L31 ANSWER 19 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2005:642342 CAPLUS [Full-text](#)
DN 143:133693
TI Synthesis and characterization of novel heterocyclic ring-based poly(arylene ether)s
AU Dubey, Rama; Alam, Sarfaraz; Mathur, G. N.
CS Defence Materials and Stores, Research and Development Establishment, Kanpur, 208013, India
SO Polyimides and Other High Temperature Polymers (2005), 3, 175-183
CODEN: POHTAH
PB VSP
OT Journal
LA English
AB Heterocyclic-ring-based poly(arylene ether)s (PAEs) are considered to be a unique class of high-temperature polymers which find use as structural resins for a variety of aerospace applications. Incorporation of heterocyclic units in the backbones of PAEs offers certain advantages over PAEs without heterocyclic units such as higher glass transition temperature (T_g), tensile strength and modulus. Heterocycles such as phenylquinoxalines, benzoxazoles, benzothiazoles, oxadiazoles, triazoles, imidazoles and benzimidazoles, etc., have been incorporated into the backbones of PAEs via the aromatic nucleophilic displacement reaction. The resulting polymers showed excellent thermal properties. In view of the excellent thermal properties of heterocyclic ring based poly(arylene ether)s, some novel heterocyclic ring structures, such as thioxopyrimidinedione, amidotriazine, amidothiazole, imidothiazole and thiadiazine, have been successfully introduced into the backbones of poly(arylene ether)s. It was observed that the T_g of synthesized polymers was in the range of 150-197 °C and most of the polymers showed no weight loss below 400 °C. The focus of this paper is, therefore, on structure-property relationships between the variety of heterocyclic rings introduced into the polymeric backbone and their effect on thermal properties of the resulting polymers.
IT [View PDF](#)
RL PRP (Properties)
(synthesis and characterization of novel heterocyclic ring-based poly(arylene ether)s)
RN 850797-55-7 CAPLUS
CN Poly([1,3-dihydro-1,3-dioxo-2H-isindole-2,5-diyl]carbonyl[1,3-dihydro-1,3-dioxo-2H-isindole-5,2-diyl]-2,4-thiazolidiyl-1,4-phenyleneoxy[1,1'-biphenyl]-4,4'-diyloxy-1,4-phenylene-4,2-thiazolidiyl] (9CI) (CA INDEX NAME)

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU
CN 1910165 A 20070207 CN 2005-80002588 20050107
JP 2007520478 T 20070726 JP 2006-548340 20050107
IN 2006KN01943 A 20070518 IN 2006-KN1943 20060711
US 2006293366 A1 20061228 US 2006-457490 20060714
PRAI FR 2004-388 A 20040116
FR 2004-9116 A 20040722
WO 2005-FR29 W 20050107
OS MARPAT 143:153710
GI

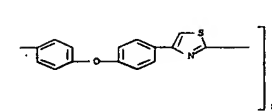


AB The invention relates to thiazolamine amino acid deriva. I (R1 is (un)substituted alkyl or Ph, cycloalkyl, thienyl, benzothienyl, pyridyl or furyl; R2, R2' are independently H, halo, OH, alkoxy, alkyl, cycloalkyl, alkanoyloxy, or CR2R2' is CO; R3 is H, hydroxy- or alkoxyalkyl; R4, R5 are independently H, CF3, alkyl, CN, aminosulfonyl, heteroaryl, etc.), which are inhibitors of β-amyloid (β-A4) formation and can be used for the treatment of Alzheimer's disease and other disorders. Thus, compound II was prepared via coupling of 2-amino-5-(1-methylethyl)-4-(3-phenyl-1,2,4-oxadiazol-5-yl)thiazole (preparation given) with Boc-protected (S)-norvaline and α-hydroxyisovaleric acid. Four compds. of the invention showed EC50 values in the range 42-94 nM for inhibition of the production of β-A4.
IT 859840-99-6 CAPLUS
RL PAC (Pharmacological Activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of (aminoacylamino)thiazole deriva. as β-amyloid inhibitors and their therapeutic applications)
RN 859840-99-6 CAPLUS
CN Pentanamide, 2-[(2S)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino]-N-[4-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(2-phenoxyphenyl)-2-thiazolyl]-, (2S)- (CA INDEX NAME)

Absolute stereochemistry.



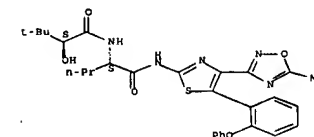
PAGE 1-A



PAGE 1-B

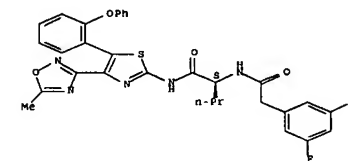
RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 20 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2005:637811 CAPLUS [Full-text](#)
DN 143:153710
TI Preparation of 2-(aminoacylamino)thiazole derivatives and their therapeutic applications
IN Baltzer, Sylvie; Pascal, Marc; Van Dorsselaer, Viviane
PA Sanofi-Synthelabo S.A., Fr.
SO Fr. Demande, 55 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 2
PATENT NO. KIND DATE APPLICATION NO. DATE
PI FR 2865207 A1 20050722 FR 2004-388 20040116
WO 2005073202 A1 20050811 WO 2005-FR29 20050107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, CH, CN, DE, ES, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, CA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1709018 A1 20061011 EP 2005-717377 20050107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT.



RN 859841-00-2 CAPLUS
CN Benzeneacetamide, 3,5-difluoro-N-[[[4-(5-methyl-1,2,4-oxadiazol-3-yl)-5-(2-phenoxyphenyl)-2-thiazolyl]amino]carbonyl]butyl]- (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 21 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2005:637810 CAPLUS [Full-text](#)
DN 143:133699
TI Preparation of 2-(aminoacylamino)thiazole derivatives and their therapeutic applications
IN Baltzer, Sylvie; Van Dorsselaer, Viviane
PA Sanofi-Synthelabo S.A., Fr.
SO Fr. Demande, 35 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 2
PATENT NO. KIND DATE APPLICATION NO. DATE
PI FR 2865206 A1 20050722 FR 2004-387 20040116
AU 2005209442 A1 20050811 AU 2005-209442 20050107
CA 2551142 A1 20050811 CA 2005-2551142 20050107
WO 2005073226 A1 20050811 WO 2005-FR32 20050107
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

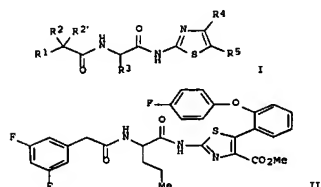
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1709041 A1 20061011 EP 2005-717380 20050107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU

CN 1930155 A 20070314 CN 2005-80005095 20050107
BR 2005006880 A 20070626 BR 2005-6880 20050107
JP 2007517840 T 20070705 JP 2006-548342 20050107
IN 2006KN01876 A 20070511 IN 2006-KN1876 20060705
US 2006293365 A1 20061228 US 2006-456123 20060707
MX 2006PA08040 A 20061002 MX 2006-PA040 20060713
NO 2006003675 A 20061016 NO 2006-3675 20060815

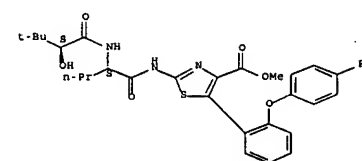
PRAI FR 2004-387 A 20040116
FR 2004-8115 A 20040722
WO 2005-FR32 W 20050107

OS MARPAT 143:133699
GI



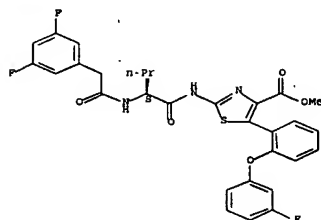
AB The invention relates to thiazolamine amino acid derivs. I [R1 is (un)substituted alkyl or Ph, cycloalkyl, thienyl, benzothienyl, pyridyl or furyl; R2, R2' are independently H, halo, OH, alkoxy, alkyl, cycloalkyl, alkanoyloxy; or CR2R2' is CO; R3 is H, hydroxy- or alkoxyalkyl; one of R4 and R5 is substituted Ph, benzyl, pyridyl or pyridylmethyl and the other is a (thio)acyl or (thio)carbamoyl group], which are inhibitors of β -amyloid (β -A4) formation and can be used for the treatment of Alzheimer's disease and other disorders. Thus, compound II was prepared via coupling of Me 2-amino-5-[2-(4-fluorophenoxy)phenyl]thiazole-4-carboxylate (preparation given) with Boc-protected (S)-norvaline and 3,5-difluorophenylacetic acid.

IT 859148-93-5P 859149-94-0P 859148-95-1P 859148-96-2P 859148-97-3P 859148-98-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES



RN 859148-96-2 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[[[(3,5-difluorophenyl)acetyl]amino]-1-oxopentyl]amino]-5-[2-(3-fluorophenoxy)phenyl]]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



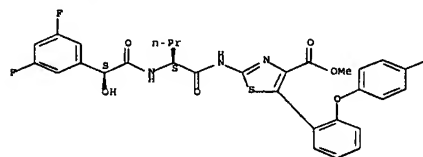
RN 859148-97-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 5-[2-(3-fluorophenoxy)phenyl]-2-[[[(2S)-2-[[[(2S)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino]-1-oxopentyl]amino]]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

(Uses)
(preparation of (aminoacylamino)thiazole derivs. as β -amyloid inhibitors and their therapeutic applications)

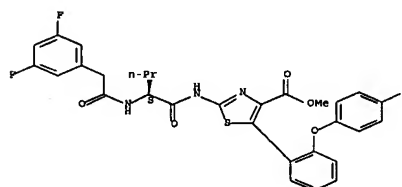
RN 859148-93-9 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[[[(2S)-3,5-difluorophenyl]hydroxyacetyl]amino]-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



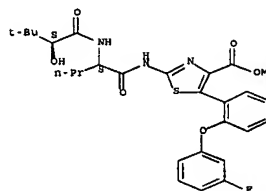
RN 859148-94-0 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[[[(3,5-difluorophenyl)acetyl]amino]-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



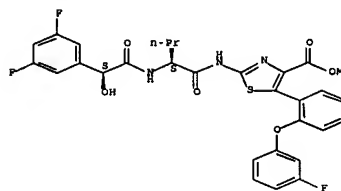
RN 859148-95-1 CAPLUS
CN 4-Thiazolecarboxylic acid, 5-[2-(4-fluorophenoxy)phenyl]-2-[[[(2S)-2-[[[(2S)-2-hydroxy-3,3-dimethyl-1-oxobutyl]amino]-1-oxopentyl]amino]]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.



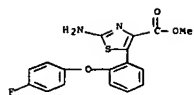
RN 859148-98-4 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[[[(2S)-3,5-difluorophenyl]hydroxyacetyl]amino]-1-oxopentyl]amino]-5-[2-(3-fluorophenoxy)phenyl]]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 859148-92-3F 859149-03-4P 859149-04-5P
RL: RCT (Reactant), SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of (aminoacylamino)thiazole derivs. as β -amyloid inhibitors and their therapeutic applications)

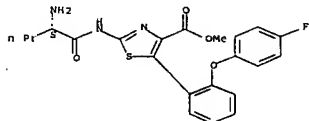
RN 859149-02-3 CAPLUS
CN 4-Thiazolecarboxylic acid, 2-amino-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (CA INDEX NAME)



RN 859149-03-4 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-amino-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (CA INDEX NAME)

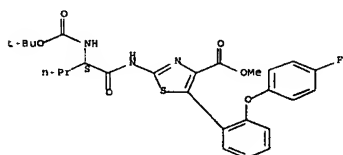
Absolute stereochemistry.



RN 859149-04-5 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[(2S)-2-[(1,1-dimethylethoxy)carbonyl]amino]-1-oxopentyl]amino]-5-[2-(4-fluorophenoxy)phenyl]-, methyl ester (CA INDEX NAME)

Absolute stereochemistry.

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

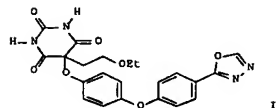
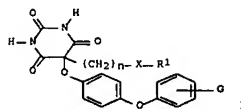
L31 ANSWER 22 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2005:431406 CAPLUS Full-text

DN 142:463752

TI Preparation of pyrimidine-2,4,6-trione metalloproteinase inhibitors
IN Noe, Mark C.
PA USA
SO U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 32,837.
CODEN: USAXCO
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005107414	A1	20050519	US 2004-778990	20040213
	US 2002132822	A1	20020919	US 2001-32837	20011025
	US 6706723	B2	20040316		
PRAI	US 2000-243314P	P	20001026		
	US 2001-32837	A2	20011025		
OS	NARPAT 142:463752				
GI					



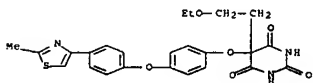
AB The invention relates to a group of pyrimidine-2,4,6-triones I and similar compds., which are inhibitors of matrix metalloproteinases (MMP). In compds. I (claimed), R1 is H, (un)substituted C1-4 alkyl, (un)substituted C6-10 aryl, (un)substituted C3-8 cycloalkyl, (un)substituted C1-10 heteroaryl, or (un)substituted C1-10 heterocyclyl; X is a bond or O, and n is 1-10; and G is R2-(CH2)p-, where G is on any ring carbon atom meta or para to -O-, R2 is substituted acylamino or aminocarbonylamino, and p is 1-6. Thus, reacting 4-(4-(1,3,4)oxadiazol-2-ylphenoxy)phenol with 5-bromo-5-(2-ethoxyethyl)pyrimidine-2,4,6-trione (prepn. given) in the presence of 1,5,7-triazabicyclo[4.4.0]dec-5-ene bound to polystyrene crosslinked with 2% DVB in MeCN afforded II. The compds. I that were tested all have IC50 values of less than 100 μM in at least one of the assays against MMPs such as MMP-1, MMP-9, MMP-13, etc. Some compds. showed selectivity towards MMP-13 (no data).

IT 420122-07-24 420122-15-24 420122-24-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(preparation of pyrimidinetriones as metalloproteinase inhibitors)

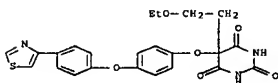
RN 420122-07-2 CAPLUS

CN 2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(2-methyl-4-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)



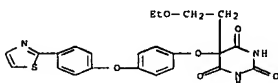
RN 420122-19-6 CAPLUS

CN 2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(2-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)



RN 420122-24-3 CAPLUS

CN 2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(2-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)



L31 ANSWER 23 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

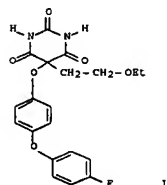
AN 2005:244459 CAPLUS Full-text

DN 142:456259

TI Potent pyrimidinetrione-based inhibitors of MMP-13 with enhanced selectivity over MMP-14

AU Blagg, Julian A.; Noe, Mark C.; Wolf-Gouveia, Lillie A.; Reiter, Lawrence A.; Laird, Ellen R.; Chang, Shang-Po P.; Danley, Dennis E.; Downs, James T.; Elliott, Nancy C.; Eekra, James D.; Griffiths, Richard J.; Hardink, Joel R.; Haugto, Amber L.; Jones, Christopher S.; Liras, Jennifer L.; Lopresti-Morrow, Lori L.; Mitchell, Peter G.; Pandit, Jayvardhan; Robinson, Ralph P.; Subramanyam, Chakrapani; Vaughn-Bowser, Marcie L.;

Yocum, Sue A.
CS Pfizer Global Research and Development, Groton Laboratories, Groton, CT, 06340, USA
SO Bioorganic & Medicinal Chemistry Letters (2005), 15(7), 1807-1810
CODEN: BMCLBB; ISSN: 0960-894X
PB Elsevier B.V.
DT Journal
LA English
OS CASREACT 142:456259
GI



AB Through the use of computational modeling, a series of pyrimidinetrione-based inhibitors of MMP-13 was designed based on a lead inhibitor (I) identified through file screening. Incorporation of a biaryl ether moiety at the C-5 position of the pyrimidinetrione ring resulted in a dramatic enhancement of MMP-13 potency. Protein crystallog. revealed that this moiety binds in the S1' pocket of the enzyme. Optimization of the C-4 substituent of the terminal aromatic ring led to incorporation of selectivity vs. MMP-14 (MT-1 MMP). Structure activity relationships of the biaryl ether substituent are presented as is pharmacokinetic data for a compound that meets our in vitro potency and selectivity goals.

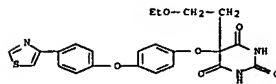
IT 420122-19-24 420122-24-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(pyrimidinetrione derivs. preparation and structure-related inhibition of MMP-13 and MMP-14)

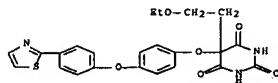
RN 420122-19-6 CAPLUS

CN 2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(2-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)



RN 420122-24-3 CAPLUS

CN 2,4,6-(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-(4-(2-thiazolyl)phenoxy)phenoxy]- (CA INDEX NAME)

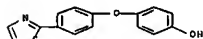


IT 213315-40-3 864096-39-5

RL: RCT (Reactant); RACT (Reactant or reagent)
(pyrimidinetrione deriv. preparation and structure-related inhibition of MMP-13 and MMP-14)

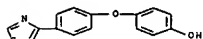
RN 213315-40-3 CAPLUS

CN Phenol, 4-[4-(2-thiazolyl)phenoxy]- (CA INDEX NAME)



RN 864086-39-5 CAPLUS

CN Phenol, 4-[4-(4-thiazolyl)phenoxy]- (CA INDEX NAME)

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 24 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2004:1156488 CAPLUS [Full-text](#)

DN 142:69226

TI Method for promoting impaired wound healing

IN Nilsson, Cecilia; Dreifeldt, Catrine

PA Biovitrum Ab, Swed.

SO PCT Int. Appl., 32 pp.

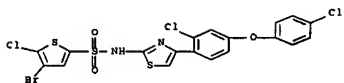
CODEN: PIXXD2

DT Patent

LA English

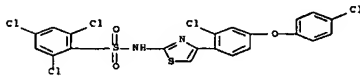
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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RN 376349-92-7 CAPLUS

CN Benzenesulfonamide, 2,4,6-trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 25 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2004:927006 CAPLUS [Full-text](#)

DN 141:395288

TI New 3,5-dihalo-4-(4-hydroxyphenoxy)phenylacetic acid derivatives useful as thyroid receptor ligands, and their preparation, pharmaceutical compositions, and methods of use

IN Ryono, Dennis E.; Hangeland, Jon J.; Friends, Todd J.; Dejneka, Tamara; Devasthale, Pratik; Caringal, Yolanda V.; Zhang, Minsheng; Doweyko, Arthur

M. P.; Malm, Johan; Sanin, Andrei

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 94 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI WO 2004112783 A1 20041229 WO 2004-SE959 20040616

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI SE 2003-1885 A 20030625

OS MARPAT 142:69226

AB The invention relates to a method for promoting wound healing, said method comprising administering to a mammal, including man, in need of such promotion an effective amount of an inhibitor of 11-β-hydroxysteroid dehydrogenase type 1 (11β-HSD1), said 11β-HSD1 inhibitor having the formula (I) wherein T, A, and B are as defined in the specification. These compounds may also be used in the manufacture of a medicament for promoting wound healing.

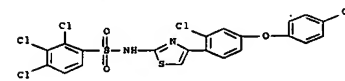
IT 276349-81-4 376349-84-7 376349-87-0

376349-92-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(method for promoting impaired wound healing)

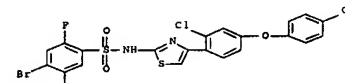
RN 376349-81-4 CAPLUS

CN Benzenesulfonamide, 2,3,4-trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



RN 376349-84-7 CAPLUS

CN Benzenesulfonamide, 4-bromo-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]-2,5-difluoro- (CA INDEX NAME)

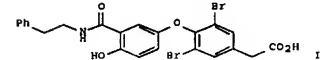
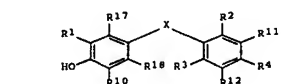


RN 376349-87-0 CAPLUS

CN 2-Thiophenesulfonamide, 4-bromo-5-chloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

OS MARPAT 141:395288

GI



AB Thyroid receptor ligands are provided which have the general formula I [wherein: R1 = (un)substituted CONR5R6, CH2NR5R6, NR5COR6, OR7, R8, 4-R9-4,5-dihydrooxazol-2-yl; R2, R3 = H, halo, C1-4 alkyl or C3-5 cycloalkyl, provided that at least 1 of R2 and R3 = H; R4 = (CH2)nR13 or (CH2)nCONR16CR13R14R15; R5, R6 = H, (hetero)aryl, (cyclo)alkyl, or (hetero)alkyl; R7 = (hetero)aryl, alkyl, or (hetero)alkyl; R8 = (hetero)aryl or cycloalkyl; R9 = R7 or H; R10 = H, halo, cyano, or alkyl; R11, R12 = H, halo, alkoxy, OH, cyano, or alkyl; R13 = COOH and esters, phosphonic and phosphinic acid and esters, sulfonic acid, tetrazole, hydroxamic acid, thiazolidinedione, acylsulfonamide, or other carboxylic acid surrogates; R14, R15 = H, alkyl, or R14R15 = (CH2)2-5, forming 3- to 6-membered cycloalkyl rings; R16 = H or C1-4 alkyl; R17 and R18 = H, halo, or alkyl; n = 0-4; X = O, S, S(O)2, S(O), Se, CO, NH, or CH2]. In addition, a method is provided for preventing, inhibiting or treating diseases or disorders associated with metabolism dysfunction, or which are dependent upon the expression of, a T3 regulated gene, wherein a compound I is administered therapeutically. Claims cover the above, as well as pharmaceutical compns. containing I, and methods of coadministration of I with other compns., particularly certain antidiabetic agents. Compds. I include selective agonists, partial agonists, antagonists, and partial antagonists of thyroid receptors (no data). Approx. 168 compds. were prepared for instance, Me (3,5-dibromo-4-hydroxyphenyl)acetate underwent O-arylation with (4-MeOC6H4)2I+ BF4-, and the resultant 4-methoxyphenyl ether derivative underwent a sequence of: (1) formylation in the 3-position, (2) O-demethylation, (3) oxidation of the aldehyde to an acid, (4) amidation of the acid, and (5) alkaline saponification of the ester, to give title compound II.

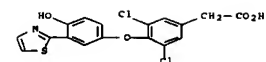
IT 725239-54-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (dihalo(hydroxyphenoxy)phenyl)acetic acid derivs. as thyroid receptor ligands)

RN 725239-54-3 CAPLUS

CN Benzenesulfonamide, 3,5-dichloro-4-[4-(hydroxy-3-(2-thiazolyl)phenoxy)- (CA INDEX NAME)



LJ1 ANSWER 26 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2004:465510 CAPLUS Full-text

DN 141:133551

TI Thyroid receptor ligands. Part 2: thyromimetics with improved selectivity for the thyroid hormone receptor beta

AU Hangeland, Jon J.; Dowsky, Arthur M.; Dejneka, Tamara; Friends, Todd J.; Devasthais, Pratik; Mellstrom, Karin; Sandberg, Johnny; Grynfors, Marlena; Sack, John S.; Einspahr, Howard; Faernegardh, Mathias; Hsman, Bolette; Ljunggren, Jan; Koehler, Konrad; Sheppard, Cheryl; Malm, Johan; Ryno, Denis E.

CS Pharmaceutical Research Institute, Bristol-Myers Squibb, Princeton, NJ, 08543, USA

SO Bioorganic & Medicinal Chemistry Letters (2004), 14(13), 3549-3553

CODEN: BMCLB8; ISSN: 0960-894X

PB Elsevier Science B.V.

DT Journal

LA English

OS CASREACT 141:133551

AB A set of thyromimetics having improved selectivity for TR-β1 were prepared by replacing the 3'-iso-Pr group of 2 and 3 with substituents having increased steric bulk. From this limited SAR study, the most potent and selective compounds identified were derived from 2 and contained a 3'-Ph moiety bearing small hydrophobic groups meta to the biphenyl link. X-ray crystal data of 15c complexed with TR-β1 LBD shows methionine 442 to be displaced by the bulky R3' Ph Et amide side chain. Movement of this amino acid side chain provides an expanded pocket for the bulky side chain while the ligand-receptor complex retains full agonist activity.

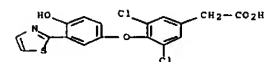
IT 141:133551

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(structure activity relationships of thyromimetics with selectivity for thyroid hormone receptor beta)

RN 725239-54-3 CAPLUS

CN Benzeneacetic acid, 3,5-dichloro-4-[4-hydroxy-3-(2-thiazolyl)phenoxy]-(CA INDEX NAME)



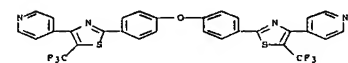
RE.CMT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ1 ANSWER 27 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

(preparation of bisoxazoles and bisthiazoles as anticancer agents)

RN 637324-44-8 CAPLUS

CN Pyridine, 4,4'-[oxybis[4,1-phenylene[5-(trifluoromethyl)-2,4-thiazolediyl]]]bis- (9CI) (CA INDEX NAME)



LJ1 ANSWER 28 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2004:162697 CAPLUS Full-text

DN 140:199318

TI Preparation of 4,4'-bipyridyl-2,2'-bisoxazoles and 4,4'-bipyridyl-2,2'-bisthiazoles as anticancer agents

IN Martin Sanchez-Cantalejo, Yolanda; Villa Hormaeche, Maria Jesus; Saez Pizarro, Beatriz; Soto Romero, Javier; Fernandez Brana, Miguel; Lacal Sanjuan, Juan Carlos

PA Consejo Superior de Investigaciones Cientificas, Spain; Universidad Europea de Madrid

SO PCT Int. Appl., 20 pp.

CODEN: PIXXD2

DT Patent

LA Spanish

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004016622	A1	20040226	WO 2003-ES424	20030814
W: AR, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, ME, MK, MN, MM, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TH, TR, TT, TZ, UA, UG, US, VC, VN, YU, ZA, ZM, ZW				
RN: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, NG, TD, TG				
ES 2200706	B1	20050601	ES 2002-1938	20020816
AU 2003260515	A1	20040303	AU 2003-260515	20030814
PRAI ES 2002-1938	A	20020816		
WO 2003-ES424	W	20030814		
OS MARPAT 140:199318				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I=2Y- [wherein X = O or S; Z = direct bond, or 1,2-ethyldiene, isopropylidene, p,p'-biphenyl, p-phenylene, m-phenylene, 2,6-pyridyldiene, p,p'-oxydiphenylene, p,p'-hexafluoroisopropylidenediphenylene]

AN 2004:285596 CAPLUS Full-text

DN 140:270844

TI Preparation of 4,4'-bipyridyl-2,2'-bisoxazoles and 4,4'-bipyridyl-2,2'-bisthiazoles as anticancer agents

IN Sanchez-Cantalejo, Yolanda Martin; Villa Hormaeche, Maria Jesus; Saez Pizarro, Beatriz; Soto Romero, Javier; Fernandez Brana, Miguel; Lacal Sanjuan, Juan Carlos

PA Consejo Superior de Investigaciones Cientificas, Spain; Universidad Europea de Madrid

SO Span., 11 pp.

CODEN: SPXXAD

DT Patent

LA Spanish

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI ES 2183734	A1	20030316	ES 2001-1818	20010802
PRAI ES 2001-1818		20010802		
OS MARPAT 140:270844				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

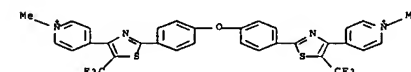
AB Title compds. I=2Y- [wherein X = O or S; Z = a bond or 1,2-ethylene, isopropylidene, p,p'-biphenylene, p-phenylene, m-phenylene, 2,6-pyridyldiene, p,p'-oxydiphenylene, p,p'-hexafluoroisopropylidenediphenylene; R = H, organic residues; when R' = alkyl or absent; Y = sulfate, methanesulfonate, hydrochloride, phosphate, nitrate, acetate, propionate, butyrate, palmitate, oxalate, malonate, maleate, fumarate, citrate, benzoate or absent] were prepared as antiproliferative agents for treating human tumors. For example, II was prepared by cyclization of III with trifluoroanhydride in the presence of Py/toluene for 12 h at room temperature. It inhibited proliferation of HT29 cells with an IC50 value of 0.75 μM. Thus, I are useful as anticancer agents.

IT 662144-97-0

RL: SPN (Synthetic preparation); PREP (Preparation) (anticancer agent; preparation of bisoxazoles and bisthiazoles as anticancer agents)

RN 662144-97-0 CAPLUS

CN Pyridinium, 4,4'-[oxybis[4,1-phenylene[5-(trifluoromethyl)-2,4-thiazolediyl]]]bis[1-methyl-, diiodide (9CI) (CA INDEX NAME)



● 2 I -

IT 637324-44-8

RL: RCT (Reactant); RACT (Reactant or reagent)

R = H, or common organic substituents; R' = none or alkyl; Y = sulfate, methanesulfonate, hydrochloride, phosphate, nitrate, acetate, propionate, butyrate, palmitate, oxalate, malonate, maleate, fumarate, citrate, benzoate or absent when R = COOH] were prepared as antiproliferative agents against malignant cells such as HT-29. The invention also relates to the industrial production of I and their pharmaceutical compns. for use in treatment human tumors. For example, II was prepared, in 80% yield, by cyclization of III with acetic anhydride in the presence of SnCl4/TEA for 4 h at reflux. I showed antiproliferative activity against the HT29 cell lines with IC50 ranging between 0.2 - 5.7 μM, except for one compound Thus, I and their formulations are useful for treating neoplasms.

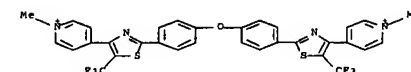
IT 662144-97-0

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(anticancer agent; preparation of 4,4'-bipyridyl-2,2'-bisoxazoles and 4,4'-bipyridyl-2,2'-bisthiazoles as anticancer agents)

RN 662144-97-0 CAPLUS

CN Pyridinium, 4,4'-[oxybis[4,1-phenylene[5-(trifluoromethyl)-2,4-thiazolediyl]]]bis[1-methyl-, diiodide (9CI) (CA INDEX NAME)



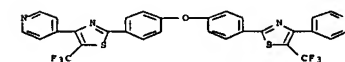
● 2 I -

IT 637324-44-8

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of 4,4'-bipyridyl-2,2'-bisoxazoles and 4,4'-bipyridyl-2,2'-bisthiazoles as anticancer agents)

RN 637324-44-8 CAPLUS

CN Pyridine, 4,4'-[oxybis[4,1-phenylene[5-(trifluoromethyl)-2,4-thiazolediyl]]]bis- (9CI) (CA INDEX NAME)



RE.CMT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

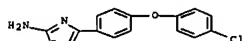
LJ1 ANSWER 29 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2004:151244 CAPLUS Full-text

DN 140:368073

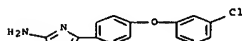
TI Synthesis and evaluation of substituted 4-aryloxy- and 4-arylsulfonyl-phenyl-2-aminothiazoles as inhibitors of human breast

cancer cell proliferation
AU Gorczynski, Michael J.; Leal, Rachel M.; Mooberry, Susan L.; Bushweller,
John H.; Brown, Milton L.
CS Department of Chemistry, University of Virginia, Charlottesville, VA,
22904, USA
SO Bioorganic & Medicinal Chemistry (2004), 12(5), 1029-1036
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 140:368073
AB Several substituted 4-aryloxy- and 4-arylsulfanyl-phenyl-2-aminothiazoles were
synthesized and evaluated for cytotoxic activity against estrogen-pos.,
estrogen-neg., and adriamycin-resistant human breast cancer cell lines. 4-
[4-(3,4-Dichlorophenoxy)-phenyl]-thiazol-2-yl ammonium iodide demonstrated
potent activity against both estrogen-pos. and neg. breast cancer cell lines
with low micromolar (μM) GI50 values. In addition, we have identified several
2-aminothiazoles that demonstrated selective potency for the adriamycin-
resistant and estrogen-neg. breast cancer cell lines. The results suggest
that these 2-aminothiazoles represent lead compds. for evaluation in animal
models of breast cancer.
IT 684255-32-1P 684255-33-2P 684255-34-3P
684255-35-4P 684255-36-5P 684255-37-6P
684255-38-7P 684255-39-8P 684255-40-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(synthesis and structure-activity relationship studies of substituted
4-aryloxy- and 4-arylsulfanyl-Ph-2-aminothiazoles as inhibitors of
human breast cancer cell proliferation)
RN 684255-32-1 CAPLUS
CN 2-Thiazolamine, 4-[4-(4-chlorophenoxy)phenyl]-, monohydriodide (9CI) (CA
INDEX NAME)

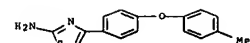


● HI

RN 684255-33-2 CAPLUS
CN 2-Thiazolamine, 4-[4-(3-chlorophenoxy)phenyl]-, monohydriodide (9CI) (CA
INDEX NAME)

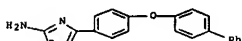


● HI



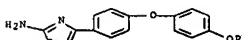
● HI

RN 684255-38-7 CAPLUS
CN 2-Thiazolamine, 4-[4-([1,1'-biphenyl]-4-yloxy)phenyl]-, monohydriodide
(9CI) (CA INDEX NAME)



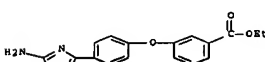
● HI

RN 684255-39-8 CAPLUS
CN 2-Thiazolamine, 4-[4-(4-phenoxyphenoxy)phenyl]-, monohydriodide (9CI) (CA
INDEX NAME)



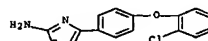
● HI

RN 684255-40-1 CAPLUS
CN Benzoic acid, 3-[4-(2-amino-4-thiazolyl)phenoxy]-, ethyl ester,
monohydriodide (9CI) (CA INDEX NAME)



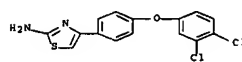
● HI

RN 684255-34-3 CAPLUS
CN 2-Thiazolamine, 4-[4-(2-chlorophenoxy)phenyl]-, monohydriodide (9CI) (CA
INDEX NAME)



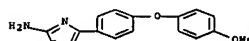
● HI

RN 684255-35-4 CAPLUS
CN 2-Thiazolamine, 4-[4-(3,4-dichlorophenoxy)phenyl]-, monohydriodide (9CI)
(CA INDEX NAME)



● HI

RN 684255-36-5 CAPLUS
CN 2-Thiazolamine, 4-[4-(4-methoxyphenoxy)phenyl]-, monohydriodide (9CI) (CA
INDEX NAME)

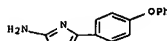


● HI

RN 684255-37-6 CAPLUS
CN 2-Thiazolamine, 4-[4-(4-methylphenoxy)phenyl]-, monohydriodide (9CI) (CA
INDEX NAME)



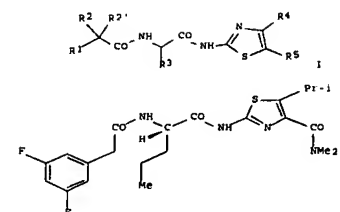
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis and structure-activity relationship studies of substituted
4-aryloxy- and 4-arylsulfanyl-Ph-2-aminothiazoles as inhibitors of
human breast cancer cell proliferation)
RN 684255-31-0 CAPLUS
CN 2-Thiazolamine, 4-(4-phenoxyphenyl)-, monohydriodide (9CI) (CA INDEX
NAME)



● HI

RE.CNT 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 30 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 2004:59992 CAPLUS [Full-text](#)
DN 140:128678
TI Preparation of acylaminothiazoles as inhibitors of formation of β
amyloid and their therapeutic applications
IN Baltzer, Sylvie; Schoentjes, Bruno; Van Dorsselaer, Viviane
PA Sanofi-Synchelabo, Fr.
SO Fr. Demande, 85 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1
PATENT NO. KIND DATE APPLICATION NO. DATE
P1 FR 2842523 A1 20040123 FR 2002-9061 20020717
WO 2004009565 A2 20040129 WO 2003-FR2194 20030711
WO 2004009565 A3 20040408
M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
AU 2003269018 A1 20040209 AU 2003-269018 20030711
EP 1525193 A2 20050427 EP 2003-750801 20030711
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
JP 2005538086 T 20051215 JP 2004-522233 20030711
US 2005182104 A1 20050818 US 2005-35803 20050114
US 7291636 B2 20071106
PRAI FR 2003-9061 A 20020717
WO 2003-FR2194 M 20030711
OS MARPAT 140:128678



II

AB Acylaminothiazoles (shown as I; variables defined below; e.g. II), methods for their preparation, intermediates, pharmaceutical compns. and therapeutic applications are claimed. Compds. I are claimed effective by inhibition of formation of β amyloid peptide (β -A4; IC50 < 500 nM in general but no specific values stated) against disorders such as senile dementia, Alzheimer's disease, Down syndrome, Parkinson's disease, amyloid angiopathy and cerebrovascular disorders (no data). For I: R1 = (un)substituted C1-6 alkyl, C3-7 cycloalkyl, chienyl, benzothiophenyl, pyridinyl, furanyl or (un)substituted phenyl; R2 and R3 = H, halo, hydroxy, C1-3 alkoxy, C1-3 alkyl, C3-7 cycloalkyl, O-C(O)-C1-6 alkyl, or R2 and R3 = oxo; R4 = H or (un)substituted C1-6 alkyl; R5 and R6 = H, (un)substituted C1-7 alkyl, (un)substituted C3-7 cycloalkyl, (un)substituted Ph, naphthyl or -C(X)R6; at least one of R4 or R5 = -C(X)R6; X = O or S; R6 = C1-6 alkoxy, OH or -NR7R8; R7 and R8 = H, (un)substituted C1-6 alkyl, (un)substituted C3-7 cycloalkyl, C1-6 alkoxy or (un)substituted phenyl; or R7 and R8, with the N to which they are bound, form a ring = aziridine, azetidine, pyrrolidine, piperidine or morpholine; addnl. details are given in the claims. Twenty-five example preps. of I and characterization data for .apprx.185 examples of I are included. For example, II was prepared in 5 steps starting from Me 2-amino-5-(1-methylethyl)thiazole-4-carboxylate and involving intermediates 2-[(tert-butoxycarbonyl)amino]-5-(1-methylethyl)thiazole-4-carboxylic acid, N,N-dimethyl-2-[(tert-butoxycarbonyl)amino]-5-(1-methylethyl)thiazole-4-carboxamide, N,N-dimethyl-2-amino-5-(1-methylethyl)thiazole-4-carboxamide and N,N-dimethyl-2-[(2S)-2-aminopentanoylamino]-5-(1-methylethyl)thiazole-4-carboxamide.

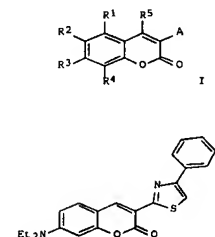
IT 5:47:45 0:1:2:2, Methyl 2-[[[(2S)-2-[[[2-(3,5-difluorophenyl)acetyl]amino]pentanoyl]amino]-5-(3-phenoxyphenyl)thiazole-4-carboxylate

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of acylaminothiazoles as inhibitors of formation of β amyloid and their therapeutic applications)

RN 649738-99-8 CAPLUS

CN 4-Thiazolecarboxylic acid, 2-[[[(2S)-2-[[[2-(3,5-difluorophenyl)acetyl]amino]-1-oxopentyl]amino]-5-(3-phenoxyphenyl)-, methyl ester (9CI) (CA INDEX



II

AB Title compds. I [wherein A = aromatic or nonarom. 4- to 7-membered heterocycle, optionally substituted on the carbon atoms; R1, R2, R3, R4 = independently H, alkyl optionally interrupted by one or more heteroatoms, OH and derivs., haloalkoxy, phenoxy, aralkoxy, acyloxy, monoalkyl/bisalkyl/amino, halo, NO2, CN, CF3, CO2H and derivs., alkyl/amino/alkylamino/sulfonyl, mercapto, alkylthio, alkylsulfinyl, or R1CCR2, R2CCR3, R3CCR4 = hetero/aryl ring, -C1(O)(CH2)nNR6R7, CH2NR6R7; R6, R7 = independently H, alkyl or R6R7N = pyrrolidino, piperidino, piperazino or morpholino ring; n = 0, 2, 3, 4; R5 = H, ar/alkyl; their pharmaceutical acceptable salts, solvates, amides, esters, N-oxides, chemical protected forms and prodrugs] were prepared as inhibitors of vascular endothelial growth factor (VEGF), and useful as angiogenesis inhibitors in vivo or in vitro and as antiproliferative agents. For example, II was prepared, in 93% yield, by cyclization of (4-phenylthiazol-2-yl)acetonitrile (preparation given) with 4-(N,N-diethylamino)salicylaldehyde. In a VEGF-Luciferase assay, II showed an IC50 = 10 μ M for the inhibition of the activation of the VEGF promoter in hepatoma 3B (Hep3B) cell line. Thus, I and their pharmaceutical compns. are useful for treating cancer.

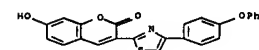
IT 6:46:57-5:1:2:2, 3-[4-(4'-Phenoxyphenyl)thiazol-2-yl]-7-hydroxychromen-2-one 3:3:126-21 8P, 3-[4-(4'-Phenoxyphenyl)thiazol-2-yl]-6-(n-hexyl)-7-hydroxychromen-2-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

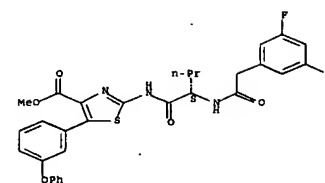
(inhibitor of VEGF production; preparation of 2-chromenones as inhibitors of VEGF production in mammalian cells)

RN 303067-53-0 CAPLUS

CN 2H-1-Benzopyran-2-one, 7-hydroxy-3-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



Absolute stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 31 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:1006767 CAPLUS Full-text

DN 140:42032

TI Preparation of 2-chromenones as inhibitors of VEGF production in mammalian cells, as well as of the angiogenesis, and useful as antiproliferative agents for treatment of cancer

IN Ments, Ernesto; Da Re, Giovanni; Grugni, Mario

PA Novuspharma S.p.A., Italy

SO PCT Int. Appl., 114 pp.

CO:EN: PIXXD2

DT Patent

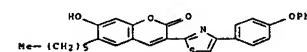
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI MO 2003105842	A1	20031224	MO 2003-EP6191	20030612
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RM:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003245935	A1	20031231	AU 2003-245935	20030612
US 2006122387	A1	20060608	US 2005-517805	20051205
PRAI US 2002-387917P	P	20020613		
MO 2003-EP6191	W	20030612		
OS MARPAT 140:42032				
G1				

RN 303126-21-8 CAPLUS

CN 2H-1-Benzopyran-2-one, 6-hexyl-7-hydroxy-3-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



IT 298194-30-6P, [4-(4'-Phenoxyphenyl)thiazol-2-yl]acetonitrile

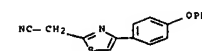
313231-80-0P 6:37:40-54-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 2-chromenones as inhibitors of VEGF production in mammalian cells)

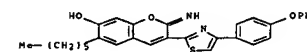
RN 298194-30-6 CAPLUS

CN 2-Thiazoleacetonitrile, 4-(4-phenoxyphenyl)- (CA INDEX NAME)



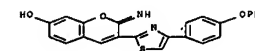
RN 313231-80-0 CAPLUS

CN 2H-1-Benzopyran-7-ol, 6-hexyl-2-imino-3-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



RN 637040-54-1 CAPLUS

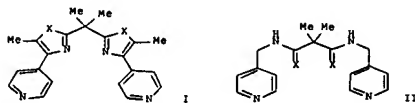
CN 2H-1-Benzopyran-7-ol, 2-imino-3-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



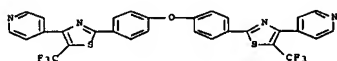
RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 32 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2003:030736 CAPLUS [Full-text](#)
 DN 140:59551
 TI A new convergent synthesis of 4,4'-bispyridyl-5,5'-disubstituted-2,2'-bisoxazoles and -bisthiazoles
 AU Martin-Cantalejo, Yolanda; Saez, Beatriz; Soto, Javier; Villa, Maria Jesus; Brana, Miguel P.
 CS Departamento de Química y Materiales, Escuela Superior Politécnica, Universidad Europea de Madrid, Madrid, 28670, Spain
 SO Synthesis (2003), (14), 2211-2215
 CODEN: SYNTBF; ISSN: 0039-7881
 PB Georg Thieme Verlag
 DT Journal
 LA English
 OS CASREACT 140:59551
 GI

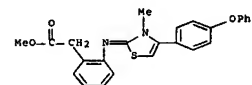


AB A convergent strategy for the synthesis of 4,4'-bispyridyl-5,5'-disubstituted-2,2'-bisoxazoles and -bisthiazoles, e.g., I (X = O, S), from diamides, e.g., II, has been achieved.
 IT 637224-44-8P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of bispyridyl bisoxazoles and bisthiazoles from diamides)
 RN 637224-44-8 CAPLUS
 CN Pyridine, 4,4'-[oxybis[4,1-phenylene[5-(trifluoromethyl)-2,4-thiazolidyl]]]bis- (9CI) (CA INDEX NAME)



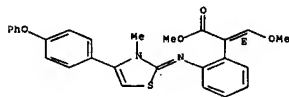
RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 33 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2003:5930 CAPLUS [Full-text](#)
 DN 138:73261
 TI Preparation of heterocyclyliminophenyl compounds as agricultural and horticultural fungicides and insecticides
 IN Niki, Toshio; Mizukoshi, Takashi; Takahashi, Hiroaki; Satow, Jun; Ogura, Tomoyuki; Yamagishi, Kazuhiro; Suzuki, Hiroyuki; Hayasaka, Fumio
 PA Nissan Chemical Industries, Ltd., Japan



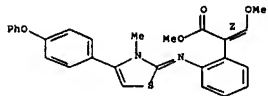
RN 347874-12-8 CAPLUS
 CN Benzenecetic acid, α -(methoxymethylene)-2-[(3-methyl-4-(4-phenoxyphenyl)-2(3H)-thiazolidene)amino]-, methyl ester, (αE) - (CA INDEX NAME)

Double bond geometry as described by E or Z.



RN 347874-13-9 CAPLUS
 CN Benzenecetic acid, α -(methoxymethylene)-2-[(3-methyl-4-(4-phenoxyphenyl)-2(3H)-thiazolidene)amino]-, methyl ester, (αZ) - (CA INDEX NAME)

Double bond geometry as described by E or Z.

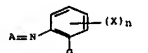


RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 34 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2002:925264 CAPLUS [Full-text](#)
 DN 138:11431
 TI 5-HT1a antagonist or an $\alpha 2$ -adrenergic antagonist in combination with an serotonin reuptake inhibitor for treatment of sleep disorders, including sleep apnea
 IN Howard, Harry Ralph, Jr.
 PA Pfizer Products Inc., USA
 SO Eur. Pat. Appl., 22 pp.

SO PCT Int. Appl., 508 pp.
 CODEM: PIXKD2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003000659	A1	20030103	WO 2002-JP6424	20020626
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GR, GW, HR, HU, ID, IL, IN, IS, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
JP 2004002250	A	20040108	JP 2002-184667	20020625
AU 2002318545	A1	20030108	AU 2002-318545	20020626
PRAI JP 2001-192285	A	20010626		
JP 2001-193428	A	20010626		
JP 2001-385120	A	20011218		
JP 2001-386846	A	20011220		
JP 2002-90213	A	20020328		
WO 2002-JP6424	W	20020626		
OS MARPAT 138:73261				
GI				

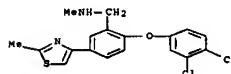


AB The title compds. I [A is an optionally substituted heterocycle; X is hydrogen or the like; and G is CH2COOMe, N(Me)COOMe, or the like; n = 0-4] are prepared. Compds. of this invention at 500 ppm gave $\geq 70\%$ control of *Pyricularia oryzae*.
 IT 347873-88-5P 347874-12-8P 347874-13-5P
 RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of heterocyclyliminophenyl compds. as agricultural and horticultural fungicides and insecticides)

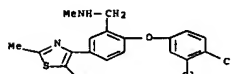
RN 347873-88-5 CAPLUS
 CN Benzenecetic acid, 2-[(3-methyl-4-(4-phenoxyphenyl)-2(3H)-thiazolidene)amino]-, methyl ester (CA INDEX NAME)

CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

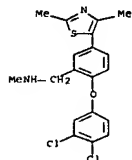
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1262197	A2	20021204	EP 2002-253589	20020522
EP 1262197	A3	20021218		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 2002183306	A1	20021205	US 2002-75849	20020213
CA 2387699	A1	20021130	CA 2002-2387699	20020528
BR 2002001974	A	20030422	BR 2002-1974	20020528
JP 2002026602	A	20030129	JP 2002-155222	20020529
MX 2002PA05380	A	20021209	MX 2002-PA5380	20020530
PRAI US 2001-294322P	P	20010530		
OS MARPAT 138:11431				
AB	The invention provides a method of treating sleep disorders, including sleep apnea, in a mammal, including a human, by administering to the mammal a 5-HT1a antagonist or an $\alpha 2$ -adrenergic antagonist in combination with an serotonin reuptake inhibitor (SRI) antidepressant agent with improvement in efficacy. Also provided are pharmaceutical compns. containing a pharmaceutically acceptable carrier, a 5-HT1a antagonist or an $\alpha 2$ -adrenergic antagonist, and an SRI antidepressant agent.			
IT 444888-70-4 444889-73-7 444895-79-2				
RL:	PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (5-HT1a antagonist or $\alpha 2$ -adrenergic antagonist in combination with serotonin reuptake inhibitor for treatment of sleep disorders, including sleep apnea)			
RN 444888-70-4 CAPLUS				
CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-methyl-4-thiazolyl)- (CA INDEX NAME)				



RN 444888-73-7 CAPLUS
 CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(2,5-dimethyl-4-thiazolyl)-N-methyl- (CA INDEX NAME)



RN 444888-79-3 CAPLUS
CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(2,4-dimethyl-5-thiazolyl)-N-methyl- (CA INDEX NAME)



L31 ANSWER 35 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:925263 CAPLUS Full-text

DN 138:336

TI Combination of a monoamine reuptake inhibitor and an opioid antagonist for use in alcoholism and alcohol dependence

IN Howard, Harry Ralph, Jr.

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 37 pp.

CODEN: EPXXDW

DT Patent

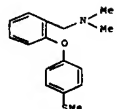
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1262196	A2	20021204	EP 2002-253105	20020502
EP 1262196	A3	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2002370975	A	20021224	JP 2002-132804	20020508
AU 200240686	A	20021205	AU 2002-40686	20020516
CA 2386740	A1	20021123	CA 2002-2386740	20020517
ZA 2002004019	A	20031121	ZA 2002-4019	20020521
US 2003130322	A1	20030710	US 2002-153379	20020522
HU 2002001722	A2	20030728	HU 2002-1722	20020522
CN 1386503	A	20021225	CN 2002-120350	20020523
US 2004162316	A1	20040819	US 2004-783196	20040220
PRA1 US 2001-293088P	P	20010523		
US 2002-153379	A1	20020522		

OS MARPAT 138:336

GI



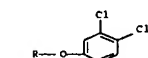
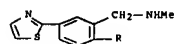
AB The present invention relates to a method of treating alcoholism or alc. dependence in a mammal, including a human, by administering to the mammal a monoamine reuptake inhibitor in combination with an opioid antagonist. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a monoamine reuptake inhibitor and an opioid antagonist. An example monoamine reuptake inhibitor is 1.

IT 334990-60-8 476110 73 2

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of a monoamine reuptake inhibitor and an opioid antagonist for use in alcoholism and alc. dependence)

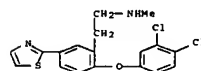
RN 334980-60-8 CAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-thiazolyl)- (CA INDEX NAME)



RN 476310-78-8 CAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-thiazolyl)- (CA INDEX NAME)



L31 ANSWER 36 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:907188 CAPLUS Full-text

DN 138:1673

TI Inhibitors of histone deacetylase and their therapeutic use
IN Curtin, Michael L.; Dai, Yujia; Davidson, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holms, James H.; Ji, Zhiqin; Michaelides, Michael R.; Vasudevan, Anil; Wada, Carol K.

PA USA

SO U.S. Pat. Appl. Publ., 49 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002177594	A1	20021128	US 2001-45747	20011026
PRA1 US 2001-275770P	P	20010314		
US 2001-308435P	P	20010726		

OS MARPAT 138:1673

AB Comps. having the formula (R4L2)NLCR1R2R3 (n = 1,2; L1 = alkenylene, alkylene, alkenylene, cycloalkylene, heteroalkylene, alkylene-CONR5, alkylene, alkylene-O-alkylene; L2 = bond, C2-alkenylene, O, S, SO2, OC(O)NR5, NR6C(O), C(O)NR6, SO2NR6, NR6SO2, C(N)O, NR6C(O)NR6, C(O)NR6NR6C(O); R1 = alkanoyl, alkoxy-carbonyl, aminocarbonyl, carboxy, haloalkyl, heterocycle; R2,R3 = OH or R2,R3 together = oxo; R4 = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, (cycloalkyl)alkyl, heterocycle, (heterocycle)alkyl; R5,R6 = hydrogen, alkyl, aryl, arylalkyl; R4,R6 and N to which they are attached = heterocycle) or therapeutically acceptable salts thereof, are histone deacetylase (HDAC) inhibitors. Preparation of the compds., compns. containing the compds., and treatment of diseases using the compds. are disclosed. Thus, more than 200 histone deacetylase inhibitors (no data) were synthesized.

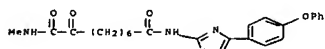
IT 434151-64-42

RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(inhibitors of histone deacetylase and their therapeutic use)

RN 436151-99-4 CAPLUS

CN Nonanediamide, N1-methyl-2-oxo-N9-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



L31 ANSWER 37 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:904125 CAPLUS Full-text

DN 137:380038

TI Combination treatment with monoamine reuptake inhibitor and dopamine D3 receptor agonist for depression and anxiety

IN Howard, Harry Ralph, Jr.

PA Pfizer Products Inc., USA

SO Eur. Pat. Appl., 31 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1260221	A2	20021127	EP 2002-253135	20020503
EP 1260221	A3	20021218		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
CA 2386287	A1	20021123	CA 2002-2386287	20020514
AU 200240681	A	20021205	AU 2002-40681	20020516
JP 2002370976	A	20021224	JP 2002-141515	20020516
ZA 2002004018	A	20031121	ZA 2002-4018	20020521
HU 2002001720	A2	20030728	HU 2002-1720	20020522
CN 1386504	A	20021225	CN 2002-120351	20020523
PRA1 US 2001-293063P	P	20010523		

OS MARPAT 137:380038

AB The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a monoamine reuptake inhibitor in combination with a dopamine D3 receptor agonist. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a monoamine reuptake inhibitor and a dopamine D3 receptor agonist.

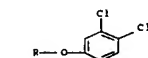
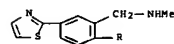
IT 334990-60-8 334990-65-3

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(monoamine reuptake inhibitor; combination treatment with monoamine reuptake inhibitor and dopamine D3 receptor agonist for depression and anxiety)

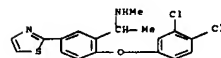
RN 334980-60-8 CAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-thiazolyl)- (CA INDEX NAME)



RN 334980-65-3 CAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-thiazolyl)- (CA INDEX NAME)



L31 ANSWER 38 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:674788 CAPLUS Full-text

DN 137:195595

TI Atypical antipsychotic-antidepressant combination for treatment of depression, obsessive compulsive disorder, and psychosis
 IN Howard, Harry R., Jr.
 PA Pfizer Inc., USA
 SO U.S. Pat. Appl. Publ., 20 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002123490	A1	20020905	US 2001-10651	20011206
EP 1238676	A1	20020911	EP 2002-251153	20020220
EP 1238676	B1	20040519		

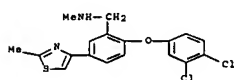
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

AT 267021	T	20040615	AT 2002-251153	20020220
PT 1238676	T	20040831	PT 2002-251153	20020220
ES 2217239	T3	20041101	ES 2002-2251153	20020220
CA 2373596	A1	20020901	CA 2002-2373596	20020227
JP 200210801	A	20021023	JP 2002-50579	20020227
US 2001-272619P	P	20010301		

OS MARPAT 137:195595
 AB The invention provides a method for treating depression, obsessive compulsive disorder, and psychosis in a mammal, including a human, by administering to the mammal an atypical antipsychotic in combination with an antidepressant agent with improvement in efficiency. It also provides pharmaceutical compns. containing a pharmaceutically acceptable carrier, an atypical antipsychotic, and a serotonin reuptake inhibitor.

IT 444888-70-4 444888-73-7 444888-79-3
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (atypical antipsychotic-antidepressant combination for treatment of depression, obsessive compulsive disorder, and psychosis)

RN 444888-70-4 CAPLUS
 CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-methyl-4-thiazolyl)- (CA INDEX NAME)

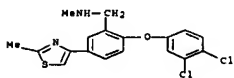


RN 444888-73-7 CAPLUS
 CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(2,5-dimethyl-4-thiazolyl)-N-methyl- (CA INDEX NAME)

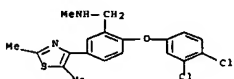
antagonist in combination with a serotonin reuptake inhibitor (SRI)
 antidepressant agent with improvement in sexual function and/or reduction in gastro-intestinal side effects. It also relates to pharmaceutical compns. containing a pharmaceutically acceptable carrier, a 5-HT₃ receptor antagonist and an SRI antidepressant. The ratio of the 5-HT₃ receptor antagonist and the SRI antidepressant agent is between 0.001 to 1 and 1000 to 1, and especially between 0.01 to 1 and 100 to 1 (no data).

IT 444888-70-4 444888-73-7 444888-79-3
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (combination of 5-HT₃ receptor antagonist with serotonin reuptake inhibitor for treatment of depression)

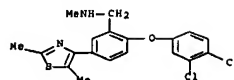
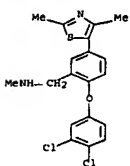
RN 444888-70-4 CAPLUS
 CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-methyl-4-thiazolyl)- (CA INDEX NAME)



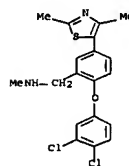
RN 444888-79-3 CAPLUS
 CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(2,5-dimethyl-4-thiazolyl)-N-methyl- (CA INDEX NAME)



RN 444888-79-3 CAPLUS
 CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(2,4-dimethyl-5-thiazolyl)-N-methyl- (CA INDEX NAME)



RN 444888-79-3 CAPLUS
 CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-5-(2,4-dimethyl-5-thiazolyl)-N-methyl- (CA INDEX NAME)



L31 ANSWER 39 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2002:595509 CAPLUS Full-text
 DN 137:135106
 TI Combination of a 5-HT₃ receptor antagonist with a serotonin reuptake inhibitor for the treatment of depression
 IN Howard, Harry R.
 PA USA
 SO U.S. Pat. Appl. Publ., 20 pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN, CNT 1

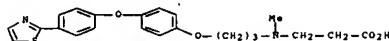
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002107244	A1	20020808	US 2001-2303	20011102
EP 1230921	A1	20020814	EP 2002-250541	20020128

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2002275097	A	20020925	JP 2002-20186	20020129
CA 2369789	A1	20020802	CA 2002-2369789	20020131
BR 2002000246	A	20021029	BR 2002-246	20020131
MX 2002PA01198	A	20020918	MX 2002-PA1198	20020201
US 2004029972	A1	20040212	US 2003-633847	20030804
PRAI US 2001-266340P	P	20010202		
US 2001-2303	B1	20011102		

OS MARPAT 137:135106
 AB The present invention relates to a method of treating depression or anxiety in a mammal, including a human, by administering to the mammal a 5-HT₃ receptor

L31 ANSWER 40 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2002:481424 CAPLUS Full-text
 DN 137:194996
 TI Synthesis of Potent Leukotriene A₄ Hydrolase Inhibitors. Identification of 3-[Methyl[3-(4-(phenylmethyl)phenoxy)propyl]amino]propanoic Acid
 AU Penning, Thomas D.; Russell, Mark A.; Chen, Barbara B.; Chen, Helen Y.; Liang, Chi-Dean; Mahoney, Matthew W.; Malecha, James W.; Miyashiro, Julie M.; Yu, Stella S.; Askonas, Leslie J.; Gierse, James K.; Harding, Elizabeth I.; Highkin, Maureen K.; Kachur, James F.; Kim, Suzanne H.; Villani-Price, Doreen; Pyla, E. Yvonne; Ghoreishi-Haack, Nayereh S.; Smith, Walter G.
 CS Department of Medicinal Chemistry and Departments of Inflammatory Diseases Research and Molecular Pharmacology, Pharmacia Corporation, Skokie, IL, 60077, USA
 SO Journal of Medicinal Chemistry (2002), 45(16), 3482-3490
 CODEN: JMCMAR, ISSN: 0022-2623
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 137:194996
 AB Leukotriene B₄ (LTB₄) is a potent, proinflammatory mediator involved in the pathogenesis of a number of diseases including inflammatory bowel disease, psoriasis, rheumatoid arthritis, and asthma. The enzyme LTA₄ hydrolase represents an attractive target for pharmacol. intervention in these disease states, since the action of this enzyme is the rate-limiting step in the production of LTB₄. Our previous efforts focused on the exploration of a series of analogs related to screening hit SC-22716 (1-[2-(4-phenylphenoxy)ethyl]pyrrolidine) and resulted in the identification of potent, orally active inhibitors. Addnl. structure-activity relation studies around this structural class resulted in the identification of a series of α-, β-, and γ-amino acid analogs that are potent inhibitors of the LTA₄ hydrolase enzyme and demonstrated good oral activity in a mouse ex vivo whole blood LTB₄ production assay. The efforts leading to the identification of clin. candidate SC-57461A (3-[methyl[3-(4-(phenylmethyl)phenoxy)propyl]amino]propanoic acid) are described.
 IT 213315-24-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation and structure activity relationships of aminopropanoic acid deriva. as leukotriene A₄ hydrolase inhibitors)
 RN 213315-24-3 CAPLUS
 CN β-Alanine, N-methyl-N-[3-[4-[4-(2-thiazolyl)phenoxy]phenoxy]propyl]- (CA INDEX NAME)



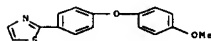
IT 213315-19-0P 213315-40-0P 213315 41 4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation and structure activity relationships of aminopropanoic acid derivs. as leukotriene A4 hydrolase inhibitors)

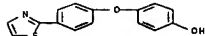
RN 213315-39-0 CAPLUS

CN Thiazole, 2-[4-(4-methoxyphenoxy)phenyl]- (CA INDEX NAME)



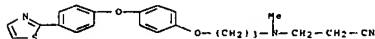
RN 213315-40-3 CAPLUS

CN Phenol, 4-[4-(2-thiazolyl)phenoxy]- (CA INDEX NAME)



RN 213315-41-4 CAPLUS

CN Propanenitrile, 3-[methyl-3-[4-[4-(2-thiazolyl)phenoxy]phenoxy]propyl]amin

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 41 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:449627 CAPLUS Full-text

DN 137:33319

TI Preparation of N-aryl, N-arylalkyl, and N-heterocyclononanamide and -octanamide derivatives and related compounds as inhibitors of histone deacetylase

IN Curtin, Michael L.; Dai, Yujia; Davidsen, Steven K.; Frey, Robin R.; Guo, Yan; Heyman, Howard R.; Holmes, James H.; Ji, Zhiqin; Michaelides, Michael R.; Vesudevan, Anil; Wada, Carol K.

PA Abbott Laboratories, USA

SO PCT Int. Appl., 111 pp.

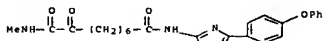
CODEN: PXXXX2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046129	A2	20020613	WO 2001-US50931	20011026
WO 2002046129	A3	20030116		

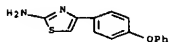


IT 124551-25-4T

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant, preparation of N-aryl, N-arylalkyl, and N-heterocyclononanamide and -octanamide derivs. and related compds. as inhibitors of histone deacetylase)

RN 105512-82-1 CAPLUS

CN 2-Thiazolamine, 4-(4-phenoxyphenyl)- (CA INDEX NAME)



L31 ANSWER 42 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2002:332169 CAPLUS Full-text

DN 136:355245

TI Preparation of pyrimidine-2,4,6-trione metalloproteinase inhibitors

IN Noe, Mark Carl; Reiter, Lawrence Alan; Wythes, Martin James

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 70 pp.

CODEN: PXXXX2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002034726	A2	20020502	WO 2001-1B1953	20011017
WO 2002034726	A3	20021017		
WO 2002034726	A9	20030306		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2425280 A1 20020502 CA 2001-2425280 20011017
AU 200210800 A 20020506 AU 2002-10800 20011017
BR 2001014917 A 20030701 BR 2001-14917 20011017
EP 1332136 A2 20030806 EP 2001-978707 20011017

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

EE 200300195 A 20031015 EE 2003-195 20011017
HU 2003002337 A 20031028 HU 2003-2337 20011017
JP 2004512327 T 20040422 JP 2002-537717 20011017

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RM: GH, GM, KE, LS, MM, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2002103192	A1	20020801	US 2001-608389	20010314
AU 2002043402	A5	20020618	AU 2002-43402	20011026
PRA1 US 2000-697387	A	20001026		
US 2001-808389	A	20010314		
WO 2001-US50931	W	20011026		

OS

AB

Compds. having the formula (R4-L2)nL1-CR1R2R3 or therapeutically acceptable salts thereof [wherein n = 1, 2; L1 = alkenylene, alkylene, alkynylene, cycloalkylene, heteroalkylene, (alkylene)-C(O)N(R5)- (alkylene), (alkylene)-O- (alkylene) (wherein each group is drawn with its left-hand end being the end which attaches to L2, and its right-hand end being the end which attaches to the carbon substituted with R1, R2, and R3); L2 =, C2 alkenylene, O, S, SO2, OC(O)NR5, N(R6)C(O), C(O)N(R6), SO2N(R6), N(R6)SO2, C=N-O, N(R6)C(O)N(R6), and C(O)N(R6)N(R6)C(O) (wherein each group is drawn with its left-hand end being the end which attaches to R4, and its right-hand end being the end which attaches to L1); R1 is selected from the group consisting of: alkanoyl, alkoxyalkonyl, CONH2, CO2H, haloalkyl, heterocyclyl (wherein the heterocycle is selected from the group consisting of: oxazolyl, dihydrooxazolyl, oxadiazolyl, and tetrazolyl); R2 = R3 = HO; or R2 and R3 together are oxo; R4 = alkoxyalkyl, alkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heterocycle, heterocyclialkyl; R5, R6 = H, alkyl, aryl, arylalkyl; or R5 and R6, together with the nitrogen atom to which they are attached, form a heterocycle selected from the group consisting of: (un)substituted morpholinyl, piperazinyl, piperidinyl, and thiomorpholinyl, which are histone deacetylase (HDAC) inhibitors (no data), are prepared. These compds. are used for the treatment of diseases, possibly e.g. several human cancers associated with malfunction in histone deacetylases. Thus, a mixture of 9,9,9-trifluoro-8-oxononanamide (50 mg, 0.22 mmol), HOBT (30 mg, 0.22 mmol), carbodiimide PS resin (720 mg), and 4-phenyl-1,3-thiazol-2-amine (0.27 mmol) in DMF (5 mL) at room temperature was agitated in a Quest 210 parallel synthesizer for 18 h, treated with trisamine PS resin (220 mg), and agitated for 2 h. The solution was decanted, the resin was rinsed with dichloromethane, and the combined solns. were concentrated, followed by purification using preparative HPLC with a gradient system of 0 to 95 % over 10 min of MeCN (containing 0.1% CF3CO2H) in water to give 9,9,9-trifluoro-8-oxo-N-(4-phenyl-1,3-thiazol-2-yl)nonanamide.

IT

474551-25-4T

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-aryl, N-arylalkyl, and N-heterocyclononanamide and -octanamide derivs. and related compds. as inhibitors of histone deacetylase)

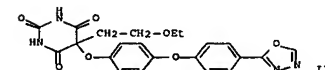
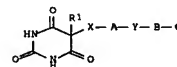
RN 438151-99-4 CAPLUS

CN Nonanediamide, N1-methyl-2-oxo-N9-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)

NZ 524774	A	20040924	NZ 2001-524774	20011017
CN 1714084	A	20051228	CN 2001-818084	20011017
IN 2003MN0308	A	20050211	IN 2003-MN308	20030317
ZA 2003002192	A	20040505	ZA 2003-2192	20030319
BG 107651	A	20031231	BG 2003-107651	20030320
NO 2003001852	A	20030623	NO 2003-1852	20030424
MX 2003PA03734	A	20030728	MX 2003-PA3734	20030425
HR 2003000331	A1	20030630	HR 2003-331	20030428
PRA1 US 2000-243314P	P	20001026		
WO 2001-1B1953	W	20011017		

OS MARPAT 136:355245

G1



AB The title compds. [I; A = (un)substituted aryl, heteroaryl; B = (un)substituted aryl, cycloalkyl, heteroaryl, etc.; X = O, CO, S, etc.; Y = a bond, O, S, etc.; R1 = H, (CH2)2OEt, (un)substituted cycloalkyl, etc.; G is a substituent on any ring carbon atom of B capable of forming an addnl. bond and is oriented at a position other than α to the point of attachment of the B ring to Y; G = CHO, CO2H, NH2, etc.], useful in treating inflammation, cancer and other disorders, were prepared. Thus, reacting 4-(4-[1,3,4]oxadiazol-2-ylphenoxy)phenol with 5-bromo-5-(2-ethoxyethyl)pyrimidine-2,4,6-trione (preps. given) in the presence of 1,5,7-triazabicyclo[4.4.0]dec-5-ene bound to polystyrene crosslinked with 2% DVB in MeCN afforded II. The compds. I that were tested all have IC50's of less than 100 μM in at least one of the assays against MMPs such as MMP-1, MMP-9, MMP-13, etc.

IT

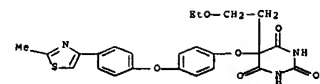
420122-07-2F

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrimidine-2,4,6-trione metalloproteinase inhibitors)

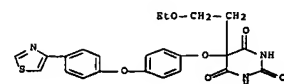
RN 420122-07-2 CAPLUS

CN 2,4,6(1H,3H,5H)-Pyrimidinetrione, 5-(2-ethoxyethyl)-5-[4-[4-(2-methyl-4-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)



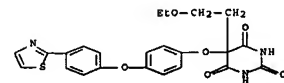
RN 420122-19-6 CAPLUS

CN 2,4,6-trisubstituted-1,3,5-triazine, 5-(2-ethoxyethyl)-5-[4-[4-(2-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)



RN 420122-24-3 CAPLUS

CN 2,4,6-trisubstituted-1,3,5-triazine, 5-(2-ethoxyethyl)-5-[4-[4-(2-thiazolyl)phenoxy]phenoxy]- (CA INDEX NAME)



L31 ANSWER 43 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:923794 CAPLUS Full-text

DN 136:37598

TI Preparation of thiazolopyrrolidones, -furanones, and -thiazolones as pesticides and herbicides.

IN Fischer, Reiner; Bretschneider, Thomas; Trautwein, Axel; Ullmann, Astrid; Drewes, Mark Wilhelm; Erdelen, Christoph; Dahmen, Peter; Feucht, Dieter; Pontzen, Rolf

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 204 pp.

CODEN: PIXXD2

DT Patent

LA German

FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096333	A1	20011220	WO 2001-EP6174	20010531

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

DE 10029077 A1 20011220 DE 2000-10029077 20000613
CA 2411111 A1 20021210 CA 2001-2411111 20010531
EP 1296979 A1 20030402 EP 2001-953967 20010531
EP 1296979 B1 20060104

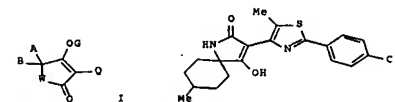
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BR 2001011625 A 20030520 BR 2001-11625 20010531
JP 2004503552 T 20040205 JP 2002-510475 20010531
CN 1683370 A 20051019 CN 2005-10075402 20010531
AT 315037 T 20051015 AT 2001-953967 20010531
ES 225453 T3 20060616 ES 2001-1953967 20010531
IN 2002MN01715 A 20050204 IN 2002-MN1715 20021202
US 2004009877 A1 20040315 US 2002-297873 20021210
US 6767864 B2 20040727
MX 2002PA12400 A 20040503 MX 2002-PA12400 20021213
US 2004220243 A1 20041104 US 2004-850679 20040521
US 7141533 B2 20061128

PRAI DE 2000-10029077 A 20000613
CN 2001-811147 A3 20010531
WO 2001-EP6174 W 20010531
US 2002-297873 A3 20021210

OS MARPAT 136:37598

GI



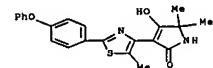
AB Title compds. [I; W = ND, O, S; Q = (substituted) thiazolyl, oxazolyl, pyrazolyl; A = H, (substituted) alkyl, alkenyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, heterocyclyl, aryl, aralkyl, heteroaryl; B = H, alkyl, alkoxyalkyl; AB = atoms to form an (unsatd.) (substituted) ring; D = H, (substituted) alkyl, alkenyl, alkenyl, alkoxyalkyl, alkylthioalkyl, cycloalkyl, heterocyclyl, aryl, aralkyl, heteroaryl; AD = atoms to form a (substituted) (heterocyclic) ring; G = H, acyl, were prepared thus, Me 4-methyl-1-aminocyclohexane-1-carboxylate hydrochloride, 4-[2-(4-chlorophenyl)-5-methylthiazolyl]acetic acid, Et3N, and POCl3 were refluxed 30 min. to give 73% amide, which was stirred 1 h with KOH in DMF at 0° to 20° to give 83% title compound (II). Several I at 250 g/ha postemergent gave 100% control of Avena fatua, Echinochloa, etc.

IT 380647-93-AP 380647-96-IP 380649-95-OP
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN

(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of thiazolopyrrolidones, -furanones, and -thiazolones as pesticides and herbicides)

RN 380647-93-8 CAPLUS

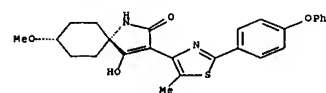
CN 2H-pyrrol-2-one, 1,5-dihydro-4-hydroxy-5,5-dimethyl-3-[5-methyl-2-(4-phenoxyphenyl)-4-thiazolyl]- (CA INDEX NAME)



RN 380647-96-1 CAPLUS

CN 1-Azaspino[4,5]dec-3-en-2-one, 4-hydroxy-8-methoxy-3-[5-methyl-2-(4-phenoxyphenyl)-4-thiazolyl]-, cis- (9CI) (CA INDEX NAME)

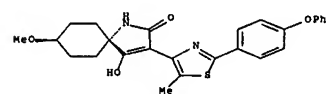
Relative stereochemistry.



RN 380648-00-0 CAPLUS

CN 1-Azaspino[4,5]dec-3-en-2-one, 4-hydroxy-8-methoxy-3-[5-methyl-2-(4-phenoxyphenyl)-4-thiazolyl]-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



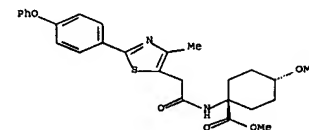
IT 380648-45-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of thiazolopyrrolidones, -furanones, and -thiazolones as pesticides and herbicides)

RN 380648-45-3 CAPLUS

CN Cyclohexanecarboxylic acid, 4-methoxy-1-[[[4-methyl-2-(4-phenoxyphenyl)-5-thiazolyl]acetyl]amino]-, methyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE, CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 44 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:868438 CAPLUS Full-text

DN 136:5981

TI Preparation of N-thiazol-2-ylbenzenesulfonamides as 11-β-hydroxysteroid dehydrogenase type 1 inhibitors for treatment of diabetes and related diseases

IN Kurz, Guido; Nilsson, Marianne

PA Biovitrum AB, Swed.

SO PCT Int. Appl., 84 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN, CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001090092	A1	20011129	WO 2001-SE1158	20010522

M: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

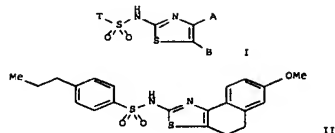
CA 2408783 A1 20011129 CA 2001-2408783 20010522
EP 1283832 A1 20030219 EP 2001-934782 20010522

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003534338 T 20031118 JP 2001-586280 20010522
NZ 522591 A 20040924 NZ 2001-522591 20010522
ZA 2002009359 A 20040218 ZA 2002-9359 20021118
ZA 2002009360 A 20040218 ZA 2002-9360 20021118
ZA 2002009362 A 20040218 ZA 2002-9362 20021118
ZA 2002009364 A 20040218 ZA 2002-9364 20021118
NO 2002005585 A 20021223 NO 2002-5585 20021121
NO 323831 B1 20070709

IN 2002CN02040 A 20050225 IN 2002-CN2040 20021211
US 2003166689 A1 20030904 US 2003-296552 20030401
US 7132436 B2 20061107

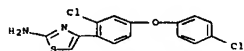
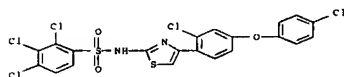
PRAI SE 2000-1899 A 20000522



AB Title compds. I [wherein T = substituted Ph or thienyl substituted with 1 or more Br or Cl; A = (un)substituted (hetero)aryl; B = H or alkoxycarbonyl; or A and B together with the C atoms to which they are attached form a 6-membered ring; and pharmaceutically acceptable salts, hydrates, and solvates thereof] were prepared as 11- β -hydroxysteroid dehydrogenase type 1 (11- β -HSD1) inhibitors. For example, 7-methoxy-4,5-dihydronaphtho[1,2-d][1,3]thiazol-2-amine-HBr was coupled with 5-propylbenzenesulfonyl chloride in the presence of TEA and DMAP in DMF and CH₂Cl₂ to give II, which inhibited 11- β -HSD1 with K_i of 14 nM. I are useful for the treatment or prevention of diabetes, syndrome X, obesity, glaucoma, hyperlipidemia, hyperglycemia, hyperinsulinemia, osteoporosis, tuberculosis, depression, virus diseases, and inflammatory disorders (no data).

IT 376349-81-4P, 2,3,4-Trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-yl]benzenesulfonamide 376349-81-7P, 4-Bromo-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-yl]-2,5-difluorobenzenesulfonamide 376349-87-6P, 4-Bromo-5-chloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-yl]-2-thiophenesulfonamide 376349-92-7P, 2,4,6-Trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-yl]benzenesulfonamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-thiazolylbenzenesulfonamides by coupling thiazolamines with benzenesulfonyl chlorides as 11- β -hydroxysteroid dehydrogenase type 1 inhibitors for treatment of diabetes and related diseases)

RN 376349-81-4 CAPLUS
 CN Benzenesulfonamide, 2,3,4-trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

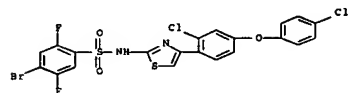


RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

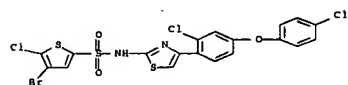
L31 ANSWER 45 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2001:489370 CAPLUS Full-text
 DN 135:76866
 TI Preparation of heterocyclic imino compounds as fungicides and insecticides for agricultural and horticultural use
 IN Niki, Toshio; Mizukoshi, Takashi; Takahashi, Hiroaki; Satow, Jun; Ogura, Tomoyuki; Yamagishi, Kazuhiro; Suzuki, Hiroyuki; Hayasaka, Fumio
 PA Nissan Chemical Industries, Ltd., Japan
 SO PCT Int. Appl., 350 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001047888	A1	20010705	WO 2000-JP9411	20001228
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GR, GW, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
AU 2001022305	A5	20010709	AU 2001-22305	20001228
EP 1243580	A1	20020925	EP 2000-985987	20001228
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
US 200312116	A1	20031113	US 2002-168968	20020625
PRAI JP 1999-374040	A	19991228		
JP 2000-239624	A	20000808		
JP 2000-334442	A	20001101		
WO 2000-JP9411	W	20001228		
OS MARPAT 135:76866				
GI				

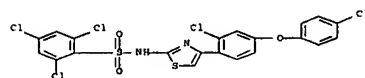
RN 376349-84-7 CAPLUS
 CN Benzenesulfonamide, 4-bromo-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]-2,5-difluoro- (CA INDEX NAME)



RN 376349-87-0 CAPLUS
 CN 2-Thiophenesulfonamide, 4-bromo-5-chloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

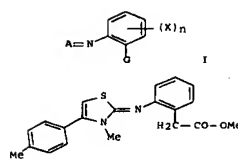


RN 376349-92-7 CAPLUS
 CN Benzenesulfonamide, 2,4,6-trichloro-N-[4-[2-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



IT 376349-81-4P, 4-[2-Chloro-4-(4-chlorophenoxy)phenyl]-1,3-thiazol-2-amine
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (reactant; preparation of N-thiazolylbenzenesulfonamides by coupling thiazolamines with benzenesulfonyl chlorides as 11- β -hydroxysteroid dehydrogenase type 1 inhibitors for treatment of diabetes and related diseases)

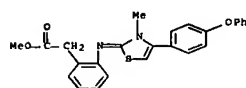
RN 376349-81-4 CAPLUS
 CN 2-Thiazolamine, 4-[2-chloro-4-(4-chlorophenoxy)phenyl]- (CA INDEX NAME)



AB The title compds. I [G is a group of general formula BCOZ or the like; A is a 3- to 13-membered, mono-, di- or tricyclic ring which is composed of 3 to 13 atoms arbitrarily selected from among carbon, oxygen, sulfur and nitrogen, contains at least one heteroatom selected from among oxygen, sulfur and nitrogen, and may optionally have substituent(s), with the proviso that when A is a quinolone ring, the nitrogen atom of the ring is present at the α -position to the imino linkage; Z is OR1 or the like; B is CH2 or the like; n = 0 - 4; X is halogeno or the like; and R1 is hydrogen, C1-6 alkyl, C1-6 haloalkyl, or the like] are prepared. The title compound II at 500 ppm gave \geq 70% control of Pyricularia oryzae, Erysiphe graminis, Puccinia recondita, Leptosphaeria nodorum, and Pseudoperonospora cubensis. II at 500 ppm gave \geq 70% control of leafhoppers.

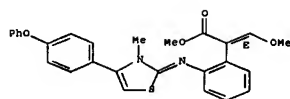
IT 347874-8-5P 347874-12-8P 347874-13-9P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of heterocyclic imino compds. as fungicides and insecticides for agricultural and horticultural use)

RN 347873-88-5 CAPLUS
 CN Benzeneacetic acid, 2-([3-methyl-4-(4-phenoxyphenyl)-2(3H)-thiazolylidene]amino)-, methyl ester (CA INDEX NAME)



RN 347874-12-8 CAPLUS
 CN Benzeneacetic acid, α -(methoxymethylene)-2-([3-methyl-4-(4-phenoxyphenyl)-2(3H)-thiazolylidene]amino)-, methyl ester, (α E)- (CA INDEX NAME)

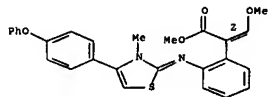
Double bond geometry as described by E or Z.



RN 347874-13-9 CAPLUS

CN Benzenecetic acid, α -(methoxymethylene)-2-[[3-methyl-4-(4-phenoxyphenyl)-2(3H)-thiazolylidene]amino]-, methyl ester, (α)-(CA INDEX NAME)

Double bond geometry as described by E or Z.



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ1 ANSWER 46 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2001:283913 CAPLUS Full-text

DN 134:10974

TI Preparation of biaryl ether derivatives as monoamine reuptake inhibitors

IN Howard, Harry Ralph, Jr.; Adam, Mavis Diane

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 52 pp.

CODEN: PIXXD2

DT Patent

LA English

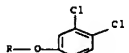
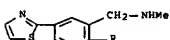
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001027068	A1	20010419	WO 2000-1B1373	20000927
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MX, MY, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CP, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2387517	A1	20010419	CA 2000-2387517	20000927
CA 2387517	C	20051115		
BR 2000014733	A	20020611	BR 2000-14733	20000927
EP 1220831	A1	20020710	EP 2000-960916	20000927

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of biaryl ether derivs. as monoamine reuptake inhibitors)

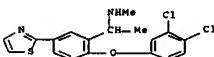
RN 334980-60-8 CAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N-methyl-5-(2-thiazolyl)- (CA INDEX NAME)



RN 334980-65-3 CAPLUS

CN Benzenemethanamine, 2-(3,4-dichlorophenoxy)-N, α -dimethyl-5-(2-thiazolyl)- (CA INDEX NAME)



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

LJ1 ANSWER 47 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 2000:706981 CAPLUS Full-text

DN 133:281779

TI Preparation of aryl substituted pyrazoles, imidazoles, oxazoles, thiazoles and pyrroles as sodium channels blockers

IN Hogenkamp, Derk J.; Upasani, Ravindra; Nguyen, Phong

PA Cogensys, Inc., USA

SO PCT Int. Appl., 110 pp.

CODEN: PIXXD2

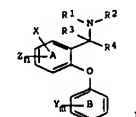
DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000057877	A1	20001005	WO 2000-US7944	20000324
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,				

EP 1220831	B1	20050608		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200201004	T2	20021121	TR 2002-1004	20000927
HU 2002003448	A2	20030228	HU 2002-3448	20000927
JP 2003511434	T	20030325	JP 2001-530089	20000927
EE 200200191	A	20030616	EE 2002-191	20000927
AU 769430	B2	20040129	AU 2000-73070	20000927
NZ 517696	A	20041224	NZ 2000-517696	20000927
AT 297374	T	20050615	AT 2000-960916	20000927
PT 1220831	T	20050930	PT 2000-960916	20000927
ES 2240155	T3	20051016	ES 2000-960916	20000927
US 6410736	B1	20020625	US 2000-692335	20001019
IN 2002MN00303	A	20050318	IN 2002-MN303	20020311
NO 2002001659	A	20020408	NO 2002-1659	20020408
BG 106603	A	20021229	BG 2002-106603	20020410
ZA 2002002804	A	20030410	ZA 2002-2804	20020410
HR 2002000324	A1	20030831	HR 2002-324	20020412
MX 2002PA03793	A	20020930	MX 2002-PA3793	20020415
US 2003050538	A1	20030320	US 2002-153308	20020522
US 6596741	B2	20030722		
HK 1047577	A1	20050916	HK 2002-109177	20021218
PRAI US 1999-159276P	P	19991013		
US 1999-167761P	P	19991129		
WO 2000-1B1373	W	20000927		
US 2000-692335	A3	20001019		
OS MARPAT 134:310974				
GI				



AB The title compds. [I; rings A and B can be replaced by naphthyl group; n, m = 1-3; R1, R2 = H, alkyl, alkenyl, etc.; NR1R2 = 4-8 membered saturated (un)substituted ring containing 1-2 heteroatoms, including N atom to which R1 and R2 are attached; R3, R4 = H, alkyl optionally substituted with 1-3 F atoms; CR3R4 = 4-8 membered saturated (un)substituted carbocyclic ring; NR2CR3 = 4-8 membered saturated (un)substituted ring containing 1-2 heteroatoms, including N atom to which R2 is attached; X = (un)substituted Ph, heteroaryl, heterocyclyl; Y = H, halo, alkyl optionally substituted with 1-3 F atoms, etc.; Z = H, halo, alkoxy, etc.] and their pharmaceutically acceptable salts which exhibit activity as serotonin, norepinephrine, and dopamine reuptake inhibitors and can be used in the treatment of central nervous system and other disorders, were prepared. E.g., a 3-step synthesis of I (R1 = Me; R2-R4 = H; X = 6-ph; Z = H; Y = 3,4-cl2) was given. All exemplified compds. I showed IC50 of \leq 250 nM for serotonin reuptake inhibition, and IC50 of \leq 1000 nM for dopamine and for norepinephrine reuptake inhibition.

IT 334980-60-3P 334980-65-3P

CG, CI, CM, GA, GN, GM, ML, MR, NE, SN, TD, TG				
CA 2368631	A1	20001005	CA 2000-2368631	20000324
EP 1173169	A1	20020123	EP 2000-919636	20000324
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000009322	A	20020430	BR 2000-9322	20000324
TR 200102790	T2	20020621	TR 2001-2790	20000324
US 6414011	B1	20020702	US 2000-533864	20000324
DE 20080291	U1	20020801	DE 2000-20080291	20000324
TM 502019	B	20020911	TM 2000-89105616	20000324
JP 2002540155	T	20021126	JP 2000-607628	20000324
NZ 514756	A	20040430	NZ 2000-514756	20000324
AU 782353	B2	20050721	AU 2000-40291	20000324
NO 2001004659	A	20011101	NO 2001-4659	20010925
MX 2001PA09655	A	20030624	MX 2001-PA9655	20010925
IN 2001KN01078	A	20050311	IN 2001-KN1078	20011015
ZA 2001008807	A	20021025	ZA 2001-8807	20011025
US 2003069292	A1	20030410	US 2002-134697	20020430
US 6737418	B2	20040518		
NZ 529690	A	20031219	NZ 2003-529690	20031121
PRAI US 1999-126553P	P	19990326		
US 2000-533864	A3	20000324		
WO 2000-US7944	W	20000324		
OS MARPAT 133:281779				
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

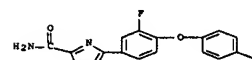
AB The title compds. [I; Het = II-IV, etc.; R1 = H, alkyl, cycloalkyl, etc.; R2, R3 = H, alkyl, cycloalkyl, etc.; R5-R13 = H, halo, haloalkyl, etc.; X = O, S, CH2, NH, etc.] and their pharmaceutically acceptable salts which act as sodium channel blockers, and are useful as anticonvulsants, were prepared. E.g., a 3-step synthesis of V which showed ED50 of 4.2 mg/kg (p.o.) against MES, was given.

IT 299206-72-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aryl substituted pyrazoles, imidazoles, oxazoles, thiazoles and pyrroles as sodium channels blockers)

RN 299206-72-7 CAPLUS

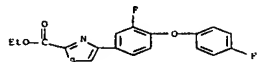
CN 2-Thiazolcarboxamide, 4-[3-fluoro-4-(4-fluorophenoxy)phenyl]- (CA INDEX NAME)



IT 299206-50-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aryl substituted pyrazoles, imidazoles, oxazoles, thiazoles and pyrroles as sodium channels blockers)
 RN 299206-98-7 CAPLUS
 CN 2-Thiazolecarboxylic acid, 4-[3-(fluoro-4-(4-(fluorophenoxy)phenyl)-, ethyl ester (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 48 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 1999:170582 CAPLUS Full-text

DN 130:3842

TI Synthesis and structure-activity relationships of a series of novel thiazoles as inhibitors of aminoacyl-tRNA synthetases

AU Yu, Xiang Y.; Hill, Jason M.; Yu, Qixue; Wang, Weiheng; Kluge, Arthur F.; Wendler, Phil; Gallant, Paul

CS Department of Medicinal Chemistry, Cubist Pharmaceuticals, Inc., Cambridge, MA, 02139, USA

SO Bioorganic & Medicinal Chemistry Letters (1999), 9(3), 375-380

CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

DT Journal

LA English

AB A series of novel aminoacyl adenylate mimics has been prepared and evaluated for their inhibitory activity against aminoacyl-tRNA synthetases. Several of these thiazole derivs. displayed potent and selective enzyme activity against both Gram-pos. and Gram-neg. bacteria.

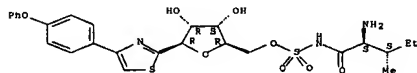
IT 221315-49-7P 221315-51-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (synthesis and structure-activity relationships of amino acid thiazole nucleosides as inhibitors of aminoacyl-tRNA synthetases)

RN 221315-49-7 CAPLUS

CN D-Ribitol, 1,4-anhydro-1-C-[4-(4-phenoxyphenyl)-2-thiazolyl]-, 5-[(1R,2S)-2-amino-3-methyl-1-oxopentyl]sulfamate, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

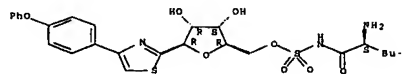


RN 221315-51-1 CAPLUS

CN D-Ribitol, 1,4-anhydro-1-C-[4-(4-phenoxyphenyl)-2-thiazolyl]-,

5-[(1R,2S)-2-amino-3-methyl-1-oxopentyl]sulfamate, (1R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 49 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 1998:745044 CAPLUS Full-text

DN 130:3842

TI Preparation of guanidinothiazoles as bactericides

IN Baasner, Bernd; Matzke, Michael; Militzer, Hans-Christian; Schaller, Klaus; Labischinski, Harald; Erdmann, Rainer; Werling, Hans-otto

PA Bayer A.-G., Germany

SO PCT Int. Appl., 64 pp.

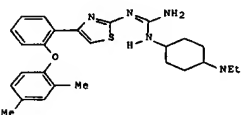
CODEN: PIXXD2

DT Patent

LA German

PAN.CNT 1

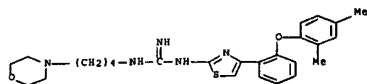
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9850373	A1	19981112	WO 1998-EP2378	19980422
M:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZM, AM, AZ, BY, BG, CZ, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
DE 19719053	A1	19981112	DE 1997-19719053	19970506
AU 9876465	A	19981127	AU 1998-76465	19980422
PRAI DE 1997-19719053	A	19970506		
WO 1998-EP2378	M	19980422		
OS MARPAT 130:3842				
GI				



AB R102122N:(C(NR2R3)NR4R5 [R1 = (un)substituted Ph; R2-R5 = H, (un)substituted alkyl, cycloalkyl, heterocyclyl, aryl, etc.; Z1 = (un)substituted phenylene; Z2 = thiazole-4,2-diyl] were prepared as bactericides (no data). Thus, H2NCN:(C(NH2)NHZNEt2 (Z = 1,4-cyclohexylene) was cyclocondensed with 2,4-Me2C6H3OC6H4(COCH2Br)-2 to give title compound 1.

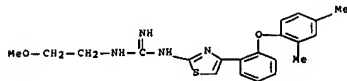
IT 215798-25-7P 215798-26-6P 215798-27-9P 215798-28-0P 215798-29-1P 215798-30-4P 215798-31-5P 215798-32-6P 215798-33-7P 215798-34-8P 215798-35-9P 215798-36-0P 215798-37-1P 215798-38-2P 215798-39-3P 215798-40-4P 215798-41-5P 215798-42-6P 215798-43-7P 215798-44-8P 215798-45-9P 215798-46-0P 215798-47-1P 215798-48-2P 215798-49-3P 215798-50-4P 215798-51-5P 215798-52-6P 215798-53-7P 215798-54-8P 215798-55-9P 215798-56-0P 215798-57-1P 215798-58-2P 215798-59-3P 215798-60-4P 215798-61-5P 215798-62-6P 215798-63-7P 215798-64-8P 215798-65-9P 215798-66-0P 215798-67-1P 215798-68-2P 215798-69-3P 215798-70-4P 215798-71-5P 215798-72-6P 215798-73-7P 215798-74-8P 215798-75-9P 215798-76-0P 215798-77-1P 215798-78-2P 215798-79-3P 215798-80-4P 215798-81-5P 215798-82-6P 215798-83-7P 215798-84-8P 215798-85-9P 215798-86-0P 215798-87-1P 215798-88-2P 215798-89-3P 215798-90-4P 215798-91-5P 215798-92-6P 215798-93-7P 215798-94-8P 215798-95-9P 215798-96-0P 215798-97-1P 215798-98-2P 215798-99-3P 215798-100-4P 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RN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[4-(4-morpholinyl)butyl]- (CA INDEX NAME)



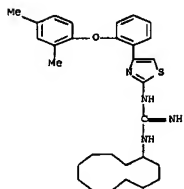
RN 215798-30-4 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 215798-31-5 CAPLUS

CN Guanidine, N-cyclododecyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

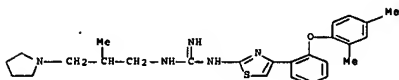


RN 215798-32-6 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)

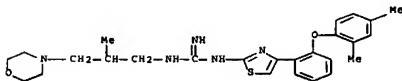
RN 215798-37-1 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[2-methyl-3-(1-pyrrolidinyl)propyl]- (CA INDEX NAME)



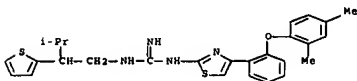
RN 215798-38-2 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[2-methyl-3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



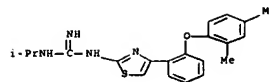
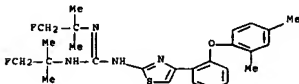
RN 215798-39-3 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-methyl-2-(2-thienyl)butyl]- (CA INDEX NAME)



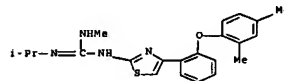
RN 215798-40-6 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N'-bis(2-fluoro-1,1-dimethylethyl)- (9CI) (CA INDEX NAME)



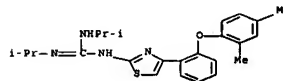
RN 215798-33-7 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-methyl-N'-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 215798-34-8 CAPLUS

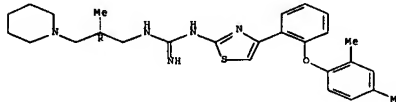
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N'-bis(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 215798-36-0 CAPLUS

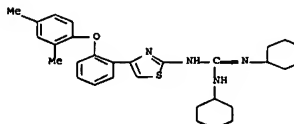
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[(2R)-2-methyl-3-(1-piperidinyl)propyl]- (CA INDEX NAME)

Absolute stereochemistry.



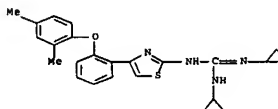
RN 215798-42-8 CAPLUS

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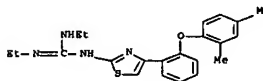
RN 215798-43-9 CAPLUS

CN Guanidine, N,N'-dicyclopropyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



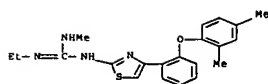
RN 215798-44-0 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N'-diethyl- (9CI) (CA INDEX NAME)



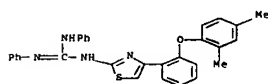
RN 215798-45-1 CAPLUS

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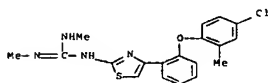
RN 215798-46-2 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-diphenyl- (CA INDEX NAME)



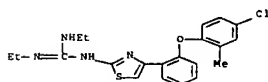
RN 215798-47-3 CAPLUS

CN Guanidine, N-[4-[2-(4-chloro-2-methylphenoxy)phenyl]-2-thiazolyl]-N',N''-dimethyl- (CA INDEX NAME)



RN 215798-49-5 CAPLUS

CN Guanidine, N-[4-[2-(4-chloro-2-methylphenoxy)phenyl]-2-thiazolyl]-N',N''-diethyl- (CA INDEX NAME)

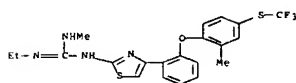


RN 215798-50-8 CAPLUS

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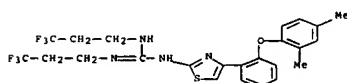
RN 215798-59-7 CAPLUS

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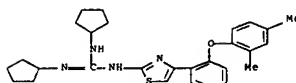
RN 215798-61-1 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis(3,3,3-trifluoropropyl)- (CA INDEX NAME)



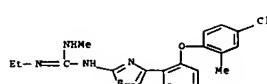
RN 215798-63-3 CAPLUS

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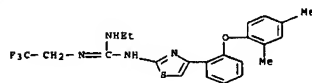
RN 215798-65-5 CAPLUS

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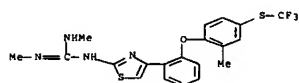
RN 215798-51-9 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''-(2,2,2-trifluoroethyl)- (9CI) (CA INDEX NAME)



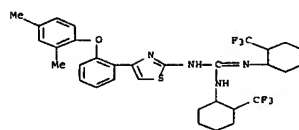
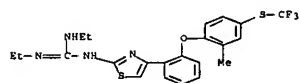
RN 215798-53-1 CAPLUS

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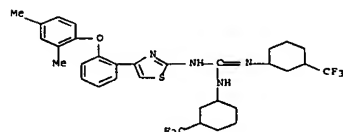
RN 215798-56-4 CAPLUS

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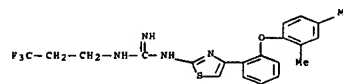
RN 215798-67-7 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis[3-(trifluoromethyl)cyclohexyl]- (9CI) (CA INDEX NAME)



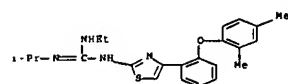
RN 215798-69-9 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(3,3,3-trifluoropropyl)- (CA INDEX NAME)

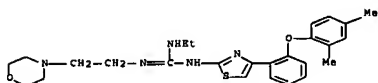


RN 215798-72-4 CAPLUS

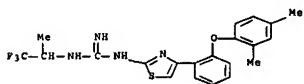
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''-(1-methylethyl)- (9CI) (CA INDEX NAME)



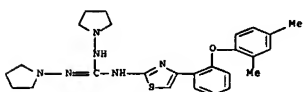
RN 215798-74-6 CAPLUS
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



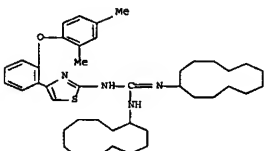
RN 215798-76-8 CAPLUS
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2,2,2-trifluoro-1-methylethyl)- (CA INDEX NAME)



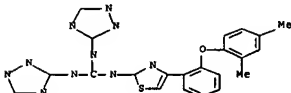
RN 215798-78-0 CAPLUS
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-di-1-pyrrolidinyl- (9CI) (CA INDEX NAME)



RN 215798-81-5 CAPLUS
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis(2-thienylmethyl)- (CA INDEX NAME)

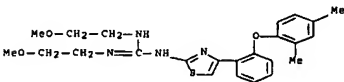


RN 215798-89-3 CAPLUS
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis(1H-1,2,4-triazol-3-yl)- (9CI) (CA INDEX NAME)

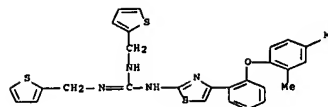


ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 215798-91-7 CAPLUS
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis(2-methoxyethyl)- (9CI) (CA INDEX NAME)



RN 215798-93-9 CAPLUS
CN Guanidine, N-cyclopentyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)

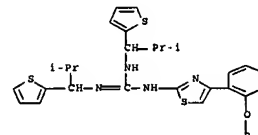


RN 215798-83-7 CAPLUS
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis[2-methyl-1-(2-thienyl)propyl]- (CA INDEX NAME)

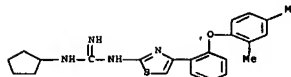
PAGE 1-A



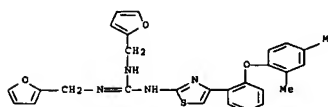
PAGE 2-A



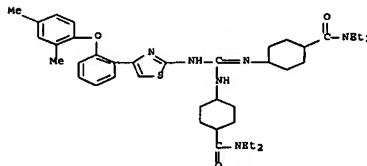
RN 215798-86-0 CAPLUS
CN Guanidine, N,N'-dicyclododecyl-N''-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



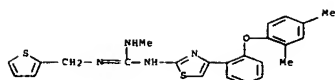
RN 215798-95-1 CAPLUS
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N',N''-bis(2-furanylmethyl)- (9CI) (CA INDEX NAME)



RN 215798-98-4 CAPLUS
CN Cyclohexanecarboxamide, 4,4'-[[[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]carbonimidoyl]diimino]bis[N,N-diethyl]- (9CI) (CA INDEX NAME)

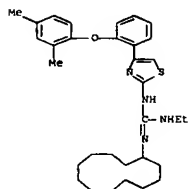


RN 215798-00-1 CAPLUS
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-methyl-N''-(2-thienylmethyl)- (9CI) (CA INDEX NAME)



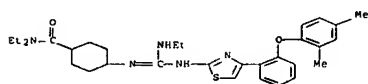
RN 215799-02-3 CAPLUS

CN Guanidine, N-cyclododecyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N''-ethyl- (9CI) (CA INDEX NAME)



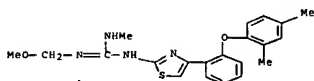
RN 215799-04-5 CAPLUS

CN Cyclohexanecarboxamide, 4-[[[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]amino](ethylamino)methylene]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)



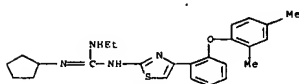
RN 215799-06-7 CAPLUS

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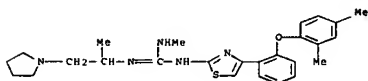
RN 215799-15-8 CAPLUS

CN Guanidine, N-cyclopentyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N''-ethyl- (9CI) (CA INDEX NAME)



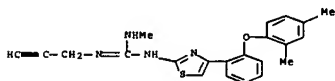
RN 215799-17-0 CAPLUS

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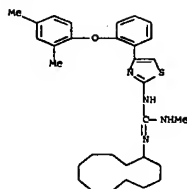
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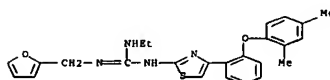
RN 215799-23-8 CAPLUS

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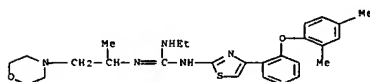
RN 215799-08-9 CAPLUS

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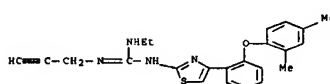
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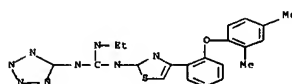
RN 215799-13-6 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-methoxymethyl-N''-methyl- (9CI) (CA INDEX NAME)



RN 215799-25-0 CAPLUS

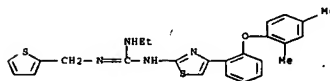
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-ethyl-N''-1H-tetrazol-5-yl- (9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

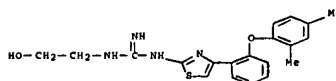
RN 215799-27-2 CAPLUS

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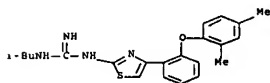


RN 215799-29-4 CAPLUS

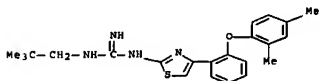
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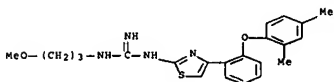
RN 215799-32-9 CAPLUS
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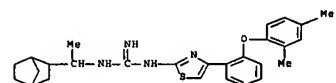
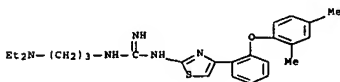
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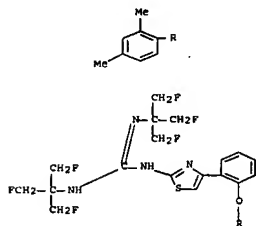
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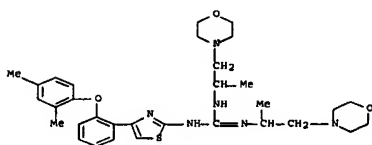
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CN Guanidine, N-[3-(diethylamino)propyl]-N'-[4-{2-[(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}]- (CA INDEX NAME)



RN 215799-50-1 CAPLUS
CN Guanidine, N-[4-{2-[(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}]-N',N'-bis[2-fluoro-1,1-bis(fluoromethyl)ethyl]- (9CI) (CA INDEX NAME)

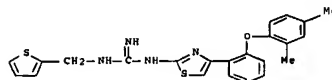


RN 215799-52-3 CAPLUS
CN Guanidine, N-[4-{2-[(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}]-N',N'-bis[1-methyl-2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)

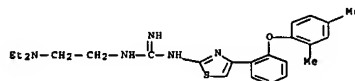


RN 215799-54-5 CAPLUS
CN Guanidine, N,N'-bis[2,2-difluoro-1-methylcyclopropyl]-N'-[4-{2-[(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}]- (CA INDEX NAME)

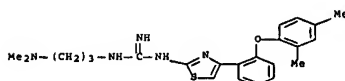
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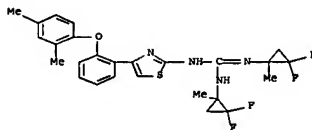
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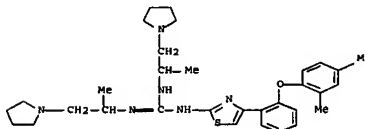
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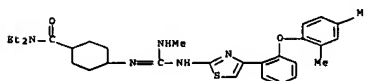
RN 215799-47-6 CAPLUS
CN Guanidine, N-(1-bicyclo[2.2.1]hept-2-ylethyl)-N'-[4-{2-[(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}]- (CA INDEX NAME)



RN 215799-56-7 CAPLUS
CN Guanidine, N-[4-{2-[(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}]-N',N'-bis[1-methyl-2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)



RN 215799-60-3 CAPLUS
CN Cyclohexanecarboxamide, 4-[[[4-{2-[(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]amino}(methylamino)methylene]amino]-N,N-diethyl- (9CI) (CA INDEX NAME)

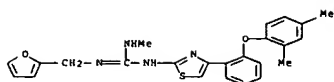


RN 215799-62-5 CAPLUS
CN Guanidine, N-[4-{2-[(2,4-dimethylphenoxy)phenyl]-2-thiazolyl}]-N'-(2-furanylmethyl)-N'-methyl- (9CI) (CA INDEX NAME)



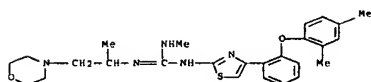
10576830-103

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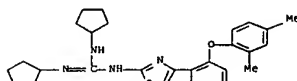
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CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-methyl-N''-[1-methyl-2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 215799-66-9 CAPLUS

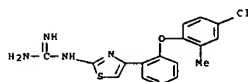
CN Guanidine, N,N'-dicyclopentyl-N''-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)



● HBr

RN 215799-68-1 CAPLUS

CN Guanidine, [4-[2-(4-chloro-2-methylphenoxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

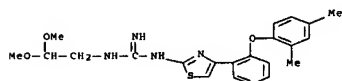


10576830-103

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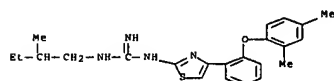
RN 215799-80-7 CAPLUS

CN Guanidine, N-(2,2-dimethoxyethyl)-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



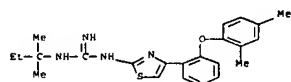
RN 215799-83-0 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(2-methylbutyl)- (9CI) (CA INDEX NAME)



RN 215799-85-2 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(1,1-dimethylpropyl)- (9CI) (CA INDEX NAME)



RN 215799-87-4 CAPLUS

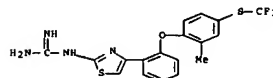
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N''-(tricyclo[3.3.1.1.2,7]dec-1-ylmethyl)- (CA INDEX NAME)

10576830-103

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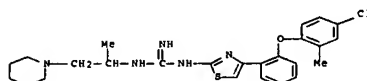
RN 215799-70-5 CAPLUS

CN Guanidine, [4-[2-[2-methyl-4-[(trifluoromethyl)thio]phenoxy]phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



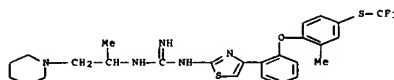
RN 215799-73-8 CAPLUS

CN Guanidine, N-[4-[2-(4-chloro-2-methylphenoxy)phenyl]-2-thiazolyl]-N'-(1-methyl-2-(1-piperidinyl)ethyl)- (CA INDEX NAME)



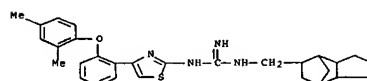
RN 215799-75-0 CAPLUS

CN Guanidine, N-[1-methyl-2-(1-piperidinyl)ethyl]-N'-[4-[2-[2-methyl-4-[(trifluoromethyl)thio]phenoxy]phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



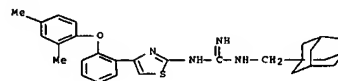
RN 215799-77-2 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(octahydro-4,7-methano-1H-inden-5-yl)methyl)- (CA INDEX NAME)



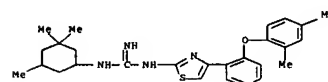
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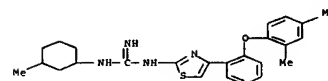
RN 215799-90-9 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(3,3,5-trimethylcyclohexyl)- (CA INDEX NAME)



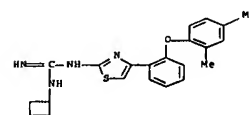
RN 215799-92-1 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-(3-methylcyclohexyl)- (9CI) (CA INDEX NAME)



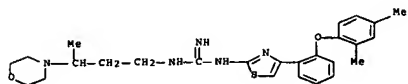
RN 215799-94-3 CAPLUS

CN Guanidine, N-cyclobutyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



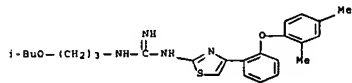
RN 215799-96-5 CAPLUS

RN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-(4-morpholinyl)butyl]- (CA INDEX NAME)



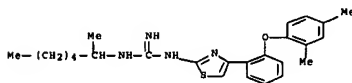
RN 215799-98-7 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-(2-methylpropoxy)propyl]- (CA INDEX NAME)



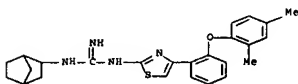
RN 215800-00-3 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[1-methylhexyl]- (9CI) (CA INDEX NAME)



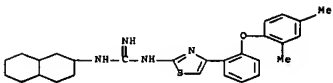
RN 215800-02-5 CAPLUS

CN Guanidine, N-bicyclo[2.2.1]hept-2-yl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



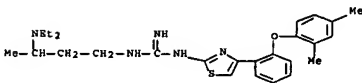
RN 215800-14-9 CAPLUS

CN Guanidine, N-(decahydro-2-naphthalenyl)-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



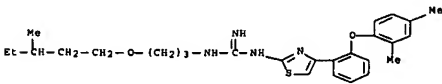
RN 215800-17-2 CAPLUS

CN Guanidine, N-[3-(diethylamino)butyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



RN 215800-19-4 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-[(3-methylpentyl)oxy]propyl]- (9CI) (CA INDEX NAME)

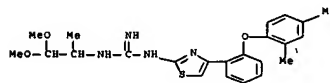


RN 215800-21-8 CAPLUS

CN Guanidine, N-(decahydro-1,4:5,8-dimethanonaphthalen-2-yl)-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

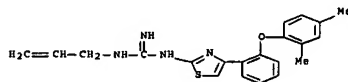
RN 215800-04-7 CAPLUS

CN Guanidine, N-(2,2-dimethoxy-1-methylethyl)-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



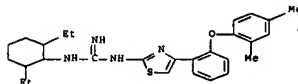
RN 215800-07-0 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-2-propenyl- (9CI) (CA INDEX NAME)



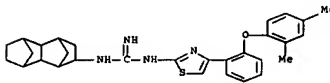
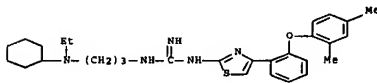
RN 215800-10-5 CAPLUS

CN Guanidine, N-(2,6-diethylcyclohexyl)-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



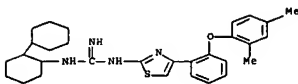
RN 215800-12-7 CAPLUS

CN Guanidine, N-[3-(cyclohexylethylamino)propyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



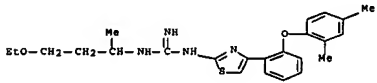
RN 215800-23-0 CAPLUS

CN Guanidine, N-[1,1'-bicyclohexyl]-2-yl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



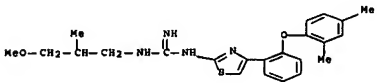
RN 215800-27-4 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-ethoxy-1-methylpropyl]- (9CI) (CA INDEX NAME)



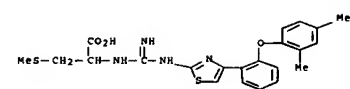
RN 215800-29-6 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-methoxy-2-methylpropyl]- (9CI) (CA INDEX NAME)



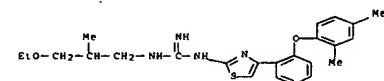
RN 215800-31-0 CAPLUS

CN Cysteine, N-[[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]amino]iminomethyl]-8-methyl- (CA INDEX NAME)



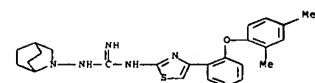
RN 215800-33-2 CAPLUS

CN Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-(3-ethoxy-2-methylpropyl)- (9CI) (CA INDEX NAME)



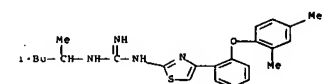
RN 215800-36-5 CAPLUS

CN Guanidine, N-2-azabicyclo[2.2.2]oct-2-yl-N'-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]- (CA INDEX NAME)



RN 215800-38-7 CAPLUS

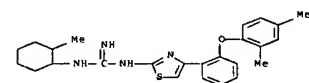
CN Guanidine, N-(1,3-dimethylbutyl)-N'-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]- (CA INDEX NAME)



RN 215800-40-1 CAPLUS

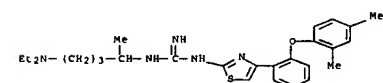
RN 215800-49-0 CAPLUS

CN Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-(2-methylcyclohexyl)- (9CI) (CA INDEX NAME)



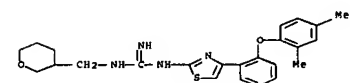
RN 215800-52-5 CAPLUS

CN Guanidine, N-[4-(diethylamino)-1-methylbutyl]-N'-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]- (CA INDEX NAME)



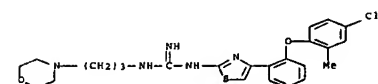
RN 215800-54-7 CAPLUS

CN Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-[4-(2,3-dimethylcyclohexyl)-2-thiazolyl]- (CA INDEX NAME)

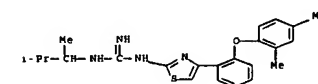


RN 215800-56-9 CAPLUS

CN Guanidine, N-[4-{2-(4-chloro-2-methylphenoxy)phenyl}-2-thiazolyl]-N'-(3-(4-morpholinyl)propyl)- (CA INDEX NAME)

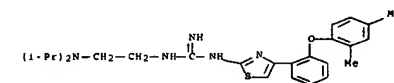


CN Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-(1,2-dimethylpropyl)- (9CI) (CA INDEX NAME)



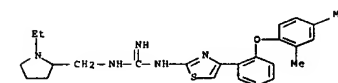
RN 215800-42-3 CAPLUS

CN Guanidine, N-[2-{bis(1-methylethyl)amino}ethyl]-N'-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]- (CA INDEX NAME)



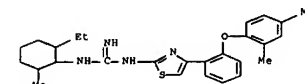
RN 215800-45-6 CAPLUS

CN Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-(1-ethyl-2-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



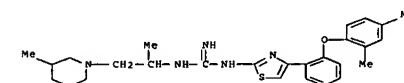
RN 215800-47-8 CAPLUS

CN Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-(2-ethyl-6-methylcyclohexyl)- (9CI) (CA INDEX NAME)



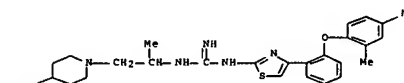
RN 215800-58-1 CAPLUS

CN Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-(1-methyl-2-(3-methyl-1-piperidinyl)ethyl)- (9CI) (CA INDEX NAME)



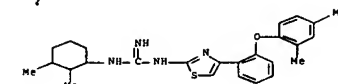
RN 215800-61-6 CAPLUS

CN Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-(1-methyl-2-(4-methyl-1-piperidinyl)ethyl)- (9CI) (CA INDEX NAME)



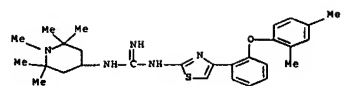
RN 215800-63-8 CAPLUS

CN Guanidine, N-(2,3-dimethylcyclohexyl)-N'-(4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl)- (CA INDEX NAME)



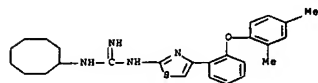
RN 215800-65-0 CAPLUS

CN Guanidine, N-[4-{2-(2,4-dimethylphenoxy)phenyl}-2-thiazolyl]-N'-(1,2,2,6,6-pentamethyl-4-piperidinyl)- (CA INDEX NAME)



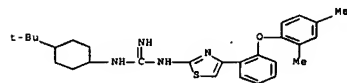
RN 215800-67-2 CAPLUS

CN Guanidine, N-cyclooctyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME).



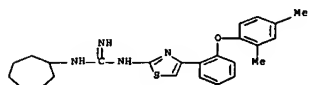
RN 215800-69-4 CAPLUS

CN Guanidine, N-[4-(1,1-dimethylethyl)cyclohexyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



RN 215800-72-9 CAPLUS

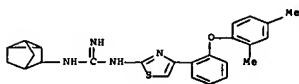
CN Guanidine, N-cycloheptyl-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



RN 215800-74-1 CAPLUS

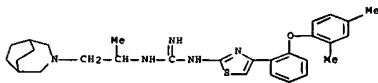
CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-(1-piperidinyl)pentyl]- (CA INDEX NAME)

RN 215800-82-1 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-tricyclo[2.2.1.0^{2,6}]hept-3-yl- (9CI) (CA INDEX NAME)

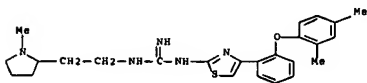
RN 215800-84-3 CAPLUS

CN Guanidine, N-[2-(3-azabicyclo[3.2.2]non-3-yl)-1-methylethyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



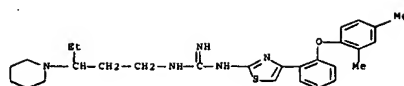
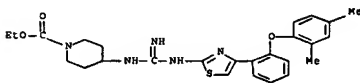
RN 215800-86-5 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[2-(1-methyl-2-pyrrolidinyl)ethyl]- (CA INDEX NAME)



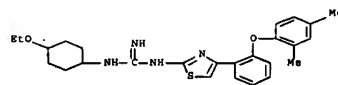
RN 215800-88-7 CAPLUS

CN 1-Piperidinecarboxylic acid, 4-[[[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]amino]iminomethyl]amino]-, ethyl ester (CA INDEX NAME)



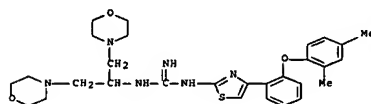
RN 215800-76-3 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[4-ethoxycyclohexyl]- (9CI) (CA INDEX NAME)



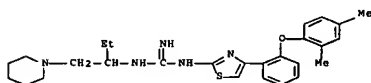
RN 215800-78-5 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[2-(4-morpholinyl)-1-(4-morpholinylmethyl)ethyl]- (CA INDEX NAME)



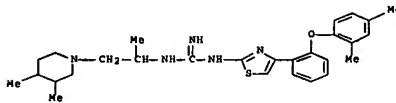
RN 215800-80-9 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[1-(1-piperidinylmethyl)propyl]- (CA INDEX NAME)



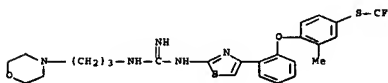
RN 215800-90-1 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[2-(3,4-dimethyl-1-piperidinyl)-1-methylethyl]- (CA INDEX NAME)



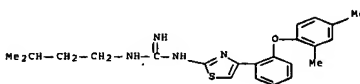
RN 215800-92-3 CAPLUS

CN Guanidine, N-[4-[2-(2-methyl-4-[(trifluoromethyl)thio]phenoxy)phenyl]-2-thiazolyl]-N'-[3-(4-morpholinyl)propyl]- (CA INDEX NAME)



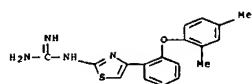
RN 215800-94-5 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-methylbutyl]- (9CI) (CA INDEX NAME)



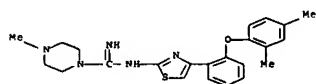
RN 215800-96-7 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-methylbutyl]- (9CI) (CA INDEX NAME)



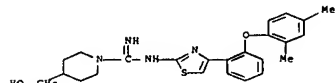
RN 215800-98-9 CAPLUS

CN 1-Piperazinecarboximidamide, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-4-methyl- (CA INDEX NAME)



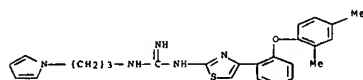
RN 215801-00-6 CAPLUS

CN 1-Piperidinecarboximidamide, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-4-(hydroxymethyl)- (CA INDEX NAME)



RN 215801-02-8 CAPLUS

CN Guanidine, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-N'-[3-(1H-pyrrol-1-yl)propyl]- (CA INDEX NAME)



RN 215801-04-0 CAPLUS

CN 2(1H)-Isoquinolinecarboximidamide, N-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]-3,4-dihydro- (CA INDEX NAME)

PI WO 9840364 A1 19980917 WO 1998-US3926 19980306

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW

RW: GH, GM, KE, LS, MM, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BP, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

US 5925654 A 19980920 US 1997-815696 19970312

CA 2282349 A1 19980917 CA 1998-2282349 19980306

AU 9866731 A 19980929 AU 1998-66731 19980306

EP 970060 A1 20000112 EP 1998-908786 19980306

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI

JP 2001515490 T 20010918 JP 1998-529603 19980306

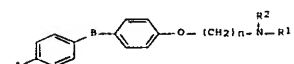
US 6265433 B1 20010724 US 1999-312394 19990514

PRA1 US 1997-815696 A 19970312

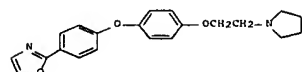
WO 1998-US3926 W 19980306

OS MARPAT 129:245139

GI



1



11

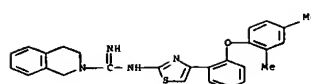
AB The title compds. 1 [A = Ph, etc.; B = O, etc.; n = 2 - 4; R1 = H, alkyl; R2 = (CH2)mR3; m = 1 - 3; R3 = CO2R4; R4 = H, alkyl, etc.; further details on R1 and R2 are given] are useful in the treatment of inflammatory diseases which are mediated by LTA4 production, such as psoriasis, ulcerative colitis, inflammatory bowel disease and asthma. Oxazole derivative II showed IC50 of 0.43 μM in a recombinant human LTA4 hydrolase inhibition assay.

IT 213315-24-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of LTA4 hydrolase inhibitors)

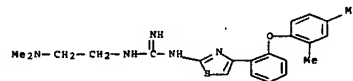
RN 213315-24-3 CAPLUS

CN β-Alanine, N-methyl-N-[3-[4-[4-(2-thiazolyl)phenoxy]phenoxy]propyl]- (CA INDEX NAME)



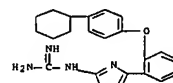
RN 215801-06-2 CAPLUS

CN Guanidine, N-[2-(dimethylamino)ethyl]-N'-[4-[2-(2,4-dimethylphenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



RN 215801-09-5 CAPLUS

CN Guanidine, [4-[2-(4-cyclohexylphenoxy)phenyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 50 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:621200 CAPLUS [Full-text](#)

DN 129:245139

TI Preparation of LTA4 hydrolase inhibitors

IN Chen, Barbara B.; Chen, Helen; Russell, Mark A.; Miyashiro, Julie M.; Malecha, James M.; Penning, Thomas D.

PA G.D. Searle and Co., USA

SO PCT Int. Appl., 51 pp.

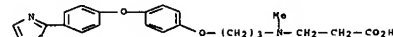
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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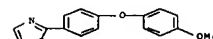
IT 213315-39-0P 213315-40-3P 213315-41-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of LTA4 hydrolase inhibitors)

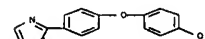
RN 213315-39-0 CAPLUS

CN Thiazole, 2-[4-(4-methoxyphenoxy)phenyl]- (CA INDEX NAME)



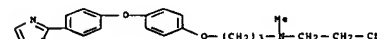
RN 213315-40-3 CAPLUS

CN Phenol, 4-[4-(2-thiazolyl)phenoxy]- (CA INDEX NAME)



RN 213315-41-4 CAPLUS

CN Propanenitrile, 3-[methyl[3-[4-[4-(2-thiazolyl)phenoxy]phenoxy]propyl]amin o]- (CA INDEX NAME)



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 51 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:572653 CAPLUS [Full-text](#)

DN 129:290086

TI Synthesis of 4,4'-disubstituted 2,2'-dithiazolyls

AU Billin, A. V.; Gorobets, N. Yu.; Ismail, Omar M. S.; Nikitchenko, V. M.

CS Ukraine

SO Viskiv Kharkiv's'kogo Universitetu (1997), 395, 264-273

CODEN: VKSGA3; ISSN: 0453-8048

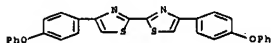
PB Kharkiv's'kii Derzhavnyi Universitet

DT Journal

LA Russian

AB Sym. 4,4'-disubstituted 2,2'-dithiazoles and 4-substituted 2-thiocarbamidothiazoles have been synthesized by condensation of 3-(bromomethyl)coumarins and aromatic α -halo ketones with dithiooxamide. The optimal conditions for obtaining 2-thiocarbamidothiazoles have been found. Nonsym. 4,4'-disubstituted 2,2'-dithiazoles have been obtained from 2-thiocarbamidothiazoles. More than fifty new compds. are obtained.

IT 4072-66-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 4072-66-6 CAPLUS
 CN 2,2'-Bithiazole, 4,4'-bis(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



L31 ANSWER 52 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:424227 CAPLUS [Full-text](#)

DN 129:95491

TI Preparation of N-[(substituted five-membered heteroaryl)carbonyl]guanidine

derivatives as Na⁺/H⁺ exchanger inhibitors

IN Okazaki, Toshio; Kikuchi, Kazumi; Sugawara, Keizo; Kaku, Hidetaka;

Takanashi, Masahiro

PA Yamanouchi Pharmaceutical Co., Ltd., Japan; Merck Patent G.m.b.H.

SO PCT Int. Appl., 58 pp.

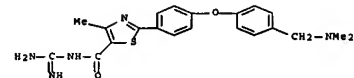
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

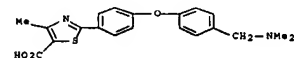
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9827061	A1	19980625	WO 1997-JP4605	19971215
M: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 1997DE03414	A	20050311	IN 1997-DE3414	19971127
ZA 9711102	A	19980813	ZA 1997-11102	19971210
AU 9854119	A	19980715	AU 1998-54119	19971215
PRAI JP 1996-335638	A	19961216		
WO 1997-JP4605	M	19971215		
OS MARPAT 129:95491				
GI				



●2 HCl

IT 309539-06-0P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of N-[(substituted five-membered heteroaryl)carbonyl]guanidine derivs. as Na⁺/H⁺ exchanger inhibitors for treatment of diseases)

RN 209539-06-0 CAPLUS
 CN 5-Thiazolecarboxylic acid, 2-[4-[(dimethylamino)methyl]phenoxy]phenyl]-4-methyl- (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L31 ANSWER 53 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1998:268474 CAPLUS [Full-text](#)

DN 128:321451

TI Preparation of alkenecarboxylic acid derivatives as pesticides

IN Muller, Urs

PA Novartis A.-G., Switz.; Muller, Urs

SO PCT Int. Appl., 104 pp.

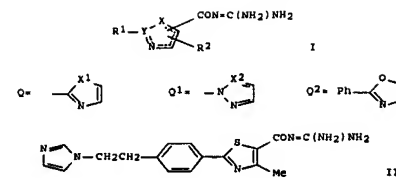
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9817631	A2	19980430	WO 1997-EP5857	19971023
WO 9817631	A3	19980618		
M: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZM, SZ, BE, FR, GR, IE, IT, MC, NL, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9868116	A	19980515	AU 1998-68116	19971023
PRAI CH 1996-2599	A	19961023		

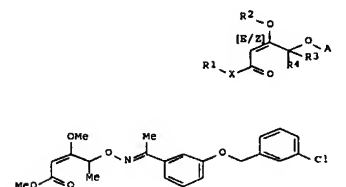


AB N-[(Substituted five-membered heteroaryl)carbonyl]guanidine derivs. represented by general formula (I) or pharmacol. acceptable salts thereof [wherein the five-membered heteroaryl ring represents Q or Q1 (wherein X1 represents oxygen, sulfur, or NR3; and X2 represents nitrogen or CR4); R1 represents optionally substituted aryl or optionally substituted five- or six-membered monocyclic heteroaryl; R2 represents hydrogen, halogeno, optionally halogen-substituted lower alkyl, lower alkoxy, lower alkylthio, or optionally protected amino, provided that when the R1-substituted five-membered heteroaryl ring is Q2, R2 is neither hydrogen nor ethoxy; and R3 and R4 each represents hydrogen or optionally halogen-substituted lower alkyl] are prepared. They are useful as a drug, especially an Na⁺/H⁺ exchanger inhibitor, for the prevention, treatment, or diagnosis of various diseases in which an Na⁺/H⁺ exchanger participates, such as hypertension, arrhythmia, angina pectoris, myocardial infarct, organ damages caused by ischemia or ischemic reperfusion, cell proliferative diseases (e.g. arteriosclerosis and cancer), and disorders caused by high blood sugar (e.g. complications of diabetes). Thus, imidazole was treated with NaH in DMF at room temperature for 30 min and then stirred with Et 2-[3-(2-bromoethoxy)phenyl]-4-methylthiazole-5-carboxylate at 70° for 3 h followed by heating with guanidine hydrochloride in the presence of NaH at 80° for 3 h to give the title compound, [(imidazolymethoxy)phenyl]thiazolecarbonylguanidine derivative (II). The title compds. I in vitro inhibited Na⁺/H⁺ exchanger with Ki of from 10⁻⁶ to 10⁻⁸.

IT 209537-51-3P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of N-[(substituted five-membered heteroaryl)carbonyl]guanidine derivs. as Na⁺/H⁺ exchanger inhibitors for treatment of diseases)

RN 209537-51-3 CAPLUS
 CN 5-Thiazolecarboxamide, N-(aminoiminomethyl)-2-[4-[(dimethylamino)methyl]phenoxy]phenyl]-4-methyl-, dihydrochloride (9CI) (CA INDEX NAME)

WO 1997-EP5857 W 19971023
 OS MARPAT 128:321451
 GI



AB The title compds. [I; R1 = H, C1-5 alkyl, C3-6 alkenyl, etc.; R2 = C1-5 alkyl, C1-3 alkoxy-C1-5 alkyl, C3-6 alkenyl, etc.; R3, R4 = H, C1-5 alkyl, C1-3 alkoxy-C1-5 alkyl; A = ketimino, aldimino; X = O, NH, NR9 (wherein R9 = H, C1-5 alkyl)] and their possible isomers and mixts. of isomers, having plant-protecting properties and are suitable for the protection of plants against infestation by phytopathogenic microorganisms, were prepared. Thus, treatment of 3-[3-chlorobenzoyloxy]acetophenone oxime with NaH in DMF followed by the addition of 4-chloro-3-methoxyphenyl-2-enecarboxylic acid Me ester in DMF and KI afforded the title compound [I]-II. Compds. I showed a good action against, e.g., Phytophthora infestans on tomatoes.

IT 206653-21-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of alkenecarboxylic acid derivs. as pesticides)

RN 206653-21-6 CAPLUS
 CN Ethanone, 1-[2-(4-phenoxyphenyl)-4-thiazolyl]-, oxime (CA INDEX NAME)



L31 ANSWER 54 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1997:265450 CAPLUS [Full-text](#)

DN 126:277465

TI Preparation and formulation of guanidinothiazole derivatives as Maillard

reaction inhibitors and antioxidants

IN Matsui, Toshiaki; Tatsumi, Tadashi; Onoda, Shuichi

PA Ono Pharmaceutical Co, Japan

10576830-103 157 of 236

SO Jpn. Kokai Tokkyo Koho. 53 pp.

CODEN: JKKXAF

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI JP 09059258	A	19970304	JP 1995-225989	19950811
PRA1 JP 1995-225989		19950811		

OS MARPAT 126:277465

GI For diagram(s), see printed CA Issue.

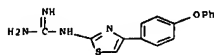
AB The title compds. I (Z = S, etc.; R1 = H, alkyl, etc.; A = bond, alkylene, etc.; ring D is benzoquinone with substituents (generic structure given), etc.) are prepared. The title compound II.HCl in vitro showed IC50 of 0.82 µM against lipid peroxidation.

IT 175073-65-4P 175073-70-9P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of guanidinocinnazole derivs. as Maillard reaction inhibitors and antioxidants)

RN 188611-67-8 CAPLUS

CN Guanidine, [4-(4-phenoxyphenyl)-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L31 ANSWER 55 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1996:209653 CAPLUS [Full-text](#)

DN 124:261036

TI Preparation of 5-imino-2-imidazolines as agrochemical fungicides

IN Hutt, Jean; Lacroix, Guy; Perez, Joseph; Veyrat, Christine

PA Rhone Poulenc Agrochimie, Fr.

SO PCT Int. Appl., 67 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9534541	A1	19951221	WO 1995-FR748	19950608

W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, FI, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LV, MD, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

FR 2721022 A1 19951215 FR 1994-7344 19940610

FR 2721022 B1 19960719

AU 9527425 A 19960105 AU 1995-27425 19950608

10576830-103 159 of 236

TI Bone resorption-inhibiting condensed thiadiazoles

IN Sohda, Takashi; Terashita, Zen-ichi; Momose, Yu; Fujisawa, Yukio; Mizoguchi, Junji

PA Takeda Chemical Industries, Ltd., Japan

SO Eur. Pat. Appl., 47 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 562599	A1	19930929	EP 1993-104939	19930325
EP 562599	B1	20020904		

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE

US 5550138 A 19960827 US 1993-39579 19930323

CA 2092390 A1 19930926 CA 1993-2092390 19930324

JP 06298771 A 19941025 JP 1993-65507 19930324

JP 3232350 B2 20011126

AT 223419 T 20020915 AT 1993-104939 19930325

PRA1 JP 1992-67615 A 19920325

JP 1993-30872 A 19930219

OS MARPAT 120:134490

GI For diagram(s), see printed CA Issue.

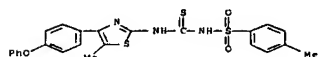
AB The title compds. I (A = substituted pyridine ring, (un)substituted thiazole ring; R = (un)substituted heterocyclic group, (un)substituted hydrocarbon group), which exhibit excellent endothelin receptor antagonist activity, potent cathepsin B-inhibiting action, and potent bone resorption inhibitory action, are prepared and I-containing formulations presented. Thus, thiazolothiadiazole II (m.p. 165-166°) was prepared in 60% yield and demonstrated 50% inhibitory concentration against cathepsin B of 1.1 · 10⁻⁶ M.

IT 175073-65-4P 175073-70-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reaction of, in preparation of condensed thiadiazole bone resorption inhibitors)

RN 152363-3-3 CAPLUS

CN Benzenesulfonamide, 4-methyl-N-[(5-methyl-4-(4-phenoxyphenyl)-2-thiazolyl)amino]thioxomethyl- (CA INDEX NAME)



L31 ANSWER 57 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:191769 CAPLUS [Full-text](#)

DN 118:191769

TI Preparation of 1-thiazolylaminocarbonyl-4-arylpiperazines and analogs as bronchodilators

IN De Cillis, Gianpiero; Long, Giorgio; D'Alo, Simonetta; Rozzi, Antonella; Gallico, Licia

PA Boehringer Mannheim Italia S.p.A., Italy

SO Eur. Pat. Appl., 15 pp.

CODEN: EPXXDW

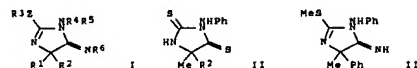
DT Patent

10576830-103 158 of 236

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9504784	A	19960208	WO 1995-FR748	19950608
FR 1994-7344	A	19940610		
WO 1995-FR748	M	19950608		

OS CASREACT 124:261036; MARPAT 124:261036

GI



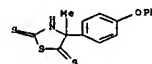
AB Title compds. I, R1, R2 = H, (halo)alkyl, (hetero)aryl, etc.; R3 = (un)substituted alkyl; R4 = (hetero)aryl, (un)substituted alkyl; R5 = H, alkyl, acyl, etc.; R6 = H, OH, alkoxy, NH2, etc.; Z = O or S) were prepared. Thus, MeCHR2NCS (R2 = 4-(PhCH2CH2)C6H4) (preparation given) was cyclocondensed with CS2 and the product cyclocondensed with PhNHNH2 to give imidazolidinedithione II (R2 = 4-(PhCH2CH2)C6H4). II (R2 = Ph) was iminated with NH3 and the product S-alkylated by MeI to give title compound III which gave 75-100% control of Puccinia recondita on wheat at 1g/L.

IT 175073-65-4P 175073-70-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of 5-imino-2-imidazolines as agrochem. fungicides)

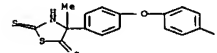
RN 175073-68-4 CAPLUS

CN 2,5-Thiazolidinedithione, 4-methyl-4-(4-phenoxyphenyl)- (CA INDEX NAME)



RN 175073-70-8 CAPLUS

CN 2,5-Thiazolidinedithione, 4-[4-(4-fluorophenoxy)phenyl]-4-methyl- (CA INDEX NAME)



L31 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1994:134490 CAPLUS [Full-text](#)

DN 120:134490

10576830-103 160 of 236

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 519449	A1	19921223	EP 1992-110267	19920617

R: PT

WO 9300342 A1 19930107 WO 1992-EP1377 19920617

W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD, US

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG

AU 9220000 A 19930125 AU 1992-20000 19920617

EP 589985 A1 19940406 EP 1992-912406 19920617

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE

JP 07502014 T 19950302 JP 1992-501313 19920617

ZA 9204478 A 19930311 ZA 1992-4478 19920618

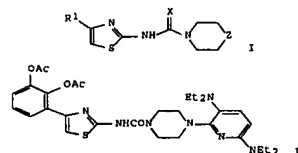
PRA1 IT 1991-M1714 A 19910621

IT 1992-M1786 A 19920401

WO 1992-EP1377 A 19920617

OS MARPAT 118:191769

GI



AB Title compds. I; R1 = (substituted) Ph, pyridyl, etc.; X = O, S; Z = CH2, O, S, NR; R = alkyl, Ph, heterocyclyl, etc.) were prepared. Thus, 2,3-(AcO)2C6H3COCH2SR (preparation given) was cyclocondensed with H2NCSNH2 and the product condensed carbonyldiimidazole and N-[3,6-bis(diethylamino)-2-pyridyl]piperazine to give title compound II. I gave 4-6 h protection against PAF-induced hyperactivity in guinea-pigs at 2.50 µg/kg (route of administration not given).

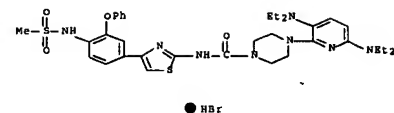
IT 146871-54-9P 146871-64-9P 146871-65-9P

146874-70-9P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as bronchodilator)

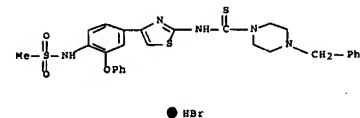
RN 146871-56-9 CAPLUS

CN 1-Piperazinecarboxamide, 4-[3,6-bis(diethylamino)-2-pyridinyl]-N-[4-[4-(methylsulfonyl)amino]-3-phenoxyphenyl]-2-thiazolyl-, monohydrobromide (9CI) (CA INDEX NAME)



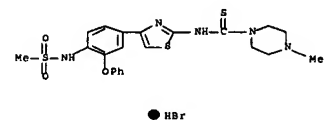
RN 146671-64-9 CAPLUS

CN 1-Piperazinecarbothioamide, N-[4-[4-[(methylsulfonyl)amino]-3-phenoxyphenyl]-2-thiazolyl]-4-(phenylmethyl)-, monohydrobromide (9CI) (CA INDEX NAME)



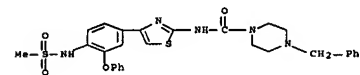
RN 146671-65-0 CAPLUS

CN 1-Piperazinecarbothioamide, 4-methyl-N-[4-[4-[(methylsulfonyl)amino]-3-phenoxyphenyl]-2-thiazolyl]-, monohydrobromide (9CI) (CA INDEX NAME)

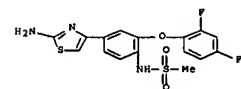


RN 146684-70-0 CAPLUS

CN 1-Piperazinecarboxamide, N-[4-[4-[(methylsulfonyl)amino]-3-phenoxyphenyl]-2-thiazolyl]-4-(phenylmethyl)- (CA INDEX NAME)



IT 116666-59-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, N-acetylation, analgesic, and antiinflammatory activity of)
 RN 116666-59-0 CAPLUS
 CN Methanesulfonamide, N-[4-(2-amino-4-thiazolyl)-2-(2,4-difluorophenoxy)phenyl]- (CA INDEX NAME)



L31 ANSWER 59 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:38917 CAPLUS [Full-text](#)

DN 118:38917

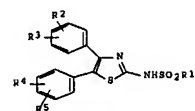
TI Preparation of 2-sulfonamido-4,5-diphenylthiazole derivatives
 IN Yoshikawa, Yoshinari, Saito, Hideji; Oochi, Yutaka; Ochi, Yutaka
 PA Taiho Pharmaceutical Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 8 pp.
 CODEN: JKXXAF

DT Patent

LA Japanese

FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04173782	A	19920622	JP 1990-302853	19901108
JP 1990-302853		19901108		
MARPAT 118:38917				



AB The title compds. [I; R1 = haloalkyl, R2-R5 = H, halo, (halo)alkyl, alkoxy, alkylthio, alkylsulfonyl, NO2, Ph, PhO] and their pharmaceutically compatible salts, useful as antiinflammatory agents, are prepared. A mixture of p-ClC6H4COCH2Ph 4.61, thiourea 3.04, and iodine 5.08 g was heated with stirring at 110-120°, 10% NH4OH was added, and the mixture was extracted with CH2Cl2 to give 3.12 g aminothiazole derivative II (R = H), which (2.0 g) was stirred with 2.26 g CP3SO3H and Et3N in CH2Cl2 under cooling to give 1.12 g

L31 ANSWER 56 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:124134 CAPLUS [Full-text](#)

DN 118:124134

TI Studies on antiinflammatory agents. I. Synthesis and pharmacological properties of 2'-phenoxymethanesulfonamide derivatives
 AU Tsuji, Kiyoshi; Nakamura, Katsuya; Konishi, Nobukiyo; Okumura, Hiroyuki; Matsuo, Masaki

CS New Drug Res. Lab., Fujisawa Pharm. Co., Ltd., Osaka, 532, Japan

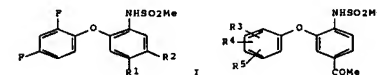
SO Chemical & Pharmaceutical Bulletin (1992), 40(9), 2399-409

CODEN: CPBTAL; ISSN: 0009-2363

DT Journal

LA English

GI



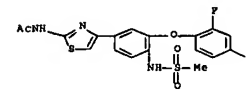
AB Various 2'-phenoxymethanesulfonamide derivs. I (R1 = H, NO2, CF3, CONH2, SET, cyano, etc.), R2 = H, COMe, Me) and II (R3-R5 = H, 2-F, 2,3-Cl2, 2-Br, 2-OMe, 2-SMe, etc.) were synthesized and evaluated for antiinflammatory and analgesic activities. Thus, 3-(2,4-difluorophenoxy)-4-nitrobenzonitrile reacted with Fe/NH4Cl/EtOH and MeSO2Cl/pyridine to give I (R1 = cyano, R2 = H). Some compds. bearing an electron-attracting substituent at the 4'-position strongly inhibited adjuvant-induced arthritis in rats and acetic acid-induced writhing syndrome in mice without causing gastro-intestinal irritation. Among them, 4'-cyano-(FK867) and 4'-acetyl-(FK3311) 2'-(2,4-difluorophenoxy)methanesulfonamides were selected as the candidates for further development.

IT 116666-60-2P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, analgesic, and antiinflammatory activity of)

RN 116666-60-3 CAPLUS

CN Acetamide, N-[4-[3-(2,4-difluorophenoxy)-4-[(methylsulfonyl)amino]phenyl]-2-thiazolyl]- (CA INDEX NAME)



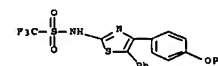
sulfonamide II (R = CF3SO2) (III). III showed 56.1% inhibition of carrageenan-induced inflammation at 50 mg/kg orally in rats, vs. 36.9% with ibuprofen.

IT 144984-49-5P 144984-60-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of, as antiinflammatory agent)

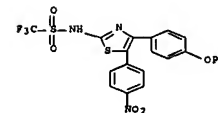
RN 144984-48-5 CAPLUS

CN Methanesulfonamide, 1,1,1-trifluoro-N-[4-(4-phenoxyphenyl)-5-phenyl-2-thiazolyl]- (CA INDEX NAME)



RN 144984-60-1 CAPLUS

CN Methanesulfonamide, 1,1,1-trifluoro-N-[5-(4-nitrophenyl)-4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



L31 ANSWER 60 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:38915 CAPLUS [Full-text](#)

DN 118:38915

TI Preparation of 2-arylthiazole derivatives as pharmaceutical compositions
 IN Kondo, Shiro; Fukushima, Hisashi; Hasegawa, Masaichi; Tsuchimoto, Masahiro; Nagata, Ikuro; Osada, Yoshio; Komoriya, Keiji; Yamaguchi, Hisao

PA Teijin Ltd., Japan

SO PCT Int. Appl., 95 pp.

CODEN: PIXXD2

DT Patent

LA Japanese

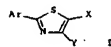
FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9209279	A1	19920611	WO 1991-JP1670	19911129
W: AU, CA, HU, JP, KR, US				
RM: AT, BE, CH, DE, DK, ES, FR, GB, IT, NL, SE				
CA 2073981	A1	19920531	CA 1991-2073981	19911129
CA 2073981	C	20020108		
AU 9189522	A	19920625	AU 1991-89522	19911129
AU 645867	B2	19940127		

10576830-103

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EP 513379 A1 19921119 EP 1991-920699 19911129
 EP 513379 B1 19960911
 R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL, SE
 HU 63838 A2 19931028 HU 1992-2265 19911129
 HU 218942 B 20010129
 AT 142494 T 19960915 AT 1991-920699 19911129
 ES 2092580 T3 19961201 ES 1991-920699 19911129
 JP 2725886 B2 19980311 JP 1991-500083 19911129
 SG 86971 A1 20020319 SG 1996-3299 19911129
 US 5614520 A 19970325 US 1995-380214 19950130
 PRAI JP 1990-330147 A 19901130
 JP 1991-216586 A 19910802
 WO 1991-JP1670 A 19911129
 US 1992-917037 B1 19920730
 OS MARPAT 118:38915
 GI

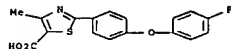


AB The title compds. I; Ar = (un)substituted pyridyl, thienyl, furyl, naphthyl, (un)substituted Ph; X = H, alkyl, CO₂H, alkoxy, carbonyl, CONH₂, alkylaminocarbonyl; Y = H, alkyl, OH, alkoxy, CO₂H, alkoxy, carbonyl, CONH₂, mono- or dialkylaminocarbonyl, useful for treatment of gout, hyperuricemia and interleukin 1 production-related diseases, are prepared. Thus, 390 mg 3-isopropoxythiobenzamide and 360 mg ClCH₂COCH₂CO₂Et were refluxed in EtOH for 5 h to give an ester as an oil which was saponified in 1M aqueous NaOH in EtOH to give 65% I [Ar = 3-isopropoxythiobenzamide, X = CO₂H, Y = Me]. I [Ar = 3,4-cyano(iso-BuO)C₆H₃, X = CO₂H, Y = Me] at 1 mg/kg p.o. lowered 95% serum uric acid in mice. I also inhibited xanthine oxidase, production of interleukin 1, and collagen-induced inflammation. Tablets containing I [Ar = 3,4-O₂N(iso-PrO)C₆H₃, X = CO₂H, Y = Me] were prepared

IT 144061-00-7

RL: SPN (Synthetic preparation); PREP (Preparation)
 (Preparation of, as intermediate for arylthiazole derivative drug)

RN 144061-00-7 CAPLUS
 CN 5-Thiazolecarboxylic acid, 2-[4-(4-fluorophenoxy)phenyl]-4-methyl- (CA INDEX NAME)



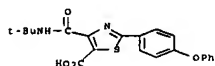
L31 ANSWER 61 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1992:59362 CAPLUS [Full-text](#)

10576830-103

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L31 ANSWER 62 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1991:680002 CAPLUS [Full-text](#)
 DN 115:280002
 TI Preparation of oxazolecarboxamides or thiazolecarboxamides as herbicides
 IN Dietrich, Klaus; Maywald, Volker; Hämprich, Gerhard; Harreus, Albrecht; Wuerzler, Bruno; Westphalen, Karl Otto
 PA BASF A.G., Germany
 SO Eur. Pat. Appl., 98 pp.
 CODEN: EPXXDM
 DT Patent
 LA German
 FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 419944	A2	19910403	EP 1990-117567	19900912
EP 419944	A3	19910717		
EP 419944	B1	19950315		
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
DE 3932052	A1	19910404	DE 1989-3932052	19890926
CA 2026131	A1	19910327	CA 1990-2026131	19900925
HU 56084	A2	19910729	HU 1990-6212	19900925
HU 207058	B	19930301		
BR 9004803	A	19910910	BR 1990-4803	19900925
JP 03145478	A	19910620	JP 1990-254369	19900926
US 5244867	A	19930914	US 1991-830326	19911226
US 5256633	A	19931026	US 1992-870386	19920417
US 5284821	A	19940208	US 1992-919457	19920727
PRAI DE 1989-3932052	A	19890926		
US 1990-587853	B1	19900925		
US 1991-830326	A3	19911226		
OS CASREACT 115:280002; MARPAT 115:280002				
AB Certain oxazolecarboxamides and thiazolecarboxamides and herbicides containing them are claimed. A mixture of N-tert-butyl-2-methoxy-4-thiazolecarboxamide (8.00 g) and 150 mL THF was treated with 1.5M BuLi (65 mL) and carboxylated (solid CO ₂) to give 85% 4-[(tert-butylaminocarbonyl)-2-methoxy-5-thiazolecarboxylic acid. The latter had herbicidal activity against Cassia tora, Chenopodium album, Chrysanthemum coronarium, and others and was compatible with wheat.				
IT 175197-67-5F				
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USSS (Uses) (Preparation of, as herbicide)				
RN 135297-67-5 CAPLUS				
CN 5-Thiazolecarboxylic acid, 4-[[[(1,1-dimethylethyl)amino]carbonyl]-2-(4-phenoxyphenyl)]- (CA INDEX NAME)				

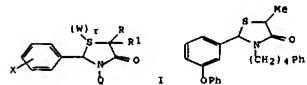


10576830-103

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DN 116:59362
 TI Preparation of 2-aryl-5-alkyl-4-thiazolidinones as cyclooxygenase and 5-lipoxygenase inhibitors
 IN Walsh, David A.; Uwaydah, Ibrahim M.
 PA A. H. Robins Co., Inc., USA
 SO U.S., 30 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5661720	A	19911029	US 1989-406579	19890913
PRAI US 1989-406579				
OS MARPAT 116:59362				
GI				



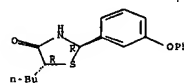
AB Title compds. I [R = H, C1-8 alkyl; R1 = C1-8 alkyl, A; X = (CH₂)_nA, O(CH₂)_nA, CO(CH₂)_nA, CHOH(CH₂)_nA, etc.; n = 0-3; A = (substituted) Ph, pyridyl; W = O; r = 0-2; O = (Y1)m(O)m(B)m(O)m(Y2)m(CO₂)m; B = pyridylene, (substituted) phenylene; Y1, Y2 = (alkyl) alkylene; Z = OR3, NR4R5; R3 = H, C1-8 alkyl, cation; R4, R5 = H, C1-8 alkyl; m = 0, 1, H takes the place of CO₂ when m = 0] were prepared as cyclooxygenase and 5-lipoxygenase inhibitors useful as topical antiinflammatory agents. Thus, 3-phenoxybenzaldehyde, MeCH(SH)CO₂H, and Ph(CH₂)₄NH₂ were refluxed overnight in benzene using a Dean-Stark trap to give title compound II as a 2:3 mixture of cis:trans isomers. The isomeric mixture had IC₅₀ of 1.4 μM and <20 μM against cyclooxygenase and 5-lipoxygenase, resp. The mixture was active against UV-induced erythema in guinea pigs. Topical formulations of I were prepared

IT 135619-65-5F

RL: SPN (Synthetic preparation); PREP (Preparation)
 (Preparation of, as cyclooxygenase and lipoxygenase inhibitor)

RN 135619-65-5 CAPLUS
 CN 4-Thiazolidinone, 5-butyl-2-(3-phenoxyphenyl)-, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.

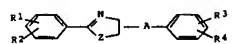


10576830-103

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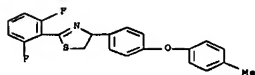
L31 ANSWER 63 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1991:559127 CAPLUS [Full-text](#)
 DN 115:159127
 TI Preparation of 2-substituted phenyl-2-oxazoline or thiazoline derivatives as acaricides and insecticides
 IN Miyamoto, Satoshi; Suzuki, Junji; Kikuchi, Yasuo; Toda, Kazuya; Itoh, Yoshiaki; Ikeda, Tatsufumi; Ishida, Tatsuya; Hara, Yasuaki; Tsukidate, Yokichi; Morikawa, Chiharu
 PA Yashima Chemical Industrial Co., Ltd., Japan
 SO Eur. Pat. Appl., 139 pp.
 CODEN: EPXXDM
 DT Patent
 LA English
 FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 432661	A2	19910619	EP 1990-123555	19901207
EP 432661	A3	19920304		
EP 432661	B1	19960306		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 03232867	A	19911016	JP 1990-329851	19901130
JP 07062006	B	19950705		
AU 9067820	A	19910613	AU 1990-67820	19901206
AU 634608	B2	19930225		
CA 2031766	A1	19910610	CA 1990-2031766	19901207
CA 2031766	C	20010109		
BR 9006233	A	19910924	BR 1990-6233	19901207
HU 57751	A2	19911230	HU 1990-8127	19901207
HU 206691	B	19921228		
RU 2029766	C1	19950227	RU 1990-4894033	19901207
AT 135001	T	19960315	AT 1990-123555	19901207
ES 2085317	T3	19960601	ES 1990-123555	19901207
CN 1054422	A	19910911	CN 1990-110420	19901208
CN 1040939	B	19981202		
KR 156577	B1	19981116	KR 1990-20177	19901208
US 5141948	A	19920825	US 1990-625918	19901210
PRAI JP 1989-320420	A	19891209		
OS CASREACT 115:159127; MARPAT 115:159127				
GI				

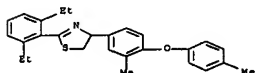


AB Title compds. I [R1, R2 = H, halo, alkyl, alkoxy, O₂N, haloalkyl, haloalkoxy, R3 = R2 = H; R4 = H, halo, alkyl, alkoxy; R5 = alkyl or alkoxy having 7 or more C, alkylthio, alkoxyalkyl, alkoxyalkoxy, alkenyloxy having 3 or more C, alkenyloxy, trialkylsilyl, (substituted) cycloalkyl; A = bond, alkylene; Z = O, S] were prepared. To a mixture of 2-amino-2-(4-n-decyloxyphenyl)ethanol, Et₃N and THF, 2,6-F₂C₆H₃COCl in THF was added over 30 min to give after work-up 2-(2,6-difluorophenyl)-4-(4-n-decyloxyphenyl)-2-oxazoline (II). In an ovicidal test, II at 100 ppm showed 100% control against two-spotted spider mite and Kanazawa spider mite. Addition 393 compds. were prepared. I were also tested against nymphs of Myzus persicae, nymphs of cotton aphid, nymphs of

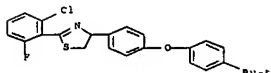
Nephotettix cincticeps, larvae of diamondback moth, and larvae of Culex pipiens.
 IT 136406-64-9F 136406-67-2P 136406-73-OP
 136406-96-7P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as acaricide and insecticide)
 RN 136406-64-9 CAPLUS
 CN Thiazole, 2-(2,6-difluorophenyl)-4,5-dihydro-4-[4-(4-methylphenoxy)phenyl]- (CA INDEX NAME)



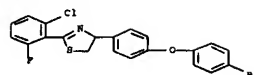
RN 136406-67-2 CAPLUS
 CN Thiazole, 2-(2,6-diethylphenyl)-4,5-dihydro-4-[3-methyl-4-(4-methylphenoxy)phenyl]- (CA INDEX NAME)



RN 136406-73-0 CAPLUS
 CN Thiazole, 2-(2-chloro-6-fluorophenyl)-4-[4-(4-(1,1-dimethylethyl)phenoxy)phenyl]-4,5-dihydro- (CA INDEX NAME)

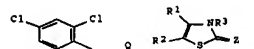


RN 136406-96-7 CAPLUS
 CN Thiazole, 4-[4-(4-bromophenoxy)phenyl]-2-(2-chloro-6-fluorophenyl)-4,5-dihydro- (CA INDEX NAME)



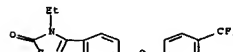
L31 ANSWER 64 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1991:42777 CAPLUS [Full-text](#)
 DN 114:42777
 TI Preparation of thiazolinones and thiazolinethiones as antifungals
 IN Kojima, Shigeru; Tanaka, Katsunori; Nakada, Akira; Hashimoto, Akira
 PA Nippon Soda Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 13 pp.
 CODEN: JXXXXP
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 02145577	A	19900605	JP 1988-298961	19881126
PRAI JP 1988-298961		19881126		
OS MARPAT 114:42777				
GI				



AB The title compds. I; R1 = (substituted) aryl, etc.; R2 = alkyl, alkenyl, (substituted) aryl, etc.; R3 = H, halo, alkyl, etc.; Z = O, S were prepared Refluxing QCOCH2Br [Q = 2,4-Cl2C6H3] with EtNHC(S)OEt in xylene 2 h gave I [R1 = O, R2 = H, R3 = Et, Z = O], which at 200 ppm killed 98% Botrytis cinerea without damage to kidney beans.
 IT 121477-9A-OP

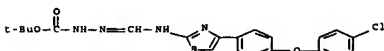
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antifungal)
 RN 131477-98-0 CAPLUS
 CN 2-(3H)-Thiazolone, 3-ethyl-4-[4-(4-(trifluoromethyl)phenoxy)phenyl]- (CA INDEX NAME)



L31 ANSWER 65 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1990:532169 CAPLUS [Full-text](#)
 DN 113:132169
 TI Preparation of heterocyclic carbazates as advanced glycosidation end product formation inhibitors
 IN Sohda, Takashi; Ikeda, Hitoshi; Momose, Yu
 PA Takeda Chemical Industries, Ltd., Japan
 SO Eur. Pat. Appl., 14 pp.
 CODEN: EPXXDW
 DT Patent
 LA English
 FAN.CNT 1

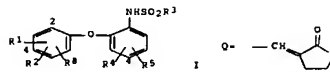
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 359112	A2	19900221	EP 1989-116469	19890906
EP 359112	A3	19900829		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 02167264	A	19900627	JP 1989-173369	19890704
JP 2817219	B2	1991030		
US 5240950	A	19930811	US 1989-403288	19890907
PRAI JP 1988-225198	A	19880908		
JP 1989-173369	A	19890704		
OS MARPAT 113:132169				
AB				

RL: CHNHNHCO2R2 [I; R1 = heterocyclyl; R2 = alkyl, (substituted) aryl, phenylalkyl] and their pharmaceutically acceptable salts, which inhibit the formation of advanced glycosylation end products (AGE) and are therefore useful for treatment of diseases caused by AGE, are prepared 4-(4-cyclohexylphenyl)-2-[(ethoxymethylene)amino]thiazole (preparation given) was condensed with H2NNHCO2Et in EtOH to give I [R1 = 4-(4-cyclohexylphenyl)-2-thiazolyl, R2 = Et] (II). In an in vitro experiment according to the procedure of M. Brownlee et al. (1986), II decreased by 34% the glycosidation of bovine serum albumin by D-glucose.
 IT 124377-23-7P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as advanced glycoylation end product formation inhibitor)
 RN 129377-23-7 CAPLUS
 CN Hydrazinecarboxylic acid, [[4-[4-(4-chlorophenoxy)phenyl]-2-thiazolyl]amino]methylene-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

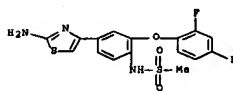


SO U.S., 28 pp. Cont.-in-part of U.S. Ser. No. 132,334.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4866091	A	19890912	US 1988-202017	19880602
ZA 8709706	A	19880831	ZA 1987-9706	19871228
SU 1799378	A3	19930228	SU 1987-4203921	19871230
ZA 8803534	A	19890125	ZA 1988-3534	19880518
PRAI GB 1986-31083	A	19861231		
GB 1987-12647	A	19870529		
GB 1987-24903	A	19871023		
US 1987-132334	A2	19871214		
OS MARPAT 112:178337				
GI				

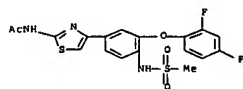


AB Title compds. I [R1, R2, R8 = H, cyano, halo, alkyl, haloalkyl, alkylthio, alkylsulfinyl, alkylsulfonyl, alkoxy; R3 = alkyl; R4 = acyl, cyano, HO2C, hydroxyalkyl, HS, alkylthio, alkylsulfinyl, alkylsulfonyl, Q, R7N:CR6, alkanoylalkenyl, (un)substituted 5-membered unsat. heterocyclyl, PhS; R6 = H, H2N, alkyl; R7 = OH, alkoxy, carboxyalkoxy, alkoxyalkoxyalkoxy, H2NCONH, H2NCSNH; R8 = H, halo, alkyl, alkanoyl] and pharmaceutically acceptable salts thereof were prepared I are also useful for treating pyretic diseases, rheumatism, and arthritis. 4'-Amino-3'-(2,4-difluorophenoxy)acetophenone (preparation given) and MeSO2Cl in pyridine were stirred overnight at room temperature to give I (R1 = R5 = H; R2 = 2-F; R3 = Me; R4 = 4-Ac; R8 = 4-F). Similarly prepared was I (R1 = R5 = H; R2 = 2-F; R3 = Me; R4 = 4-cyano; R8 = 4-F) (II). The analgesic activity was demonstrated with II showing an oral ED50 at 2.4 mg/kg in the HOAc-induced writhing test in mice (cf. 1.6 mg/kg for indomethacin).
 IT 116686-55-0F 116686-60-3F
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of, as analgesic and antiinflammatory)
 RN 116686-55-0 CAPLUS
 CN Methanesulfonamide, N-[4-(2-amino-4-thiazolyl)-2-(2,4-difluorophenoxy)phenyl]- (CA INDEX NAME)



L31 ANSWER 66 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1990:178337 CAPLUS [Full-text](#)
 DN 112:178337
 TI Preparation of alkanesulfonamide derivatives as analgesics and inflammation inhibitors
 IN Matsuo, Masaaki; Tsuji, Kiyoshi; Konishi, Nobukiyo
 PA Fujisawa Pharmaceutical Co., Ltd., Japan

RN 116686-60-3 CAPLUS
CN Acetamide, N-[4-[3-(2,4-difluorophenoxy)-4-[(methylsulfonyl)amino]phenyl]-2-thiazolyl]- (CA INDEX NAME)



L31 ANSWER 67 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1989:192810 CAPLUS [Full-text](#)

DN 110:192810

TI Preparation of thiazoline derivatives as acaricides and insecticides
IN Nagasaki, Fumihiko; Yamada, Tomio; Takahashi, Eiko; Kitagawa, Yukio; Hatano, Renpei

PA Nippon Soda Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 8 pp.

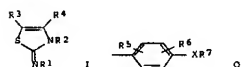
CODEN: JKXXAP

DT Patent

LA Japanese

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
P1	JP 63250371	A	19881018	JP 1987-82455	19870403
	JP 07116168	B	19951213		
PRA1	JP 1987-82455		19870403		
OS	MARPAT 110:192810				
GI					



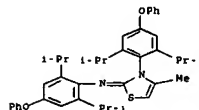
AB Title compds. I [R1, R2 = (Ph-substituted) alkyl, cycloalkyl, Q wherein R5 = alkyl, alkylamino, R6 = H, alkyl, alkylamino, R7 = (halo- or haloalkyl-substituted) Ph or pyridyl; X = O, S; at least one of R1 and R2 = Q; R3, R4 = H, halo, (halo-substituted) alkyl or Ph] are prepared by cyclocondensation of R1NHC(S)NHR2 with R3CHX1CR4R8R9 (X1 = halo; R8, R9 = alkoxy or R1R2 = O). A solution of ClCH2COMe and 2,6,4-Me2(PhO)C6H2NHC(S)NHCMe3 in EtCOMe was refluxed to give I [R1 = Me3C; R2 = 2,6,4-Me2(PhO)C6H2; R3 = H; R4 = Me], which at 125 ppm showed 100% control of imagoes of Tetranychus urticae, vs. 0% for a known I [R1 = p-(p-ClC6H4O)C6H4; R2 = R4 = Me; R3 = H]. An emulsion was

formulated containing I 10, alkyl phenyl polyoxyethylene 5, DMF 50, and xylene 35 parts.

IT 120258-84-4P 120259-01-0P 120259-02-1P
120259-05-4P 120259-06-5P 120259-07-4P
120259-08-7P 120259-09-8P 120259-10-1P
120259-11-2P 120259-12-3P 120259-13-4P
120259-14-5P 120259-15-6P 120259-16-7P
120259-17-8P 120259-18-9P 120259-19-0P
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as insecticide and acaricide)

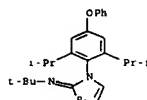
RN 120258-84-6 CAPLUS

CN Benzenamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4-methyl-2(3H)-thiazolylidene]-2,6-bis(1-methylethyl)-4-phenoxy- (CA INDEX NAME)



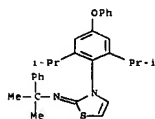
RN 120259-01-0 CAPLUS

CN 2-Propanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-2(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



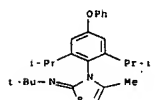
RN 120259-02-1 CAPLUS

CN Benzenemethanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-2(3H)-thiazolylidene]-α,α-dimethyl- (CA INDEX NAME)



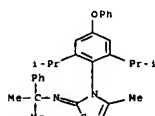
RN 120259-05-4 CAPLUS

CN 2-Propanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4-methyl-2(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



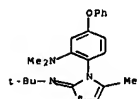
RN 120259-06-5 CAPLUS

CN Benzenemethanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4-methyl-2(3H)-thiazolylidene]-α,α-dimethyl- (CA INDEX NAME)



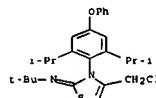
RN 120259-07-6 CAPLUS

CN Benzenamine, 2-[2-[(1,1-dimethylethyl)imino]-4-methyl-3(2H)-thiazolyl]-N,N-dimethyl-5-phenoxy- (CA INDEX NAME)



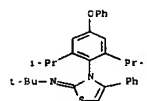
RN 120259-08-7 CAPLUS

CN 2-Propanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4-(chloromethyl)-2(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



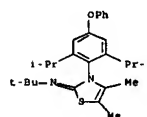
RN 120259-09-8 CAPLUS

CN 2-Propanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4-phenyl-2(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



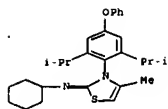
RN 120259-10-1 CAPLUS

CN 2-Propanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4,5-dimethyl-2(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)

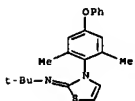


RN 120259-11-2 CAPLUS

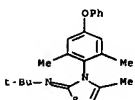
CN Cyclohexanamine, N-[3-[2,6-bis(1-methylethyl)-4-phenoxyphenyl]-4-methyl-2(3H)-thiazolylidene]- (CA INDEX NAME)



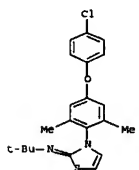
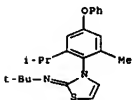
RN 120259-12-3 CAPLUS
CN 2-Propanamine, N-[3-(2,6-dimethyl-4-phenoxyphenyl)-2-(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



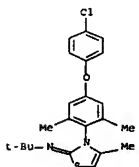
RN 120259-13-4 CAPLUS
CN 2-Propanamine, N-[3-(2,6-dimethyl-4-phenoxyphenyl)-4-methyl-2-(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



RN 120259-14-5 CAPLUS
CN 2-Propanamine, N-[3-(2-methyl-6-(1-methylethyl)-4-phenoxyphenyl)-2-(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



RN 120259-19-0 CAPLUS
CN 2-Propanamine, N-[3-(4-(4-chlorophenoxy)-2,6-dimethylphenyl)-4-methyl-2-(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



LJ1 ANSWER 68 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1989:76170 CAPLUS Full-text

DN 110:76170

TI Synthesis and characterization of phenyl-pendant aromatic polythiazoles from bis- α -bromophenylacetyl compounds and dithioamides

AU Inoue, Kazuto; Ueda, Mitsuru; Imai, Yoshio

CS Dep. Ind. Chem., Fukushima Tech. Coll., Iwaki, 970, Japan

SO Journal of Polymer Science, Part A: Polymer Chemistry (1988), 26(11), 2899-905

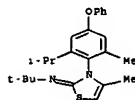
CODEN: JPACEC; ISSN: 0887-624X

DT Journal

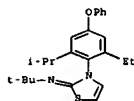
LA English

AB Novel phenyl-pendant aromatic polythiazoles having inherent viscosities of 0.3-1.3 dL/g were synthesized by the solution polycondensation of bis[4-(α -bromophenylacetyl)phenyl] ether with aromatic dithioamides or dithiooxamide in DMF at 60°. The polythiazole having m-phenylene linkage was readily soluble in CHCl₃ and m-cresol, and a transparent flexible film could be cast from the CHCl₃ solution. Glass transition temps. of these polythiazoles were in the range of 210-250°. They started to decompose at about 500° in air with 10% weight loss being recorded at around 570°C.

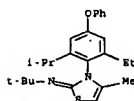
RN 120259-15-6 CAPLUS
CN 2-Propanamine, N-[4-methyl-3-(2-methyl-6-(1-methylethyl)-4-phenoxyphenyl)-2-(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



RN 120259-16-7 CAPLUS
CN 2-Propanamine, N-[3-(2-ethyl-6-(1-methylethyl)-4-phenoxyphenyl)-2-(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)



RN 120259-17-8 CAPLUS
CN 2-Propanamine, N-[3-(2-ethyl-6-(1-methylethyl)-4-phenoxyphenyl)-4-methyl-2-(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)

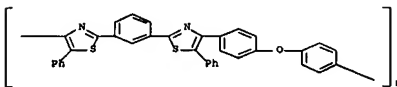


RN 120259-18-9 CAPLUS
CN 2-Propanamine, N-[3-(4-(4-chlorophenoxy)-2,6-dimethylphenyl)-2-(3H)-thiazolylidene]-2-methyl- (CA INDEX NAME)

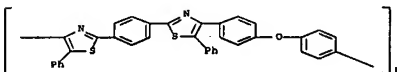
IT 104570-37-SP 104570-39-SP 118771-06-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and characterization of heat-resistant)

RN 104570-37-8 CAPLUS

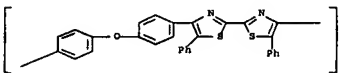
CN Poly[(5-phenyl-4,2-thiazolediyl)-1,3-phenylene(5-phenyl-2,4-thiazolediyl)-1,4-phenyleneoxy-1,4-phenylene] (9CI) (CA INDEX NAME)



RN 104570-38-9 CAPLUS
CN Poly[(5-phenyl-4,2-thiazolediyl)-1,4-phenylene(5-phenyl-2,4-thiazolediyl)-1,4-phenyleneoxy-1,4-phenylene] (9CI) (CA INDEX NAME)



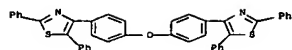
RN 118771-86-1 CAPLUS
CN Poly[(5,5'-diphenyl[2,2'-bithiazole]-4,4'-diyl)-1,4-phenyleneoxy-1,4-phenylene] (9CI) (CA INDEX NAME)



IT 117034-28-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as model compound for aromatic polythiazoles containing pendant Ph groups)

RN 119034-28-5 CAPLUS

CN Thiazole, 4,4'-(oxydi-4,1-phenylene)bis[2,5-diphenyl- (9CI) (CA INDEX NAME)



L31 ANSWER 69 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1988:549069 CAPLUS Full-text

DN 109:149069

TI Phenoxy-substituted alkanesulfonamide derivatives useful as analgesics, antiinflammatories, and antipyretics, and processes for their preparation

IN Matsuo, Masaaki; Tsuji, Kiyoshi; Konishi, Nobukiyo

PA Fujisawa Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 56 pp.

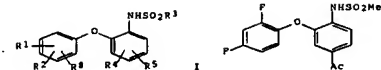
CODEN: EPXKDW

DT Patent

LA English

FAN. CNT 3

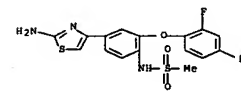
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 273369	A2	19880706	EP 1987-119063	19871222
EP 273369	A3	19891018		
EP 273369	B1	19920304		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AT 73131	T	19920315	AT 1987-119063	19871222
ES 2033292	T3	19930316	ES 1987-119063	19871222
FI 8705719	A	19880701	FI 1987-5719	19871228
JP 63190869	A	19880808	JP 1987-335647	19871228
JP 05019543	B	19930317		
ZA 8709706	A	19880831	ZA 1987-9706	19871228
DK 8706935	A	19880701	DK 1987-6935	19871230
NO 8705488	A	19880701	NO 1987-5488	19871230
NO 168299	B	19911028		
NO 168299	C	19920205		
AU 8753152	A	19880707	AU 1987-83152	19871230
AU 600782	B2	19900823		
HU 45971	A2	19880928	HU 1987-6136	19871230
HU 200322	B	19900528		
SU 1799378	A3	19930228	SU 1987-4203921	19871230
CN 87108295	A	19880713	CN 1987-108295	19871231
ZA 8803534	A	19890125	ZA 1988-3534	19880518
PRA1 GB 1986-31083	A	19861231		
GB 1987-12647	A	19870529		
GB 1987-24903	A	19871023		
EP 1987-119063	A	19871222		
OS MARPAT 109.149069				
GI				



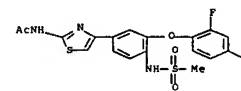
AB Title deriva. I (R1, R2, R3 = H, cyano, halo, alkyl, haloalkyl, alkylthio, -sulfanyl, -sulfonyl, alkoxy; R3 = alkyl, mono- or dialkylamino; R4 = acyl, cyano, CO2H, hydroxyalkyl, SH, alkylthio, -sulfanyl, -sulfonyl, 2-oxodioxolan-3-ylidenemethyl, CR6=NR7, (un)substituted 5-membered unsatd. heterocyclyl; SPH; R5 = H, halo, alkoxy, carboxyalkoxy, alkoxycarbonylalkoxy, ureido, thioureido) are prepared for use as analgesics, antiinflammatories, and antipyretics. 4-Amino-3-(2,4-difluorophenoxy)acetophenone was prepared in 4 steps and sulfonylated by MeSO2Cl in pyridine to give acetyl(difluorophenoxy)methanesulfonamide II. In the adjuvant arthritis test in rats, II at 1.0 mg/kg/day orally inhibited secondary (uninjected) paw lesion by 50%, vs. only 24.7% by ibuprofen at 10.0 mg/kg.

IT 136636-54-01 136636-54-02
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of, as analgesic, antipyretic and antiinflammatory)

RN 116686-59-0 CAPLUS
CN Methanesulfonamide, N-[4-(2-amino-4-thiazolyl)-2-(2,4-difluorophenoxy)phenyl]- (CA INDEX NAME)



RN 116686-60-3 CAPLUS
CN Acetamide, N-[4-[3-(2,4-difluorophenoxy)-4-[(methylsulfonyl)amino]phenyl]-2-thiazolyl]- (CA INDEX NAME)



L31 ANSWER 70 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1988:195021 CAPLUS Full-text

DN 108:195021

TI Bis(thiazolinethione) derivative nondiffusing photographic additives

IN Heilmann, Steven M.; Krepski, Larry R.; Rasmussen, Jerald K.; Katritzky, Alan R.; Tarr, Richard D.

PA Minnesota Mining and Manufacturing Co., USA

SO Eur. Pat. Appl., 26 pp.

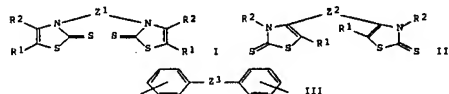
CODEN: EPXKDW

DT Patent

LA English

FAN. CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 233969	A1	19870930	EP 1987-302545	19870324
R: BE, CH, DE, FR, GB, IT, LI, NL, SE				
AU 8769818	A	19871001	AU 1987-69818	19870309
AU 586914	B2	19890727		
JP 62246558	A	19871027	JP 1987-67723	19870320
US 4946962	A	19900807	US 1989-356000	19890523
PRA1 US 1986-843078	A	19860324		
GI				

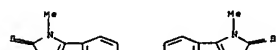


AB Bis(thiazolinethione) deriva. represented by the formula I or II (R1 = H, C1-4 alkyl, C5-12 aryl; R2 = H, C1-20 alkyl, C5-12 aryl; Z1 = (branched) C2-20 alkylene that can be interrupted by Z1 non-adjacent O, S or NR3 (R3 = H, lower alkyl), C5-12 arylene, C5-12 arene group; Z2 = C-C bond, (branched) C1-20 alkylene that can be interrupted by Z1 non-adjacent O or S, C5-12 arylene, C6-20 arene, C6H4Z3C6H4; Z3 = (branched) C1-4 alkylene, O, S, SO2, CO, CR24, NR4 (R4 = H, lower alkyl)) are synthesized and used as nondiffusing photog. additives, such as antigogants for image background reduction, sensitizers to increase the photosensitivity of Ag halide emulsions, and toners to enhance the black tone of images provided by Ag halide emulsions.

IT 117542-54-4
RL: TEM (Technical or engineered material use); USES (Uses)
(photog. material containing, as nondiffusing additive)

RN 112544-54-4 CAPLUS

CN 2(3H)-Thiazolinethione, 4,4'-[oxydi-4,1-phenylene]bis[3-methyl- (9CI) (CA INDEX NAME)



L31 ANSWER 71 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1988:56712 CAPLUS Full-text

DN 108:56712

TI Synthesis and characterization of poly([3H]-thiazole-2-thione)s

AU Katritzky, Alan R.; Tarr, Richard D.; Heilmann, Steven M.; Rasmussen, Jerald K.; Krepski, Larry R.

CS Dep. Chem., Univ. Florida, Gainesville, FL, 32611, USA

SO Journal of Polymer Science, Part A: Polymer Chemistry (1987), 25(12), 3205-14

CODEN: JPACBC; ISSN: 0887-624X

DT Journal

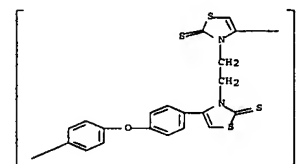
LA English

AB The reaction of dithiocarbamate salts with α-haloketones was extended to (i) dithiocarbamate salts with bis(α-haloketones), (ii) bis(dithiocarbamate salts) with α-haloketones, and (iii) bis(dithiocarbamate salts) with bis(α-haloketones). Both (i) and (ii) gave bis([3H]-thiazole-2-thione)s in high yields, and (iii) gave the corresponding polymers which were described and characterized.

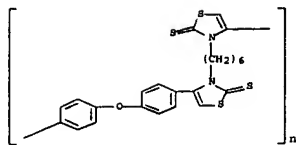
IT 139674-35-3F 139674-36-4F
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and characterization of)

RN 109674-35-3 CAPLUS

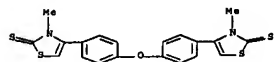
CN Poly[(2-thioxo-4,3(2H)-thiazoliediy1)-1,2-ethanediy1(2-thioxo-3,4(2H)-thiazoliediy1)-1,4-phenyleneoxy-1,4-phenylene] (9CI) (CA INDEX NAME)



RN 109674-36-4 CAPLUS
CN Poly[(2-thioxo-4,3(2H)-thiazoliediy1)-1,6-hexanediy1(2-thioxo-3,4(2H)-thiazoliediy1)-1,4-phenyleneoxy-1,4-phenylene] (9CI) (CA INDEX NAME)

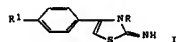


IT 112944-54-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 112944-54-4 CAPLUS
 CN 2(3H)-Thiazolethione, 4,4'-(oxydi-4,1-phenylene)bis[3-methyl- (9CI) (CA INDEX NAME)

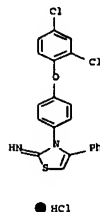


L31 ANSWER 72 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1987:491901 CAPLUS [Full-text](#)
 DN 107:91901
 TI Fungicidal thiazolines
 IN Naumann, Holger; Dehne, Heinz; Fieseler, Christine; Goetzschel, Kurt; Pallas, Manfred; Schoenfelder, Dietmar; Mueller, Wolfgang; Kochmann, Werner; Naumann, Kurt; Steinke, Walter
 PA VEB Chemiekombinat Bitterfeld, Ger. Dem. Rep.
 SO Ger. (East), 5 pp.
 CODEN: GEXXAS
 DT Patent
 LA German
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DD 241844	A1	19870107	DD 1985-281998	19851023
PI DD 1985-281998		19851023		
GI				



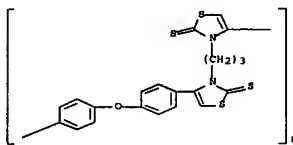
AB Thiazolines I (R = cyclohexyl, (un)substituted Ph; R1 = H, Cl) and I-HCl are fungicides. I (R = Ph, R1 = H) (0.1%) totally controlled *P. infestans* on tomato.
 IT 105902-42-3
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study); USES (Uses)
 (fungicide)
 RN 105902-42-3 CAPLUS
 CN 2(3H)-Thiazolimine, 3-[4-(2,4-dichlorophenoxy)phenyl]-4-phenyl-, monohydrochloride (9CI) (CA INDEX NAME)



L31 ANSWER 73 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1987:478488 CAPLUS [Full-text](#)
 DN 107:78488
 TI Thiazolothione-containing polymer
 IN Katritzky, Alan R.; Meilmann, Steven M.; Krepski, Larry R.; Rasmussen, Gerald M.; Tarr, Richard D.
 PA Minnesota Mining and Manufacturing Co., USA
 SO U.S., 8 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4659801	A	19870421	US 1985-807351	19851210
CA 1256241	A1	19890620	CA 1986-523638	19861124
EP 225804	A1	19870616	EP 1986-109583	19861209
EP 225804	B1	19900131		
R: BE, CH, DE, FR, GB, IT, LI, NL				
JP 62187730	A	19870817	JP 1986-293343	19861209
PRAI US 1985-807351	A	19851210		
GI				

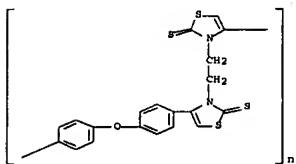
IT 105674-35-3P
 RL: PREP (Preparation)
 (preparation of, for non-migrating photog. components)
 RN 105674-35-3 CAPLUS
 CN Poly[(2-thioxo-4,3(2H)-thiazolethiyl)-1,3-propanediyl(2-thioxo-3,4(2H)-thiazolethiyl)-1,4-phenyleneoxy-1,4-phenylene] (9CI) (CA INDEX NAME)



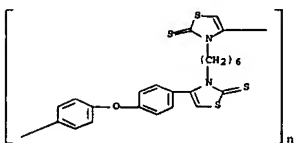
L31 ANSWER 74 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1987:49724 CAPLUS [Full-text](#)
 DN 106:49724
 TI Studies on hypolipidemic agents. III. m-(4-Phenoxybenzoyl)alkanoic acid derivatives
 AU Tomisawa, Kazuyuki; Kameo, Kazuya; Matsunaga, Tohru; Saito, Shuji; Hosoda, Kazuki; Asami, Yumiko; Sota, Kaoru
 CS Res. Cent., Taisho Pharm. Co., Ltd., Saitama, 330, Japan
 SO Chemical & Pharmaceutical Bulletin (1986), 34(2), 701-12
 CODEN: CPBTAL; ISSN: 0009-2363
 DT Journal
 LA English
 OS CASREACT 106:49724
 AB 2-(Acetylthio)-3-(4-substituted phenoxybenzoyl)propionic acids and m-(4-phenoxybenzoyl)alkanoic acids were prepared, and tested for hypolipemic activity in rats. 2-(Acetylthio)-3-(4-phenoxybenzoyl)propionic acid derivatives had the most potent hypolipemic activities, and halogen substitution on the phenoxy group increased the activity. Thus, the Friedel-Crafts acylation of 4-FC6H4OPH with maleic anhydride gave 4-FC6H4OC6H4COCH:CHCO2H, which added AcSH to give 4-FC6H4OC6H4COCH2CH(SAc)CO2H (I). I had greater hypolipemic activity than clofibrate.
 IT 105769-31-1P 105769-32-2P 105769-33-3P
 105769-34-4P 105769-35-5P 105769-36-6P
 105769-37-7P 105769-38-8P 105769-39-9P
 105769-40-2P 105769-41-3P 106132-59-6P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
 (preparation and hypolipemic activity of)
 RN 105769-31-1 CAPLUS
 CN 5-Thiazoleacetic acid, 2-methyl-4-(4-phenoxyphenyl)- (CA INDEX NAME)

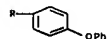
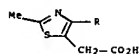


AB Polymers containing units I (R = H, alkyl, etc.), useful as non-migrating components in photosensitive materials, are prepared by copolymerizing a bis(dithiocarbamate) salt with a bis(α-halo ketone) with cyclization to form the thiazolothione rings. CS2 was added to H2N(CH2)6NH2 in aqueous KOH to prepare KS2CNH(CH2)6NHCS2K which was copolymerized with 4,4'-bis(bromoacetyl)biphenyl (prepared from biphenyl and BrCH2COBr), and the copolymer was cyclized in the presence of HCl to give a polymer containing units I (R = H).
 IT 105674-35-3P 105674-36-4P
 RL: PREP (Preparation)
 (preparation of, for non-migrating photog. component)
 RN 105674-35-3 CAPLUS
 CN Poly[(2-thioxo-4,3(2H)-thiazolethiyl)-1,2-ethanediyl(2-thioxo-3,4(2H)-thiazolethiyl)-1,4-phenyleneoxy-1,4-phenylene] (9CI) (CA INDEX NAME)



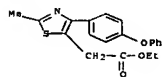
RN 105674-36-4 CAPLUS
 CN Poly[(2-thioxo-4,3(2H)-thiazolethiyl)-1,6-hexanediyl(2-thioxo-3,4(2H)-thiazolethiyl)-1,4-phenyleneoxy-1,4-phenylene] (9CI) (CA INDEX NAME)





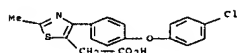
RN 105769-32-2 CAPLUS

CN 5-Thiazolepropanoic acid, 2-methyl-4-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)



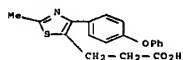
RN 105769-33-3 CAPLUS

CN 5-Thiazolepropanoic acid, 4-[4-(4-chlorophenoxy)phenyl]-2-methyl-, ethyl ester (CA INDEX NAME)



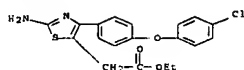
RN 105769-34-4 CAPLUS

CN 5-Thiazolepropanoic acid, 2-methyl-4-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)



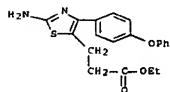
RN 105769-35-5 CAPLUS

CN 5-Thiazolepropanoic acid, 4-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)



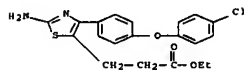
RN 105769-40-2 CAPLUS

CN 5-Thiazolepropanoic acid, 2-amino-4-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)



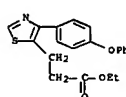
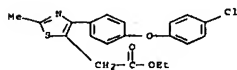
RN 105769-41-3 CAPLUS

CN 5-Thiazolepropanoic acid, 2-amino-4-[4-(4-chlorophenoxy)phenyl]-, ethyl ester (CA INDEX NAME)



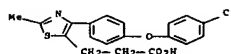
RN 106132-59-6 CAPLUS

CN 5-Thiazolepropanoic acid, 4-[4-(4-chlorophenoxy)phenyl]-2-methyl-, ethyl ester (CA INDEX NAME)



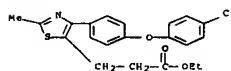
RN 105769-36-6 CAPLUS

CN 5-Thiazolepropanoic acid, 4-[4-(4-chlorophenoxy)phenyl]-2-methyl-, ethyl ester (CA INDEX NAME)



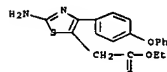
RN 105769-37-7 CAPLUS

CN 5-Thiazolepropanoic acid, 4-[4-(4-chlorophenoxy)phenyl]-2-methyl-, ethyl ester (CA INDEX NAME)



RN 105769-38-8 CAPLUS

CN 5-Thiazolepropanoic acid, 2-amino-4-(4-phenoxyphenyl)-, ethyl ester (CA INDEX NAME)



RN 105769-39-9 CAPLUS

CN 5-Thiazolepropanoic acid, 2-amino-4-[4-(4-chlorophenoxy)phenyl]-, ethyl ester (CA INDEX NAME)

IN Lange, Arno; Wuerzer, Bruno; Meyer, Norbert

PA BASF A.-G., Fed. Rep. Ger.

SO Ger. Offen., 25 pp.

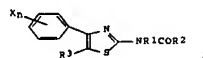
CODEN: GWXXBX

DT Patent

LA German

FAN.CWT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3503773	A1	19860807	DE 1985-3503773	19850205
US 4769062	A	19880906	US 1986-820232	19860121
CA 1269987	A1	19900605	CA 1986-500542	19860128
BR 8600374	A	19861014	BR 1986-374	19860130
EP 192998	A2	19860903	EP 1986-101342	19860203
EP 192998	A3	19860910		
EP 192998	B1	19900124		
HU 42463	R	DE, CH, DE, FR, GB, IT, LI, NL	HU 1986-481	19860204
PRAI DE 1985-3503773	A2	19870728		
OS CASREACT 105:221003	A	19850205		
GI		MARPAT 105:221003		



AB The title compds. I (R1 = H, alkyl; R2 = alkyl, alkenyl, alkynyl, cycloalkyl; R3 = H, alkyl, halo; X = halo, alkoxy, haloalkoxy, alkyl, haloalkyl, cycloalkyl, alkylthio, NO2, CN, substituted Ph of PhO; n = 1-4) are prepared as herbicides. Thus, 8.23 g 4-(4-difluoromethoxyphenyl)thiazol e-2-ylamine (preparation given) and 3.46 g EtCOCl was heated at 50° for 2 h to give 7 g I (X = 4-F2CHO; R1 = R3 = H; R2 = Et) (III). Postemergence II applied at 0.5 kg/ha, controlled weeds in soybean, wheat and other crops.

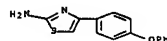
IT 105512-82-1F 105512-34-3P

RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and amidation by, of carboxylic acids)

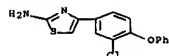
RN 105512-82-1 CAPLUS

CN 2-Thiazolamine, 4-(4-phenoxyphenyl)- (CA INDEX NAME)

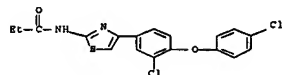


RN 105512-84-3 CAPLUS

CN 2-Thiazolamine, 4-(3-chloro-4-phenoxyphenyl)- (CA INDEX NAME)



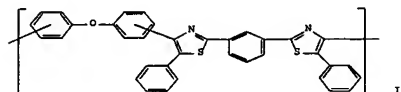
IT 105527-98-8P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
 RN 105527-98-8 CAPLUS
 CN Propanamide, N-[4-[3-chloro-4-(4-chlorophenoxy)phenyl]-2-thiazolyl]- (CA INDEX NAME)



L31 ANSWER 76 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1986:553741 CAPLUS Full-text
 DN 105:153741

TI Polythiazoles
 IN Imai, Yoshio; Inoue, Kazuto; Ueda, Mitsuru
 PA Japan Synthetic Rubber Co., Ltd., Japan
 SO Jpn. Kokai Tokkyo Koho, 5 pp.
 CODEN: JKXXAP
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 61097330	A	19860515	JP 1984-216366	19841017
PRAI JP 1984-216366		19841017		

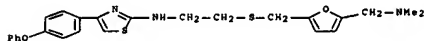


JP 02062554 B 19901226
 US 4705873 A 19871110 US 1987-3258 19870114
 PRAI IT 1984-48956 A 19841005
 EP 1985-830248 A 19851002
 OS MARPAT 105:115053
 GI



AB 2-Aminothiazole derivate I (R = alkyl, naphthyl, adamantyl, Ph₂CHO, (un)substituted Ph), which inhibit gastric secretion by antagonizing histamine H₂ receptors, were prepared. For example, 2-[[[5-[(dimethylamino)methyl]-2-furanyl]methyl]thio]ethanamine underwent addition reaction with BSNCs, followed by debenzoylation and cyclocondensation with BrCH₂COPh to give I (R = Ph).

IT 104059-06-5P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as ulcer inhibitor)
 RN 104059-06-5 CAPLUS
 CN 2-Thiazolamine, N-[2-[[[5-[(dimethylamino)methyl]-2-furanyl]methyl]thio]ethyl]-4-(4-phenoxyphenyl)- (CA INDEX NAME)



L31 ANSWER 78 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1986:68849 CAPLUS Full-text
 DN 104:68849

TI Thiazolylureas and their use in combatting unwanted vegetation
 IN Lange, Arno; Parge, Adolf; Wuerzer, Bruno
 PA BASF A.-G., Fed. Rep. Ger.
 SO Ger. Offen., 18 pp.
 CODEN: GWXXBX

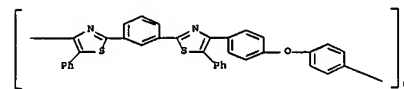
DT Patent
 LA German
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3413755	A1	19851024	DE 1984-3413755	19840412
EP 161442	A2	19851121	EP 1985-103772	19850328
EP 161442	A3	19851105		
R: BE, CH, DE, FR, GB, IT, LI, NL				
BR 8501705	A	19851210	BR 1985-1705	19850411
ZA 8502680	A	19851224	ZA 1985-2680	19850411

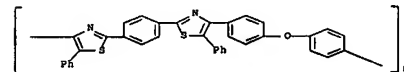
AB Soluble, heat-resistant polythiazoles are prepared from the haloketones [PhCH(X)CO-p-C₆H₄]₂O and bisthioamides. Thus, heating 1.5 mmol each [PhCH(Br)CO-p-C₆H₄]₂O and dithioisophthalamide in 10 mL DMF at 60° for 3 days gave the polythiazole I with intrinsic viscosity 1.14 dL/g (MeSO₃H, 30°) which was soluble in MeSO₃H, CHCl₃, and cresol. A cast film lost 10% weight at 570° in air.

IT 104570-37-8 104570-38-9
 RL: USES (Uses)
 (heat-resistant, manufacture of soluble)

RN 104570-37-8 CAPLUS
 CN Poly[(5-phenyl-4,2-thiazole-1,3-phenylene(5-phenyl-2,4-thiazole-1,4-phenyleneoxy-1,4-phenylene)] (9CI) (CA INDEX NAME)



RN 104570-38-9 CAPLUS
 CN Poly[(5-phenyl-4,2-thiazole-1,3-phenylene(5-phenyl-2,4-thiazole-1,4-phenyleneoxy-1,4-phenylene)] (9CI) (CA INDEX NAME)



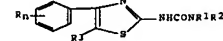
L31 ANSWER 77 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1986:515053 CAPLUS Full-text
 DN 105:115053

TI 2-Aminothiazole derivatives having acid secretion inhibiting activity
 IN Baglioni, Alessandro
 PA Medosan Industrie Biochimiche Riunite S.p.A., Italy
 SO Eur. Pat., 28 pp.
 CODEN: EPXXDW

DT Patent
 LA English
 FAN.CNT 1

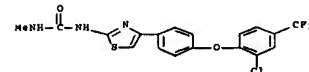
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 177463	A2	19860409	EP 1985-830248	19851002
EP 177463	A3	19870527		
EP 177463	B1	19901212		
R: AT, BE, CH, DE, FR, GB, LI, NL, SE				
US 4652575	A	19870324	US 1985-775245	19850912
AT 59039	T	19901215	AT 1985-830248	19851002
JP 61091186	A	19860509	JP 1985-221727	19851004

HU 37769 A2 19860228 HU 1985-1347 19850411
 HU 194546 B 19880229
 PRAI DE 1984-3413755 A 19840412
 OS CASREACT 104:68849; MARPAT 104:68849
 GI

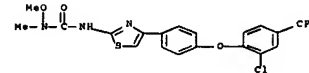


AB The thiazolylureas I (R = H, halo, alkyl, alkoxy, CN, etc.; R₁ and R₃ = H, alkyl; R₂ = alkyl, alkoxy, alkenyl, etc.; n = 0, 1, 2, 3), useful as herbicides, were prepared by 3 methods. 4-MeOC₆H₄COMe (30 g) was cyclized with 33.5 g thiourea and 56 g iodine in 5 h at 100° to give 37.8 g 4-(4-methoxyphenyl)-2-aminothiazole which (6.2 g) reacted with 2.9 g MeONC in PhMe containing 2 drops Bu₂Sn(OAc)₂ in 12 h at 50° to give 5.5 g I (Rn = 4-MeO, R₁ = Me, R₂ = R₃ = H). I (Rn = 4-CP₃, R₁ = Me, R₂ = OMe, R₃ = H) (3 kg/ha), applied postemergence in greenhouse expts., controlled many broadleaf and grass weeds.

IT 100283-82-8P 100283-86-1P 100284-05-7P
 RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
 RN 100283-82-8 CAPLUS
 CN Urea, N'-[4-[4-(2-chloro-4-(trifluoromethyl)phenoxy)phenyl]-2-thiazolyl]-N'-methyl- (CA INDEX NAME)

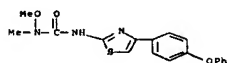


RN 100283-86-1 CAPLUS
 CN Urea, N'-[4-[4-(2-chloro-4-(trifluoromethyl)phenoxy)phenyl]-2-thiazolyl]-N'-methoxy-N-methyl- (CA INDEX NAME)



RN 100284-05-7 CAPLUS

CN Urea, N-methoxy-N-methyl-N'-[4-(4-phenoxyphenyl)-2-thiazolyl]- (CA INDEX NAME)



L31 ANSWER 79 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1984:483697 CAPLUS [Full-text](#)

DN 101:3697

TI Studies on the antiinflammatory activity and ulcerogenic adverse effect of thiazole derivatives, especially 2-amino-thiazoleacetic acid derivatives

AU Nagatomi, H.; Ando, K.

CS Gen. Res. Cent., Funai Pharm. Ind. Ltd., Hirakata, Japan

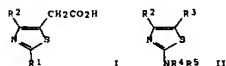
SO Arzneimittel-Forschung (1984), 34(5), 599-603

CODEN: ARZNAD; ISSN: 0004-4172

DT Journal

LA English

GI



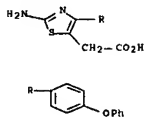
AB Fifty-four thiazole-5-acetic acid deriva. (I, R1 = Ph, chlorophenyl, benzyl, phenylamino, benzoylamino, or NH2; R2 = various aryl) and 34 2-aminothiazole deriva. (II; R2 = Ph, chlorophenyl, or ethylphenyl; R3 = carboxymethyl or α-methylcarboxymethyl; R4 = H, Me, or Et; R5 = Et, trifluoromethyl, or various aryl) were tested for antiinflammatory activity in the rat carrageenan edema test. The 2 most active compds. were 4-(4-chlorophenyl)-2-(phenylamino)thiazole-5-acetic acid (III) [49779-95-5] and 4-(4-chlorophenyl)-2-(diethylamino)thiazole-5-acetic acid (IV) [49780-02-1]. In further antiinflammatory tests, both III and IV inhibited the heat-induced denaturation of albumin and erythrocyte lysis and inhibited chymotrypsin and trypsin activities; both were more active than the refs. drugs. Both III and IV had low ulcerogenic activity in rats; III, especially, caused almost no gastric damage, even at high doses.

IT 81 44 83 / 91233-88 4 91234-74

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

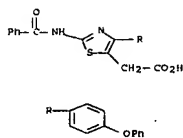
(inflammation inhibition by, ulcerogenic side effect in relation to)

RN 91233-81-7 CAPLUS



RN 91254-37-4 CAPLUS

CN 5-Thiazoleacetic acid, 2-(benzoylamino)-4-(4-phenoxyphenyl)- (CA INDEX NAME)



L31 ANSWER 80 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1993:438404 CAPLUS [Full-text](#)

DN 99:38404

ORF 99:60338,60368

TI N-(4-substituted-thiazolyl)oxamic acid derivatives, new series of potent, orally active antiallergy agents

AU Hargrave, Karl D.; Hess, Friedrich K.; Oliver, James T.

CS Res. Dev., Boehringer Ingelheim Ltd., Ridgefield, CT, 06877, USA

SO Journal of Medicinal Chemistry (1993), 26(8), 1158-63

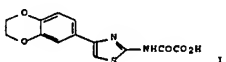
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

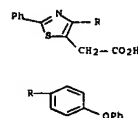
OS CASREACT 99:38404

GI



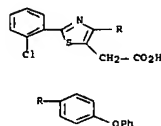
AB A series of N-(4-substituted-thiazolyl)oxamic acid deriva. were prepared by treatment of the appropriate acetophenone with thiourea and iodine or by

CN 5-Thiazoleacetic acid, 4-(4-phenoxyphenyl)-2-phenyl- (CA INDEX NAME)



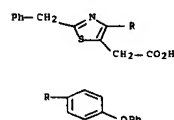
RN 91233-88-4 CAPLUS

CN 5-Thiazoleacetic acid, 2-(2-chlorophenyl)-4-(4-phenoxyphenyl)- (CA INDEX NAME)



RN 91233-97-5 CAPLUS

CN 5-Thiazoleacetic acid, 4-(4-phenoxyphenyl)-2-(phenylmethyl)- (CA INDEX NAME)



RN 91234-20-7 CAPLUS

CN 5-Thiazoleacetic acid, 2-amino-4-(4-phenoxyphenyl)- (CA INDEX NAME)

reaction of the chloroacetylbenzene with thiourea to give the corresponding aminothiazoles; subsequent condensation with EtO2CCOCl gave the thiazolylloxamidates. Many of the analogs showed a 50% inhibition at <2 mg/kg orally or <0.4 mg/kg i.v. and were significantly more potent than disodium cromoglycate. Hydrolysis of the oxamates generally resulted in enhanced activities, while substitution of the Ph ring with a variety of substituents (e.g., 4-F, 4-OEt, and 4-NHAc) did not significantly enhance the activity of the unsubstituted Ph derivative. The ethanolamine salt of I has been selected for further pharmacol. evaluation.

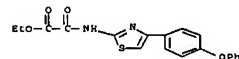
IT 85849-61-2P 85849-63-4P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(preparation and antiallergic activity of)

RN 85849-61-2 CAPLUS

CN Acetic acid, oxo[4-(4-phenoxyphenyl)-2-thiazolyl]amino]-, ethyl ester (9CI) (CA INDEX NAME)



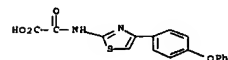
RN 85849-63-4 CAPLUS

CN Acetic acid, oxo[4-(4-phenoxyphenyl)-2-thiazolyl]amino]-, compd. with 2-aminoethanol (1:1) (9CI) (CA INDEX NAME)

CN 1

CRN 85849-62-3

CMF C17 H12 N2 O4 S



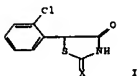
CN 2

CRN 141-43-6

CMF C2 H7 N O

H2N-CH2-CH2-OH

AN 1983:160624 CAPLUS [Full-text](#)
 DN 98:160624
 OREF 98:24378h, 24379a
 TI Studies on antidiabetic agents. III. 5-Arylthiazolidine-2,4-diones as
 potent aldose reductase inhibitors
 AU Sohma, Takashi; Mizuno, Katsutoshi; Imamiya, Eiko; Tawada, Hiroyuki;
 Meguro, Kanji; Kawamatsu, Yutaka; Yamamoto, Yujiro
 CS Cent. Res. Div., Takeda Chem. Ind., Ltd., Osaka, 532, Japan
 SO Chemical & Pharmaceutical Bulletin (1982), 30(10), 3601-16
 CODEN: CPBTAL; ISSN: 0009-2363
 DT Journal
 LA English
 GI



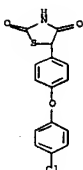
AB Thiazolidine-2,4-dione deriva. (86 compds. having one or two substituent(s) such as Ph, heteroaryl and alkyl group(s) at the 5-position were synthesized by several methods and evaluated as aldose reductase inhibitors. Thus 5-ethoxycarbonylthiazolidine-2,4-dione was cyclized with H₂NCSNH₂ to give its thiazolidine I (X = NH), which was hydrolyzed to give I (X = O). Inhibition by the active compds. of the swelling of the lens in a rat-lens-culture assay was also measured. Among these compds., a series of 5-(3,4-dialkoxyphenyl)thiazolidine-2,4-diones showed pronounced activities in both assays. Structure-activity relationships are discussed and a new approach to the synthesis of 5-arylthiazolidine-2,4-diones is described.

IT 85258-86-2P

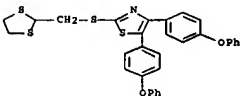
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation and aldose reductase inhibition by)

RN 85258-86-2 CAPLUS

CN 2,4-Thiazolidinedione, 5-[4-(4-chlorophenoxy)phenyl]- (CA INDEX NAME)



(preparation of)
 RN 84263-99-0 CAPLUS
 CN Thiazole, 2-[(1,3-dithiolan-2-ylmethyl)thio]-4,5-bis(4-phenoxyphenyl)- (CA INDEX NAME)



L31 ANSWER 83 OF 104 CAPLUS COPYRIGHT 2007 ACS on STM

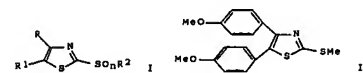
AN 1982:616161 CAPLUS [Full-text](#)
 DN 97:216161
 OREF 97:16285a, 16288a
 TI Thiazole compounds and medicinal composition containing them
 IN Sakano, Isao; Yokoyama, Tatsuro; Kajiya, Seitaro; Okazaki, Yutaka; Tokuda, Hiroshi; Kawazura, Hiroshi; Kumakura, Mikio; Nakano, Takuo; Awaya, Akira
 PA Mitsui Toatsu Chemicals, Inc., Japan
 SO PCT Int. Appl., 34 pp.
 CODEN: PIXXD2
 DT Patent
 LA Japanese
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 8202383	A1	19820722	WO 1982-JP12	19820113
M: US				
RM: CH, DE, FR, GB, NL				
JP 57118572	A	19820723	JP 1981-2741	19810113
JP 02055426	B	19901127		
JP 57136578	A	19820823	JP 1981-6321	19810121
JP 02055427	B	19901127		
EP 69154	A1	19820112	EP 1982-900258	19820113
EP 69154	B1	19861015		
R: DE, FR, GB				
US 4501750	A	19850226	US 1982-420257	19820913
PRAI JP 1981-2741	A	19810113		
JP 1981-6321	A	19810121		
WO 1982-JP12	A	19820113		
OS CASREACT 97:216161; MARPAT 97:216161				
GI				



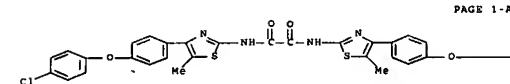
L31 ANSWER 82 OF 104 CAPLUS COPYRIGHT 2007 ACS on STM
 AN 1983:53881 CAPLUS [Full-text](#)
 DN 98:53881
 OREF 98:8293a, 8296a
 TI Thiazole derivatives, medicaments containing them and their use
 IN Ferrini, Pier Giorgio; Goeschke, Richard
 PA Ciba-Geigy A.-G., Switz.
 SO Eur. Pat. Appl., 119 pp.
 CODEN: EPXADM
 DT Patent
 LA German
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 61425	A2	19820929	EP 1982-810111	19820312
EP 61425	A3	19821215		
R: AT, BE, CH, DE, FR, IT, LU, NL, SE				
US 4451471	A	19840529	US 1982-355989	19820308
FI 8200877	A	19820919	FI 1982-877	19820315
DK 8201184	A	19820919	DK 1982-1184	19820317
NO 8200881	A	19820920	NO 1982-881	19820317
GB 2098203	A	19821117	GB 1982-7759	19820317
GB 2098203	B	19850509		
ZA 8201790	A	19830126	ZA 1982-1790	19820317
HU 33130	A2	19841029	HU 1982-811	19820317
HU 187684	B	19860228		
AU 8281667	A	19820923	AU 1982-81667	19820318
JP 57183767	A	19821112	JP 1982-41809	19820318
IL 65285	A	19851129	IL 1982-65285	19820318
DD 202705	A5	19830928	DD 1982-238479	19820326
ES 533645	A1	19860216	ES 1984-533645	19840622
ES 545147	A1	19861216	ES 1985-545147	19850712
PRAI CH 1981-1838	A	19810318		
US 1983-507419	A	19830624		
US 1984-614612	A	19840529		
US 1984-614615	A	19840529		
US 1984-614617	A	19840529		
OS CASREACT 98:53881; MARPAT 98:53881				
GI				



AB I [R, R₁ = aryl, hydroxy- (or a derivative), mercapto- (or a derivative) (alkylamino)-, trifluoromethylaryl or heteroaryl, etc.; n = 0-2; R₂ = alkyl, hydroxyalkyl, alkoxyalkyl, mercaptoalkyl, (alkylthio)alkyl, etc.] were prepared as antirheumatics (no data). Thus, 4-MeOC₆H₄CH₂COC₆H₄OMe-4 was cyclized with P2S₅ and the thiazole alkylated with BuLi and Me₂S₂ to give II.
 IT 84263-99-0P
 RL: SPN (Synthetic preparation); PREP (Preparation)

AB Title compds. I (R = H, halo, alkyl, alkoxy, (un)substituted PhO, NO₂, cyano; R₁ = H, alkyl, alkylthio; R₂ = haloalkyl, Q), useful as inflammation inhibitors (data given) were prepared. Thus, stirring 17.6 g 2-amino-4-phenylthiazole with 6.4 g ClCOC₂Cl in THF in the presence of 10 g Et₃N gave 7.5 g I (R = R₁ = H, R₂ = Q).
 IT 83766-21-6P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of)
 RN 83766-21-6 CAPLUS
 CN Ethanediamide, N,N'-bis[4-(4-(4-chlorophenoxy)phenyl)-5-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)



PAGE 1-A



PAGE 1-B

L31 ANSWER 84 OF 104 CAPLUS COPYRIGHT 2007 ACS on STM
 AN 1982:20116 CAPLUS [Full-text](#)
 DN 96:20116
 OREF 96:3354h, 3355a
 TI Herbicidally active 3-nitro-5-phenoxyphenyloxazoles, -oxazines, -imidazoles, -pyrimidines and -thiazoles and their use
 IN Duerr, Dieter
 PA Ciba-Geigy A.-G., Switz.
 SO Eur. Pat. Appl., 21 pp.
 CODEN: EPXADM
 DT Patent
 LA German
 FAN.CNT 1

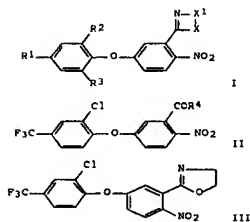
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 35475	A1	19810909	EP 1981-810065	19810227
EP 35475	B1	19831130		
R: AT, BE, CH, DE, FR, GB, IT, NL				
AT 5478	T	19831215	AT 1981-810065	19810227
US 4350519	A	19820921	US 1981-239721	19810302
PL 126684	B1	19830831	PL 1981-229972	19810303
CA 1161841	A1	19840207	CA 1981-372239	19810303

10576830-103

205 of 236

IL 62279 A 19840930 IL 1981-62279 19810303
 AU 8168062 A 19810910 AU 1981-68062 19810304
 AU 530588 B2 19840823
 ES 500071 A1 19820101 ES 1981-500071 19810304
 ZA 8101425 A 19820331 ZA 1981-1425 19810304
 DO 156664 A5 19820915 DO 1981-228050 19810304
 SU 999969 A3 19930223 SU 1981-3260552 19810304
 CS 225828 B2 19840213 CS 1981-1550 19810304
 HU 29834 A2 19840228 HU 1981-545 19810304
 JP 56154461 A 19811130 JP 1981-31907 19810305
 US 4431439 A 19840214 US 1982-395770 19820706
 PRA1 CH 1980-1739 A 19800305
 EP 1981-810065 A 19810227
 US 1981-239721 A3 19810302
 MARPAT 96:20116

OS
 GI



AB The title compds. I [R1 - R3 independently = H, halo, CF3, NO2, cyano; X = O, S, NH optionally substituted (o.s.) with Cl-4 alkyl; X1 = C2-3 alkylene o.s. with Cl-4 alkyl or haloalkyl], useful as herbicides and plant growth regulators, were prepared. Condensing benzoyl chloride II (R4 = Cl) with H2NCH2CH2OH gave the benzamide II (R4 = NHCH2CH2OH) which was converted to the chloride II (R4 = NHCH2CH2Cl) with SOCl2. Treating II (R4 = NHCH2CH2Cl) with Bu4N-Cl-, then powdered NaOH and refluxing 0.5 h, then stirring 10 h gave 79% oxazoline III. On preemergence testing, III, at 1 kg/ha, caused heavy damage to complete killing of 15 weeds with only slight damage to barley, wheat, corn, and rice.

IT 50131-35 JP
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and herbicidal activity of)

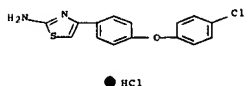
RN 80131-35-7 CAPLUS

CN Thiazole, 2-[5-[2-chloro-4-(trifluoromethyl)phenoxy]-2-nitrophenyl]-4,5-dihydro- (CA INDEX NAME)

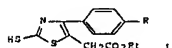
10576830-103

207 of 236

CN 2-Thiazolamine, 4-[4-(4-chlorophenoxy)phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)



L31 ANSWER 86 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1981:103221 CAPLUS Full-text
 DN 94:103221
 OREF 94:16843a,16846a
 TI Thiazole derivatives. III. Synthesis and pharmacological screening of 2-mercapto-4-arylthiazolyl-5-acetic acids and their ethyl esters
 AU Zawadzka, Jadwiga; Szczyginski, Bohdan
 CS Dep. Chem. Synth., Inst. Pharm. Ind., Warsaw, 01-793, Pol.
 SO Acta Poloniae Pharmaceutica (1979), 36(5), 551-5
 CODEN: APHAX; ISSN: 0001-6837
 DT Journal
 LA Polish
 OS CASREACT 94:103221
 GI



AB Thiazole derivs. I (R = H, Cl, Br, F, OMe, Ph, OPh) were prepared in 40-75% yields by bromination in Et2O or CHCl3 of 4-RC6H4CO(CH2)2CO2Et and subsequent cyclization with H2NCS2NH4 in EtOH. I (R = H, Cl, Br, F) were hydrolyzed with 20% NaOH to yield the corresponding acids. Pharmacol. tests for antiproliferative activity gave neg. results.

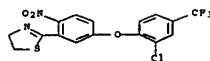
IT 75449-14-8
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)

RN 75449-14-8 CAPLUS

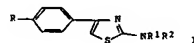
CN 5-Thiazoleacetic acid, 2,3-dihydro-4-(4-phenoxyphenyl)-2-thio-, ethyl ester (CA INDEX NAME)

10576830-103

206 of 236



L31 ANSWER 85 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1981:587138 CAPLUS Full-text
 DN 95:187138
 OREF 95:31229a,31232a
 TI 2-Amino-4-phenylthiazole derivatives as anti-atherogenic agents
 AU Kawamatsu, Yutaka; Honda, Takashi; Inai, Yoshio
 CS Chem. Res. Lab., Takeda Chem. Ind. Ltd., Joshonmachi, Osaka, 532, Japan
 SO European Journal of Medicinal Chemistry (1981), 16(4), 355-62
 CODEN: EJMCAS; ISSN: 0009-4374
 DT Journal
 LA English
 GI

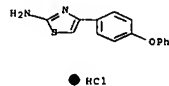


AB Thiazoles I (R = (un)substituted benzyloxy, Ph, PhO, 4-ClC6H4O, PhCH2, PhCH2CH2O, 4-ClC6H4CO2, 4-ClC6H4CONHCH2CH2O, 4-ClC6H4CH2NH, 4-ClC6H4CH2S, 3-pyridylmethoxy, 2-thienylmethoxy, cyclohexylmethoxy, 1-methyl-1-cyclohexylmethoxy, Me3CCH2O, Me(CH2)14CH2O; R1 = H, Me; R2 = H, CHO, acyl, Me, MeSO2, 4-MeC6H4SO2, allyl, cyclohexyl, Ph; R1R2 = (CH2)5) were prepared. E.g. refluxing 4-ClC6H4CH2CO2CH2Cl-4 with thiourea and NaOAc in H2O/EtOH gave 77.5% I (R = 4-ClC6H4CH2O, R1 = R2 = H). I.HCl (R = 4-FC6H4CH2O, R1 = R2 = H) showed pronounced antiatherogenic activity in rats.

IT 79615-33-1P 79615-34-2P
 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation and antiatherogenic activity of)

RN 79615-33-1 CAPLUS

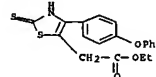
CN 2-Thiazolamine, 4-(4-phenoxyphenyl)-, monohydrochloride (9CI) (CA INDEX NAME)



RN 79615-34-2 CAPLUS

10576830-103

208 of 236



L31 ANSWER 87 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1974:414549 CAPLUS Full-text
 DN 81:14549
 OREF 81:2355a,2358a
 TI Infrared spectroscopic studies on high-temperature-stable fibers and textiles with ATR [attenuated total reflection] technique. II. Infrared spectra of high-temperature-stable fibers
 AU Hummel, Dieter O.; Siesler, Heinz; Zoschke, Elisabeth; Vierling, Ilse; Morlock, Ute; Stadlaender, Thomas
 CS Inst. Phys. Chem. Kolloidchem., Cologne, Fed. Rep. Ger.
 SO Melliand Textilberichte International (1973), 54(12), 1340-6
 CODEN: MTXIAN; ISSN: 0375-9350
 DT Journal
 LA German
 AB The use of ATR-ir spectra for identification of high temperature fibers was discussed and 27 representative spectra were given.

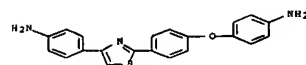
IT 52410-69-2
 RL: USES (Uses) (fiber, attenuated total reflection ir spectrum of)

RN 52410-69-2 CAPLUS

CN 1,3-Benzenedicarboxylic acid, polymer with 4-[2-(4-(4-aminophenoxy)phenyl)-4-thiazolyl]benzenamine (9CI) (CA INDEX NAME)

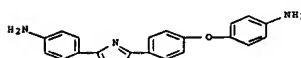
CM 1

CRN 26510-07-6
 CMF C21 H17 N3 O S

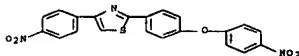


CM 2

CRN 121-91-5
 CMF C8 H6 O4



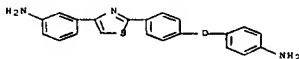
RN 26510-10-1 CAPLUS
CN Thiazole, 2-[p-(p-nitrophenoxy)phenyl]-4-(p-nitrophenyl)- (8CI) (CA INDEX NAME)



RN 26615-62-3 CAPLUS
CN Terephthaloyl chloride, polyamide with 2-[p-(p-aminophenoxy)phenyl]-4-(m-aminophenyl)thiazole (8CI) (CA INDEX NAME)

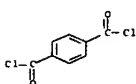
CM 1

CRN 26507-11-9
CMF C21 H17 N3 O 8



CM 2

CRN 100-20-9
CMF C8 H4 Cl2 O2



RN 26655-99-2 CAPLUS
CN 1,3-Benzenedicarbonyl dichloride, polymer with 4-[2-[4-(4-aminophenoxy)phenyl]-4-thiazolyl]benzenamine (9CI) (CA INDEX NAME)

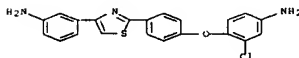
CM 1

CRN 26510-07-6
CMF C21 H17 N3 O 8

CN Terephthaloyl chloride, polyamide with 2-[p-(4-amino-2-chlorophenoxy)phenyl]-4-(m-aminophenyl)thiazole (8CI) (CA INDEX NAME)

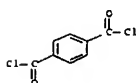
CM 1

CRN 24689-96-1
CMF C21 H16 Cl N3 O 8



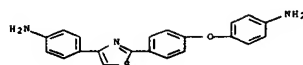
CM 2

CRN 100-20-9
CMF C8 H4 Cl2 O2



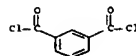
L31 ANSWER 90 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 1970:3479 CAPLUS Full-text
DN 72:3479
OREF 72:6398, 6428
TI Nematocidal 2-(p-dialkylaminostyryl)-4-(p-substituted-phenyl)thiazole alkyl halides
IN Phillips, Arthur Page; Burrows, Robert B.
PA Wellcome Foundation Ltd.
SO S. African, 22 pp.
CODEN: SFXXAB
DT Patent
LA English
FAN: Nemat 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6805975		19690318	ZA	
CA 961852			CA	
CA 974173			CA	
DE 1795380			DE	
DE 1795381			DE	
FR 1591630			FR	
FR 7927			FR	
FR 8213			FR	
GB 1244961			GB	



CM 2

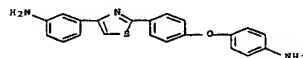
CRN 99-63-8
CMF C8 H4 Cl2 O2



RN 26656-00-8 CAPLUS
CN Isophthaloyl chloride, polyamide with 2-[p-(p-aminophenoxy)phenyl]-4-(m-aminophenyl)thiazole (8CI) (CA INDEX NAME)

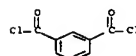
CM 1

CRN 26507-11-9
CMF C21 H17 N3 O 8



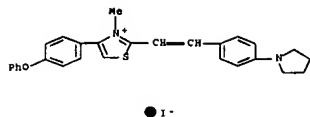
CM 2

CRN 99-63-8
CMF C8 H4 Cl2 O2

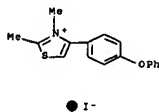


RN 26656-01-9 CAPLUS

US 3641012 19720208 US 19680919
US 3883658 19750513 US 1971-146549 19710524
PRAI GB 19670922
GI For diagram(s), see printed CA issue.
AB The title compds. (I) were prepared by the reaction of a (2-methyl-4-phenylthiazolyl)-alkyl halide and a p-dialkylaminobenzaldehyde in a polar solvent at 20° to b.p., with piperidine, an amine, alkali hydroxide or alkoxide as catalyst, or a p-dialkylaminocinnamoylthioalkyl-amide with a PhCOCH2X at 80-150° in the presence of HX. Thus, a mixture of 27.5 g p-PhC6H4COCH2Br and 10 g MeCSNH2 was heated 1-2 hr at 100° in 150 ml MeOH, then the mixture concentrated, treated with H2O and NH3, and the precipitate worked up to give 90-5% 2-methyl-4-p-biphenylthiazole (II), m. 120-13°. A solution of 25 g II and 22 g MeI in 70 ml HCONMe2 was heated 6-8 hr at 100°, then treated with excess Et2O and cooled to give 75-80% 2-methyl-4-(p-biphenyl)thiazole methiodide (III), m. 272-3°. A mixture of 79 g III and 4.5 g p-Me2NC6H4CHO in 90 ml MeOH containing 2 ml piperidine was heated 2 hr on a steam bath, and the precipitate worked up to give I (R = R1 = Me, 2 = Ph, X = I) (IV), m. 253-6°. Similarly were prepared the following I (X = I) (NR2, R1, Z, and m.p. given): pyrrolidino (A), Me, H, 234-5°; A, Me, Cl, 219-20°; NMe2, Et, Ph, 233-5°; NEC2, Me, Ph, 208-9°; A, Me, Ph, 262-3°; A, Et, Ph, 264-5°; A, Me, Br, (0.5H2O) 211-13°; A, Et, Br, 223-5°; A, Me, PhO, (0.5H2O) 238-9°; A, Me, p-MeOC6H4, (0.5H2O) 279-80°; A, Me, p, 238-9°; A, Et, H, 228-30° (MeOH); A, Et, Cl, 217-18° (MeOH); also the following 4-substituted derivs. of 2-methylthiazole (substituent, salt and m.p. given): p-BrC6H4, ethiodide 209-10°; p-PhC6H4, ethiodide, 236-7°; p-PhOC6H4, methiodide, 261-2° (monohydrate m. 185-6°); Ph, [m. 68-9° (MeOH)] ethiodide, 175-6° (MeOH-Et2O); p-ClC6H4, [m. 122-3° (MeOH or hexane)] ethiodide, 201-2° (MeOH-Et2O). A mixture of 25.1 g II, 100 ml HCONMe2, and 37.2 g p-MeC6H4SO3Me was heated 43 hr at 80-90°, and the precipitate worked up to give 2,3-dimethyl-4-p-biphenylthiazolium p-toluenesulfonate (V), m. 180-2° (iso-PROH), which was then converted to the p-toluenesulfonate analog, m. 248-54° (MeOH) of IV. Similarly prepared were MeSO4- analogs of V, m. 235-40° (decomposition) (EtOH), and of IV, m. 210-25° or 240-5°. SOCl2 (31.25 g) was added to a stirred, cooled suspension of 47.75 g p-Me2NC6H4CH:CHCO2H in 500 ml. CHCl3 at 10°, stirring continued 30 min, the resultant solution added gradually with stirring to 400 ml 25% aqueous MeNH2 cooled to 0-5°, the mixture stirred 30 min more, and the organic layer worked up to give p-Me2NC6H4CH:CHCONHMe (VII), m. 165-6° (CSH5). A mixture of 20.4 g VI and 11.1 g P2S5 in 70 ml CSH5N was refluxed 15 min, then poured into 200 ml H2O and the precipitate worked up to give p-Me2NC6H4CH:CHCSNHMe (VII), m. 214-16° (EtOH). A solution of 8.8 g VII and 11.0 g p-PhC6H4CO-CH2Br in 400 ml Me2CO was refluxed and the precipitate worked up to give p-phenylphenacyl-N-methyl-(p-dimethylaminocinnamoyl)-thioimide.HBr (VIII), m. 167-9°. A suspension of 5 g VIII in 50 ml H2O and 80 ml 48% HBr was warmed 5 min on a steam bath, then cooled, neutralized with aqueous NaOH, and the precipitate worked up to give the Br- analog, m. 253-5° (MeOH), of IV. Similarly were prepared the HCl analog of VIII, m. 145-50° (EtOH-EtOAc), the Cl- analog of IV, m. 251-3° (EtOHEtOAc); p-pyrrolidinocinnamoylmethylamide, m. 237-9° (EtOH), and the corresponding thioamide, m. 262-4° (CSH5N); and I (NR2 = pyrrolidino, R = Me, Z = H, X = Br), m. 236-7° (effervescence), iodide, m. 233-4° (effervescence). I are active against parasitic nematodes, especially hookworms, in the intestinal tract. Preferred is IV, LD50 (mice) 1650 mg/kg, at 5 mg/kg dose (cats and dogs).
IT 24540-82-8P 24840-67-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(Preparation of)
RN 24840-62-8 CAPLUS
CN Thiazolium, 3-methyl-4-(p-phenoxyphenyl)-2-(p-1-pyrrolidinylstyryl)-, iodide (8CI) (CA INDEX NAME)



RN 24840-67-3 CAPLUS
CN Thiazolium, 2,3-dimethyl-4-(p-phenoxyphenyl)-, iodide (8CI) (CA INDEX NAME)

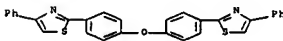


L31 ANSWER 91 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 1969:524421 CAPLUS [Full-text](#)
DN 71:124421
OREF 71:231278,23130a
TI Nematocidal 2-(p-dialkylaminostyryl)-3-alkyl-4-(p-substituted-phenyl)thiazolium halides
IN Phillips, Arthur Page; Burrows, Robert B.
PA Wellcome Foundation Ltd.
SO S. African, 16 pp.
CODEN: SPXKAB
DT Patent
LA Russian
FAN.CNT 1

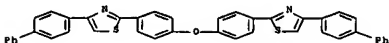
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ZA 6805976		19690318		
CA 961852			CA	
CA 974173			CA	
DE 1795380			DE	
DE 1795381			DE	
FR 1591630			FR	
FR 7927			FR	
FR 8213			FR	
US 3641012		19720208	US	19680919
US 3883658		19750513	US 1971-146549	19710524
PRAI GB		19670922		

GI For diagram(s), see printed CA Issue.
AB The title compds. (I) were prepared by method B of S. African 68 05,975, and the same claims are made. Prepared were the following I (R, R1, R2, X, and

DT Journal
LA French
GI For diagram(s), see printed CA Issue.
AB The thermal stability of several aromatic and heterocyclic compds. was studied by a previously described method (R. Arnaud, et al., 1966). The synthesis of the compds. was described elsewhere (J. M. Bonnier, et al., 1965). The temps. of pyrolysis were determined by the isothermograph method. The following values are reported: thiazole (I), 510°; 2,4-diphenylthiazole (II), 431°; 4-(4-biphenyl)-2-phenylthiazole (III), 442°; 2-(4-biphenyl)-4-phenylthiazole (IV), 452°; 2,4-bis(4-biphenyl)thiazole, 510°; 2,2'-(p-phenylene)bis(4-methylthiazole), 403°; 4,4'-(p-phenylene)bis(2-phenylthiazole), 484°; 2,2'-(p-phenylene)bis(4-phenylthiazole), 495°; 4,4'-(p-phenylene)bis(2-(4-biphenyl)thiazole), 505°; 2,2'-(p-phenylene)bis(4-(4-biphenyl)thiazole), 513°; 2,2'-oxydi-(p-phenylene)bis(4-phenylthiazole), 476°; 2,2'-oxydi-(p-phenylene)bis(4-(4-biphenyl)thiazole), 495°; imidazole (IVa), 590°; benzimidazole (V), 405°; 2-methylbenzimidazole (VI), 382°; 2-phenylbenzimidazole, 393°; 2,2'-diphenylimidazo(4,5-b)benzimidazole, (VII) 310°; 2,2'-(p-phenylene)bisbenzimidazole, 550°; 2,2'-oxydi-(p-phenylene)bisbenzimidazole, 535°; benzothiazole (VIII), 556°; 2-methylbenzothiazole (IX), 446°; 2-phenylbenzothiazole (X), 504°; 2,2'-(p-phenylene)bisbenzothiazole (XI), 495°; 2,2'-(biphenylene)bisbenzothiazole, 423°; 2,2'-oxydi-(p-phenylene)bisbenzothiazole (XII), 409°. M.O. energies, resonance energies, and population indexes were calculated. Dipole moments were determined for several compds. by the Guggenheim method. [Compound and dipole moment (D.) given]: I, 1.04; II, 0.77; III, .apprx.0.7; (IV) 0.86; V, 3.89; VI, 4.66; VII, 1.42; IX, 1.33; X, 0.94; XI, 0.50; and XII, 1.13. Charge distribution diagrams are given for I, IVa, V, and VIII. The resonance energies and the thermal stabilities of the studied compds. appear to increase with the dimensions of the mol. Isomers of equal resonance energies can, however, exhibit different thermal stabilities. 33 references.
IT 13355-37-8 14208-43-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(resonance energy and thermal stability of)
RN 13355-37-8 CAPLUS
CN Thiazole, 2,2'-(oxydi-p-phenylene)bis(4-phenyl)- (8CI) (CA INDEX NAME)

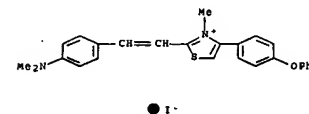


RN 14208-43-6 CAPLUS
CN Thiazole, 2,2'-(oxydi-p-phenylene)bis(4-(4-biphenyl))- (8CI) (CA INDEX NAME)

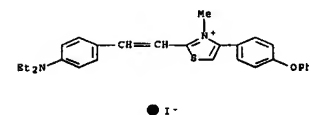


L31 ANSWER 93 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 1968:100244 CAPLUS [Full-text](#)

m.p. given): Me, Me, p-IC6H4, I (II), 228° (decomposition) (MeOH); Me, Et, p-MeOC6H4, Br, 219° (decomposition) (alc.); Me, Et, p-ClC6H4, I, 213-15°; Me, Me, p-PhOC6H4, I, 207-8°; Me, Me, p-MeOC6H4C6H4-p, I, 280-1°; Et, Et, Ph, I, 212-13°; Et, Me, p-MeOC6H4, I, 191-2°; Et, Me, β-naphthyl, I, 209-10°; Et, Me, p-PhOC6H4, I, 204-5°. Also prepared were p-Me2NC6H4CH:CHCONH2, m. 157-8°, corresponding thioamide m. 198-200°; p-iodophenacyl N-methyl-p-(dimethylamino)thiocinnamimidat e-HCl, m. 176-7°; HI salt m. 173-4° (MeOH); phenyl N-ethyl-p-(dimethylamino)thiocinnamimidate-HBr(sic), m. 172-3°. A solution of 1 g. II in 20 ml. BuOH was heated 1.75 hrs. at 120°, cooled, treated with Et2O, and the precipitate dissolved in aqueous MeOH and treated with KI solution to give I (R = Me, R1 = Et, R2 = p-IC6H4, X = I), m. 193-5° (decomposition) (EtOH).
IT 24229-31-0 24259-08-3F
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 24229-31-0 CAPLUS
CN Thiazolium, 2-[p-(dimethylamino)styryl]-3-methyl-4-(p-phenoxyphenyl)-, iodide (8CI) (CA INDEX NAME)

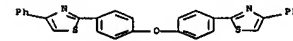


RN 24259-08-3 CAPLUS
CN Thiazolium, 2-[p-(diethylamino)styryl]-3-methyl-4-(p-phenoxyphenyl)-, iodide (8CI) (CA INDEX NAME)

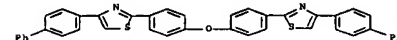


L31 ANSWER 92 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 1968:477164 CAPLUS [Full-text](#)
DN 69:77164
TI Thermal stability of some heterocyclic compounds
AU Gelus, Maurice; Bonnier, Jane Marie
CS Lab. Chim. Gen., Fac. Sci. Grenoble, St.-Martin-d'Heres, Fr.
SO Journal de Chimie Physique (1967), 64(11-12), 1602-6
CODEN: JCPBAN; ISSN: 0021-7689

DN 68:100244
OREF 68:19371a,19374a
TI Ultraviolet spectrophotometry of some heterocyclic compounds
AU Gelus, Maurice; Bonnier, Jane M.
CS Lab. Chim. Gen., Fac. Sci. Grenoble, Grenoble, Fr.
SO Journal de Chimie Physique (1967), 64(11-12), 1602-6
CODEN: JCPNAV
DT Journal
LA French
AB The uv spectra of thiazoles and benzimidazoles were studied and the M.O. energies calculated by a Hückel method. The measured energy is a linear function dependent on the transition from the highest to the lowest orbital level occupied. The thiazole derivs. were studied in cyclohexane solution, the benzothiazoles in dioxane. Some of the thiazoles derivs. presented 2 absorption bands, 1 in the 250-70-mμ range, the other beyond 320 mμ; other derivs. had a single band at .apprx.290 mμ. The phenyl derivs. for example had a band at 252 mμ, the other at 320 mμ, this pattern of absorption corresponding the transfer of one electron from a benzene orbital to a free orbital in the C=N group as shown by the calculated energy (3.93 ev.). The presence of 2 thiazoles rings shifted the spectra to 260 and 340 mμ in some derivs. while others kept their single band at 290 mμ. The benzimidazoles had 3 bands in the regions: 200, 245, and 280 mμ while imidazole presented a single band at 206 mμ. They were studied in EtOH solns. Introduction of a CH3 group in position 2 did not modify the spectrum while substitution by a phenyl group brought the appearance of a strong band around 315 mμ.
IT 13355-37-8 14208-43-6
RL: PRP (Properties)
(spectrum (uv) of, mol. orbitals in relation to)
RN 13355-37-8 CAPLUS
CN Thiazole, 2,2'-(oxydi-p-phenylene)bis(4-phenyl)- (8CI) (CA INDEX NAME)



RN 14208-43-6 CAPLUS
CN Thiazole, 2,2'-(oxydi-p-phenylene)bis(4-(4-biphenyl))- (8CI) (CA INDEX NAME)



L31 ANSWER 94 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN
AN 1968:22805 CAPLUS [Full-text](#)
DN 68:22805
OREF 68:4439a,4442a
TI Thiazole polymers
IN Craven, James M.

PA du Pont de Nemours, E. I., and Co.
 SO U.S., 5 pp.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN: CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3355426		19671128	US 1963-304686	19630826

GI For diagram(s), see printed CA Issue.

AB Thiazole polymers, prepared by the treatment of a difunctional α -haloketone with a difunctional thioamide in an inert solvent, are insol. in common organic solvents, have high thermal stability, can be dissolved in highly acidic solvents, and are useful as film-forming ingredients in lacquers and other coating compns. Thus, 4,4'-bis(m-chloroacetylphenyl) ether 16.159, 1,4-cyclohexanedithiocarbamide 10.117, and HCOOH 200 parts were refluxed 72 hrs. and the resulting clear, yellow, viscous reaction mixture poured into 1000 parts water to give a white, solid polymer (I). A lacquer prepared by dissolving 15 parts I in 100 parts HCOOH was brushed on a metal plate and dried at 60° to give a clear, tough, durable, solvent-resistant film. Similarly prepared were II-VIII.

IT 13114 51 8 32034-52 5 32038-27-0

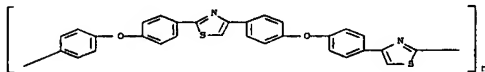
32038 29-1 32038-29-2

RL: USES (Uses)

(coatings of, on metals, heat- and solvent-resistant)

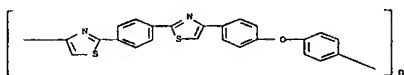
RN 32034-51-8 CAPLUS

CN Poly(4,2-thiazolediyl-1,4-phenyleneoxy-1,4-phenylene-4,2-thiazolediyl-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)



RN 32034-52-9 CAPLUS

CN Poly(4,2-thiazolediyl-1,4-phenylene-2,4-thiazolediyl-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)



RN 32038-27-0 CAPLUS

CN Poly(4,2-thiazolediyl-1,4-cyclohexanediyl-2,4-thiazolediyl-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)

484°, 2,2'-p-phenylenebis(4-phenylthiazole) 495°, 4,4'-p-phenylenebis[2-(4-biphenyl)thiazole] 505°, 2,2'-p-phenylenebis[4-(4-biphenyl)thiazole] 513°, 2,2'-oxydi(p-phenylene)bis(4-phenylthiazole) 476°, 2,2'-oxydi(p-phenylene)bis[4-(4-biphenyl)thiazole] 495°, imidazole (II) 590°, benzimidazole (III) 405°, 2-methylbenzimidazole 382°, 2-phenylbenzimidazole 392°, 2-phenyl-2-phenylimidazo(4,5-b',6')benzimidazole (IV) 310°, 2,2'-p-phenylenebis(benzimidazole) 550°, 2,2'-oxydi(p-phenylene)bis(benzimidazole) 435°, benzothiazole (VI) 556°, 2-methylbenzothiazole 446°, 2-phenylbenzothiazole 504°, 2,2'-p-phenylenebis(benzothiazole) 495°, 2,2'-(biphenyl)bis(benzothiazole) 423°, 2,2'-oxydi(p-phenylene)bis(benzothiazole) 409°. Orbital energies calculated by the method of Newton, et al. (CA 65: 1401C) showed that II had an energy of 85 kcal./mole greater than that of III for the highest occupied orbit, while the N-containing compds. showed the opposite effect, V being more stable than I and having 45 kcal./mole greater energy. 53 references.

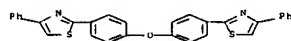
IT 13355-37-8 14208-43-6

RL: PRP (Properties)

(thermal stability of)

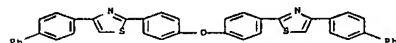
RN 13355-37-8 CAPLUS

CN Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-phenyl- (8CI) (CA INDEX NAME)



RN 14208-43-6 CAPLUS

CN Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-(4-biphenyl)- (8CI) (CA INDEX NAME)



L31 ANSWER 96 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1967:37815 CAPLUS Full-text

DN 66:37815

OREF 66:7203a,7206a

TI Thermal stability of thiazoles

AU Arnaud, Roger; Gelus, Maurice; Malet, Jean C.; Bonnier, Jane M.

CS Fac. Sci., Grenoble, Fr.

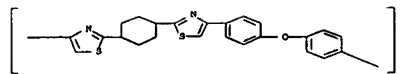
SO Bulletin de la Société Chimique de France (1966), (9), 2857-61

CODEN: BSCFAS; ISSN: 0037-8968

DT Journal

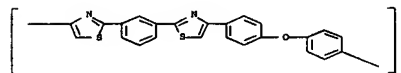
LA French

AB Thiazoles were prepared by the reaction of α -halo ketones and thio amides according to the modified procedure of Mulvaney and Marvel (CA 55, 19902f). Thiazoles prepared were (% yield, m.p., and decomposition point given): thiazole -, -, 530°; 2,4-diphenylthiazole, 48, 93-3.5°, 431°; 2-phenyl-4-biphenylthiazole, 49, 159-60°, 442°; 4-phenyl-2-biphenylthiazole, 50, 162-3°, 452°; 2,4-(bis(4-biphenyl)thiazole 68.5, 207-8°, 510°; 2,2'-p-



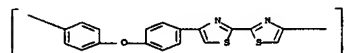
RN 32038-28-1 CAPLUS

CN Poly(4,2-thiazolediyl-1,3-phenylene-2,4-thiazolediyl-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)



RN 32038-29-2 CAPLUS

CN Poly(2,2'-bithiazole)-4,4'-diyl-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)



L31 ANSWER 95 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1968:2510 CAPLUS Full-text

DN 68:2510

OREF 68:447a,450a

TI Thermal stability of heterocyclic compounds

AU Bonnier, Jane M.; Gelus, Maurice

CS Fac. Sci. Grenoble, Grenoble, Fr.

SO Revue de l'Institut Français du Pétrole et Annales des Combustibles

Liquides (1967), 22(6), 1008-28

CODEN: RIFPA9; ISSN: 0370-5552

DT Journal

LA French

GI For diagram(s), see printed CA Issue.

AB The decomposition temps. of a number of heterocyclic compds. were determined and compared with the resonance energy and that of the highest and lowest free mol. orbitals for each compound. A good correlation was found between thermal stability and the energy of the highest occupied orbital. Exptl. decomposition temps. determined by the change in pressure in a heated vessel containing the compound (the apparatus is described) are thiazole (I) 510°, 2,4-diphenylthiazole 431°, 2-phenyl-4-(4-biphenyl)thiazole 442°, 4-phenyl-2-(4-biphenyl)thiazole 452°, bis(4-biphenyl)-2,4-thiazole 510°, 2,2'-p-phenylenebis(4-methylthiazole) 403°, 4,4'-p-phenylenebis(2-phenylthiazole)

phenylenebis[4-methylthiazole], 44, 169-70°, 403°; 4,4'-p-phenylenebis[2-phenylthiazole], 59.5, 232-3°, 484°; 2,2'-p-phenylenebis[4-phenylthiazole], 64.4, 230.5-31°, 495°; 4,4'-p-phenylenebis[2-biphenyl-4-ylthiazole], 44, 350°, 505°; 2,2'-p-phenylenebis[biphenyl-4-ylthiazole], 65, 350°; 513°; 2,2'-oxydi-p-phenylenebis[4-phenylthiazole], 63, 230-1°, 476°; 2,2'-oxydi-p-phenylenebis[4-biphenyl-4-ylthiazole], 62.3, 343-4.5°, 495°. In general, the thermal stability increased with increased mol. weight, and the Me groups were the least resistant. The presence of an ether linkage lowered the stability only slightly. Thio amides, p-RC6H4C(=NH)SH, were prepared by passing dry H2S into a soln. of the nitrile in pyridine and freshly distilled Et3N (Fairfull, et al., CA 46, 9530g) (R, yield, m.p. given): H, -, 117°; Ph, 58.5°, 207-8°; HS(HN):C-, 57.5°, 263-5°; p-HS(HN):CC6H4O-, 63°, 230-1.5°. p-PhC6H4COCH2Br, m. 124-5°, was prepared from Ph2 by a Friedel-Crafts reaction, while (p-BrC6H4)2O and CuCN gave (p-NCC6H4)2O.

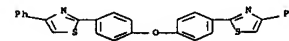
IT 13355-37-8P 14208-43-6P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation, spectrum (uv) and thermal stability of)

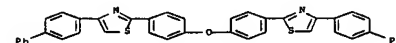
RN 13355-37-8 CAPLUS

CN Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-phenyl- (8CI) (CA INDEX NAME)



RN 14208-43-6 CAPLUS

CN Thiazole, 2,2'-(oxydi-p-phenylene)bis[4-(4-biphenyl)- (8CI) (CA INDEX NAME)



L31 ANSWER 97 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1965:498923 CAPLUS Full-text

DN 63:98923

OREF 63:18274a-e

TI Heteroaromatic polymers. Polybithiazoles

AU Longone, Daniel T.; Un, Howard H.

CS Univ. of Michigan, Ann Arbor

SO Journal of Polymer Science, Part A: General Papers (1965), 3(9), 3117-30

CODEN: JPYAAR; ISSN: 0449-2951

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

AB cf. CA 63, 3058h. Gross properties of polymers obtained by condensation of a number of monomers of high structural d. were correlated with controlled structural variations, e.g. extent of conjugation, presence of flexible and heteroatom linkages, symmetry, etc. Bifunctional aryl bromomethyl ketones of the general formula BrCH2COArCOCH2Br (approx. 3 millimoles) were condensed with dithioamide (3 millimoles) in HCONH2, heated to reflux, giving within 15

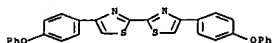
min. a finely divided precipitate of I. After 1.5 hrs., the mixture was filtered hot, and the resulting solids were continuously extracted for 15 hrs. each with HCONMe₂, absolute EtOH, and Et₂O. The residual polymer was dried at 100°/0.2 mm. for 5 hrs. With p-bis(bromomethyl)benzene as comonomer, the highly refractive, crystalline I (A = p-C₆H₄) (II), number-average mol. weight 12,000, was obtained in 88% yield. Polythiazoles based on biphenyl, diphenylmethane, and phenyl ether substrates were prepared; they resembled II closely. UV spectra of model polymers and absorption in the uv region support polymer anal. evidence that bithiazole-containing recurring units are present in the polymers. Polymer thermal stabilities were examined in N by heating at 300, 350, 400, 500, and 600° consecutively for 1-hr. periods, samples predried at 140-50°/0.2 mm. for 30 min. At the end of each hr. heating, the sample was cooled under N and removed to determine weight loss. The polythiazoles showed unusual thermal stability, with gross structural changes between 500 and 600°, confirmed by x-ray powder patterns and uv spectra. On prolonged exposure to light, the initially yellow-brown surface of polymer samples became pink and, in one case, analysis of a sample after 3-month exposure showed a decrease in C content from 64.2 to 62.7%, implying that a photooxidation process was involved.

IT 4072-66-6

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 4072-66-6 CAPLUS

CN 2,2'-Bithiazole, 4,4'-bis(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



L31 ANSWER 98 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1965:498922 CAPLUS [Full-text](#)

DN 63:98922

OREF 63:18274A

TI Inorganic polymers. I. Solid inorganic foam

AU Shaw, R. A.; Ogawa, Takeshi

CS Univ. London

SO Journal of Polymer Science, Part A: General Papers (1965), 3(9), 3343-51

CODEN: JPYAAR; ISSN: 0449-2951

DT Journal

LA English

AB Hexaaminocyclotriphosphazatriene (I), recrystd. from H₂O or used without purification, forms a phosphazene as a powder. If the starting material is precipitated from aqueous solution by suitable organic solvents, a foamed material results. Evidence from x-ray powder photographs indicated a depression of m.p. of I through solid-solution rather than eutectic formation. No foaming was observed with octaaminocyclotetraphosphazetetrane, N₄P₄(NH₂)₈.

IT 4072-66-6

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 4072-66-6 CAPLUS

CN 2,2'-Bithiazole, 4,4'-bis(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

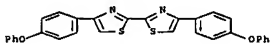
aminobenzamide), m. 324-6°; and N,N'-dimethyl-p-phenylenebis(m-aminobenzamide) (IV), m. 231-3°, were conventionally polymerized with some or all of 4 aryl diacid chlorides including II, terephthaloyl chloride, 2,6-naphthalenedicarbonyl chloride, and 4,4'-bibenzoyl chloride to yield the polyamides. All the polymers were very high-melting except those derived from IV. The diamines were prepared by condensation of 2 equivs. of the suitable nitro aryl chloride with 1 equivalent of the aromatic diamine followed by a conventional reduction of the nitro groups. Clear, tough films and crystalline fibers were prepared from the polyamides. III had inherent viscosity 1.83 (0.5 g. polymer/100 ml. Ac₂Me₂ containing 5% LiCl at 30°).

IT 4072-66-6

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 4072-66-6 CAPLUS

CN 2,2'-Bithiazole, 4,4'-bis(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



L31 ANSWER 101 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1961:121423 CAPLUS [Full-text](#)

DN 55:121423

OREF 55:22831b-f

TI Thiazolocarboxyanines with aryl radicals in the thiazole nucleus. VIII.

AU Sych, E. D.

SO Ukrain'skii Khimichnii Zhurnal (1961), 27, 83-7

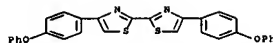
CODEN: UKHZAS; ISSN: 0372-4190

DT Journal

LA Russian

AB

cf. CA 54, 13143i. The following comds. were prepared: 2-(2-acetylaniilinovinyl)-3-ethyl-5-phenylthiazolium p-toluenesulfonate, m. 138°; 2-(2-acetylaniilinovinyl)-3-ethylbenzothiazolium (I) iodide, m. 230°; 5-MeO derivative (II), m. 207°; 6-MeO derivative (III), m. 205°; 3-HOCH₂CH₂ analog (IV), m. 217°; 2-(2-anilinovinyl)-3-ethyl-6,7-benzobenzothiazole p-toluenesulfonate (V), m. 270°; 4,5-benzobenzothiazole isomer, m. 249°; 2-(2-anilinovinyl)-3-ethyl-6-nitrobenzothiazole p-toluenesulfonate (VI), m. 272°; and the 5-nitro isomer (VII), m. 292°. Cyanine dyes were prepared from these and salts of 5-substituted 3-ethyl-2-methylbenzothiazole (substituent in the thiazole ring, other reagent, anion if not iodide, λ in mμ of sym. tricyanine dye related to I-VII, λ of sym. tricyanine from thiazole used, λ of unsym. dye, hypsochromic effect over average of the 2 sym. comds., % yield, and m.p. of dye given): Ph, I, 558, 592, 572, 3, 30, 260°; p-MeOC₆H₄, I, 558, 612, 574, 1, 45-6, 240°; 2-ClOH₇, I, 558, 608, 582, 1, 27-7, 232°; p-O₂NC₆H₄, I, 558, 650, 592, 12, 76, 252°; p-PhOC₆H₄, I, 558, 606, 570, 12, 46-8, 185°; Me₂NC₆H₄ (3-Me not Et), I, 558, 625, 580, 11.5, 46, 253°; AcNH₂C₆H₄, I, 558, 608, 576, 7, 67, 280°; Ph, IV, ClO₄-, 562, 592, 568, 9, 9.2, 235°; the isomer of I at with substituents on N atoms interchanged, 558, 594, 575, 1, 62, 239°; Ph, II, ClO₄-, 576, 592, 583, 1, 57.9, 239°; 2-ClOH₇, II, 576, 608, 591, 2, 232°; O₂NC₆H₄, II, p-toluenesulfonate, 576, 650, 605, 8, 255°; Ph, III, 572, 592, 582, 0, 7.9, 290°; O₂NC₆H₄, III, 572, 650, 605, 6, 265°; p-MeOC₆H₄, III, ClO₄-, 562, 612, 582, 10, 230°; 2-ClOH₇, III, 572, 608, 590, 0, 184°; Ph, VII, 560, 592, 561, 15, 41, 282°; Ph, VI, 585, 592, 580, 8.5, 37, 273°; Ph, V, 597, 592, 1.5, -, -, p-MeOC₆H₄, V, 597, 612, 596, 9.5, 57.3,



L31 ANSWER 99 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1965:417175 CAPLUS [Full-text](#)

DN 63:17175

OREF 63:3058h, 3059a

TI New thermally stable heteroaromatic polymers: polydithiazoles

AU Longone, Daniel T.; Un, Howard H.

CS Univ. of Michigan, Ann Arbor

SO Am. Chem. Soc., Div. Polymer Chem., Preprints (1963), 4(2), 49-56

DT Journal

LA English

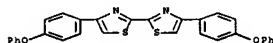
AB The condensation of dithiooxamide with arylenes(bromomethyl ketones) gives a new class of thermally stable polydithiazoles. These polymers are characterized by high crystallinity and decomposition temps. as well as low solubility in organic solvents. Polydithiazoles containing solely aromatic heteroaromatic nuclei in the recurring units exhibit an appreciable weight loss only above 500°. The polycondensation reaction is of such a nature that requisite monomers of great structural diversity can be utilized. This allows correlation of gross polymer properties with controlled structural variations. The introduction of flexible polymethylene linkages in the polymer recurring unit affords polymers of decreased crystallinity, mol. wts., and decomposition temps. as well as attendant increased solubilities.

IT 4072-66-6

(Derived from data in the 7th Collective Formula Index (1962-1966))

RN 4072-66-6 CAPLUS

CN 2,2'-Bithiazole, 4,4'-bis(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)



L31 ANSWER 100 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1965:417174 CAPLUS [Full-text](#)

DN 63:17174

OREF 63:3058f-h

TI New high-temperature aromatic polyamides

AU Preston, J.; Dobinson, F.

CS Chemstrand Res. Center, Durham, NC, USA

SO Journal of Polymer Science, Part B: Polymer Letters (1964), 2(12), 1171-4

CODEN: JPSBDU; ISSN: 0449-2986

DT Journal

LA English

GI For diagram(s), see printed CA Issue.

AB Polymerization of N,N'-m-phenylenebis(m-aminobenzamide) (I) with isophthaloyl chloride (II) gave III. The min. repeating unit in such polymers contains 4 rings. I, m. 213-14°; N,N'-p-phenylenebis(m-aminobenzamide), m. 289-91°; N,N'-m-phenylenebis(p-aminobenzamide), m. 227-8°; N,N'-p-phenylenebis(p-

215°; 2-ClOH₇, V, 597, 608, 597, 5.5, 60, 231°. The hypsochromic effect due to difference in basicity is rather small, but it appears that a NO₂-group in the 5-position of benzothiazole has a greater effect on the thiazole ring than one in the 6-position.

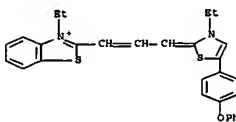
IT 117373-09-8P, 3-Ethyl-2-[3-[3-ethyl-5-(p-phenoxyphenyl)-4-thiazolin-2-ylidene]propenyl]benzothiazolium iodide

RL: PREP (Preparation)

(preparation of)

RN 117373-09-8 CAPLUS

CN 3-Ethyl-2-[3-[3-ethyl-5-(p-phenoxyphenyl)-4-thiazolin-2-ylidene]propenyl]benzothiazolium iodide (6CI) (CA INDEX NAME)



● I -

L31 ANSWER 102 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1958:104223 CAPLUS [Full-text](#)

DN 52:104223

OREF 52:18377b-g

TI Thiazolocarboxyanines with aryl radicals in the thiazole rings. III.

Methoxyarylthiazolocarboxyanines

AU Sych, E. D.

SO Ukrain'skii Khimichnii Zhurnal (1958), 24, 79-88

CODEN: UKHZAS; ISSN: 0372-4190

DT Journal

LA Russian

AB

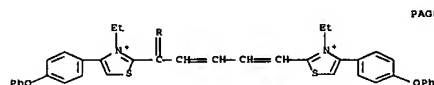
cf. C.A. 51, 372g. RCOCH₂X (X = Cl or Br) (I) (from RAC and Br or RH and ClCH₂COCl in presence of AlCl₃) and MeCNH₂ form 4-substituted-2-methylthiazoles (II-4) and p-MeC₆H₄SO₂Et derivs. (III-4). From I, via the (CH₂)₆N₄ complexes, RCOCH₂NH₂ [HCl salt, R = 4-(p-MeOC₆H₄)C₆H₄ (IIa), m. 272°] and their Ac derivs. (IV) were prepared IV and P285 form 5-substituted-2-methylthiazoles (II-5), p-MeC₆H₄SO₂Et derivs. (III-5). III, CH₃(OEt)₃, and a little Ac₂O form trimethinecyanine dyes (V-4 and V-5), isolated as iodides. III and p-Me₂NC₆H₄CHO form styrenes (VI-4 and VI-5), also isolated as iodides. The following are reported [R, X (m.p. of I), m.p.s. of II-4, III-4, II-5, III-5, IV, V-4, V-5, VI-4, and VI-5, and λ (in mμ) of V-4, V-5, VI-4, and VI-5 given]: p-MeOC₆H₄, -(-), 69°, -, 143-4°, 145°, 111°, 240°, 190°, 198°, 245°, 560, 612, 485-90, 505; p-PhOC₆H₄, Cl (52°, b₄ 20°), 69° (b₆ 260-80°), -, 116°, 85°, 198°, 250-1°, 195°, 255°, 560, 606, 490, 508; IIIa, Cl (132°), Br (118-19°), 176°, 160°, 248-9°, -, 183°, 262°, 198-206°, 252°, 245°, 565, 612, 490, 510; 4-methoxy-1-naphthyl, Br (66°), 104-5°, -, 74-5°, 144-5°, 135°, 176°, 200°, -, -, 568, 580, -, -, I (X = Cl, R = IIIa) was accompanied by a more ligroine-soluble isomer, m. 117-20°, which was assumed to be the 2-MeO compound from an impurity in the RH. The following RICH:CHCKI:CHCH:R₂AI (R₁ and R₂ = aryl-3-ethyl-2-thiazolyl and

-thiazolidinylidene, resp.) are reported as by-products in the preparation of V (aryl substituent, m.p., and λ , in μ given) 5-IIIa, 190°, 638; 4-(p-PhOC6H4), 180°, 600; 4-methoxy-1-naphthyl, 247°, 605. 5-Substituted-3-ethylthiazolium compds. and 2-methylthio-3-ethylbenzothiazolium iodide form the following monomethinecyanines (VII) isolated as iodides (substituent, m.p., and λ in μ given): p-MeOC6H4, 257°, 440; IIIa, 268°, 447; EtO, 222°, 425; H, 279°, 412; Ph, 277°, 437; p-PhOC6H4, 244°, 444. II-5 (R = p-MeOC6H4) was heated with EtC(OEt)3 and MeC(OEt)3 to form RICH:CR3CH:R2ClO4 (VIII) (R1 and R2 as before): R3 = Et, m. 221°, λ 600 μ ; R3 = Me, m. 245° (as iodide), λ 600 μ . Consideration of the λ of the tri- and monomethinecyanines shows that the bathochromic effect of an alkyl group is greatly reduced by an intervening benzene ring.

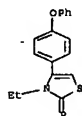
IT 108627-72-1 CAPLUS

RN 108627-72-1 CAPLUS

CN 2-2'-[3-[2-[3-Ethyl-4-(p-phenoxyphenyl)-4-thiazolin-2-ylidene]ethylidene]propenylene]bis[3-ethyl-4-(p-phenoxyphenyl)thiazolium iodide] (6CI) (CA INDEX NAME)



PAGE 1-A

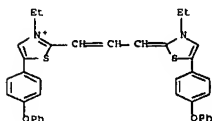


PAGE 2-A

RN 122446-42-8 CAPLUS

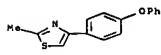
CN 2-(p-Dimethylaminostyryl)-3-ethyl-5-(p-phenoxyphenyl)thiazolium iodide (6CI) (CA INDEX NAME)

CN 3-Ethyl-2-[3-[3-ethyl-5-(p-phenoxyphenyl)-4-thiazolin-2-ylidene]propenyl]-5-(p-phenoxyphenyl)thiazolium iodide (6CI) (CA INDEX NAME)



RN 302581-18-6 CAPLUS

CN Thiazole, 2-methyl-4-(4-phenoxyphenyl)- (CA INDEX NAME)



RN 860174-94-3 CAPLUS

CN Thiazole, 2-methyl-5-(p-phenoxyphenyl)- (6CI) (CA INDEX NAME)



L31 ANSWER 103 OF 104 CAPLUS COPYRIGHT 2007 ACS on STN

AN 1955:49480 CAPLUS Full-text

DN 49:49480

ORF 49:9621b-n

TI Syntheses of thiazole derivatives containing a diphenyl ether nucleus. I

AU Tomita, Masao; Kumaoka, Hiroshi; Takase, Mumeaki

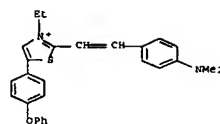
CS Univ. Kyoto

SO Yakugaku Zasshi (1954), 74, 85-93

CODEN: YKZJAJ; ISSN: 0031-6903

DT Journal

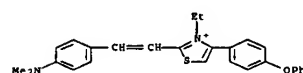
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● I⁻

RN 122446-46-2 CAPLUS

CN 2-(p-Dimethylaminostyryl)-3-ethyl-4-(p-phenoxyphenyl)thiazolium iodide (6CI) (CA INDEX NAME)



● I⁻

IT 108665-19-5P, 3-Ethyl-2-[3-[3-ethyl-4-(p-phenoxyphenyl)-4-

thiazolin-2-ylidene]propenyl]-4-(p-phenoxyphenyl)thiazolium iodide

108665-21-2P, 3-Ethyl-2-[3-[3-ethyl-5-(p-phenoxyphenyl)-4-

thiazolin-2-ylidene]propenyl]-5-(p-phenoxyphenyl)thiazolium iodide

102581-18-6P, Thiazole, 2-methyl-4-(p-phenoxyphenyl)-

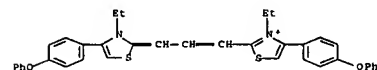
860174-94-3P, Thiazole, 2-methyl-5-(p-phenoxyphenyl)-

RL: PREP (Preparation)

(preparation of)

RN 108669-19-8 CAPLUS

CN 3-Ethyl-2-[3-[3-ethyl-4-(p-phenoxyphenyl)-4-thiazolin-2-ylidene]propenyl]-4-(p-phenoxyphenyl)thiazolium iodide (6CI) (CA INDEX NAME)



● I⁻

RN 108669-21-2 CAPLUS

AB Ph2O and ClCH2COCl in CS2 in the presence of AlCl3 give (4-ClCH2COC6H4)2O (I), prisms, m. 111° (from alc.); 1.52 g. (NH2)2CS in 10 ml. alc. at 60° treated dropwise with 3.23 g. I in 50 ml. alc., the mixture heated 30 min., stirred 1.5 hrs., and the alc. removed in vacuo gives 4.5 g. (p-RC6H4)2O.HCl (II) [R = 2-amino-4-thiazolyl (III)]; the free base, prisms, m. 241-2° (from tetrahydrofuran-Me2CO). Similarly, heating of 3.23 g. I and 1.5 g. MeCSNH2 in 60 ml. alc. gives 4.3 g. II [R = 2-methyl-4-thiazolyl (IIIa)] (IV); the free base of IV, leaves, m. 146-7° (from alc.). AlCl3 (20 g.) at 0° treated dropwise with 17 g. ClCH2COCl in 20 ml. CS2, then with 9.3 g. Ph2S in 30 ml. CS2, the mixture stirred 30 min. at 0°, heated 30 min. at 50°, the CS2 removed, the residue decomposed with ice water, and the product extracted with CHCl3 gives 8.3 g. (4-ClCH2COC6H4)2S (V), prisms, m. 106-7° (from CHCl3-Me2CO); recrystn. from 250 ml. MeOH gives 6 g. V, m. 109°; 1.52 g. (H2N)2CS in 10 ml. alc. at 60° treated dropwise with 33.9 g. V in 50 ml. alc., the mixture heated 30 min., stirred 1.5 hrs., and the alc. removed in vacuo gives 4.5 g. (p-RC6H4)2S.HCl (VI) [R = III (VII)]; the free base of VII, granules, m. 240° (from tetrahydrofuran-Me2CO). Similarly, 1.5 g. MeCSNH2 and 3.39 g. V in 60 ml. alc. give 4.6 g. VI [R = IIIa (VII)]; the free base of VIII, leaves, m. 154-6° (from alc.-CSH6). (4-AC6H4)2O (5 g.) in 30 ml. AcOH on a water bath treated dropwise with 4 g. Br in 20 min., the mixture stirred 40 min., the AcOH removed, and the residue extracted with Et2O and distilled gives 4.5 g. (4-BrCH2COC6H4)2O (IX), b3 190-2°; 0.47 g. (H2N)2CS in 10 ml. alc. treated dropwise with 1.8 g. IX in 30 ml. alc., stirred 1.5 hrs., and the product washed with dilute alc. and recrystd. from alc. gives 1.16 g. 2-amino-4-(p-phenoxyphenyl)thiazole (X), m. 117°; 0.42 g. MeCSNH2 in 10 ml. alc. treated with 1.6 g. IX in 30 ml. alc., stirred 1.5 hrs., made alkaline with NaOH, the alc. removed, and the residue extracted with Et2O and recrystd. from alc. gives the 2-Me analog of X, leaves, m. 71°. 4-H2NC6H4OPh.HCl (2.3 g.) in 10 ml. water and 2.3 g. NH4SCN in water evaporated to dryness, and the residue washed with a small amount of water and recrystd. from alc. give 2 g. 4-thioureidodiphenyl ether (XI), plates, m. 181°; 1.8 g. XI in 6 ml. water at 80° treated with 1 ml. ClCH2AC, heated 20 min., made alkaline with NaOH, and the product filtered and recrystd. from 60 ml. 70% alc. gives 1.5 g. 2-(p-phenoxyphenylamino)-4-methylthiazole (XII), needles, m. 110.5-11.0°; picrate, m. 166.5°. XI (1.8 g.) in 5.5 ml. water treated with 1.3 ml. CH2ClCHClOEt, heated 30 min., and the product made alkaline with NaOH and recrystd. from 70% alc. gives 1.5 g. 2-(p-phenoxyphenylamino)thiazole, leaves, m. 128.5-9.0°; HCl salt, plates, m. 82.5-3.0°; picrate, needles, m. 187-8°. XII showed a strong antibacterial action toward human type tubercule bacilli; the other preps. showed only a weak action against other bacteria, e.g., Bacillus dysenteriae and Salmonella typhosa.

IT 105512-82-1P, Thiazole, 2-amino-4-(p-phenoxyphenyl)-

342581-18-6P, Thiazole, 2-methyl-4-(p-phenoxyphenyl)-

854260-01-6P, Thiazole, 4,4'-(oxydi-p-phenylene)bis[2-amino-

257464-38-4P, Thiazole, 4,4'-(oxydi-p-phenylene)bis[2-methyl-

hydrochloride 854260-01-6P, Thiazole, 4,4'-(oxydi-p-

phenylene)bis[2-methyl-4-(p-phenoxyphenyl)-

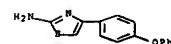
4,4'-(oxydi-p-phenylene)bis[2-amino-, hydrochloride

RL: PREP (Preparation)

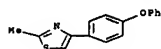
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RN 105512-82-1 CAPLUS

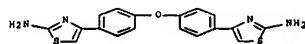
CN 2-Thiazolamine, 4-(4-phenoxyphenyl)- (CA INDEX NAME)



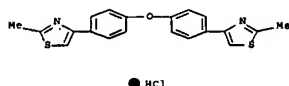
RN 302581-18-6 CAPLUS
CN Thiazole, 2-methyl-4-(4-phenoxyphenyl)- (CA INDEX NAME)



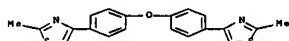
RN 854260-01-8 CAPLUS
CN Ether, bis[p-(2-amino-4-thiazolyl)phenyl] (4CI) (CA INDEX NAME)



RN 859480-38-9 CAPLUS
CN Thiazole, 4,4'-(oxydi-p-phenylene)bis[2-methyl-, hydrochloride (5CI) (CA INDEX NAME)



RN 859480-46-9 CAPLUS
CN Thiazole, 4,4'-(oxydi-p-phenylene)bis[2-methyl-, hydrochloride (5CI) (CA INDEX NAME)



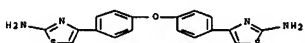
RN 859480-53-8 CAPLUS
CN Thiazole, 4,4'-(oxydi-p-phenylene)bis[2-amino-, hydrochloride (5CI) (CA INDEX NAME)



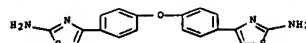
conducted on 26 g. VIII, 18 cc. II, and 25 g. IV in 120 cc. CS₂. Treat 16.5 g. di-Cl diketone with 10 g. I to obtain diethylene glycol bis(2-amino-4-thiazolylphenyl) ether, m. 178-9°. Prepare triethylene glycol di-Ph ether (IX), b.p. 240° m. 42°, from 94 g. (CH₂OCH₂CH₂Cl)₂ instead of 94 g. (CH₂Br)₂. After 16 h. reflux, decant the supernatant liquid from the NaCl which seps. The oily layer obtained on cooling is dried with CaCl₂, then distilled to give IX. The Friedel-Crafts reaction is conducted with 30 g. IX, 22 cc. II, and 35 g. IV in 200 cc. CS₂. Treat 30 g. of the di-Cl diketone thus obtained with 20 g. I to obtain triethylene glycol bis(2-amino-4-thiazolylphenyl) ether sinters at 150°, m. 165°. In the Friedel-Crafts reaction with 15 g. anisole, 90 g. BrCH₂COBr, and 40 g. IV in 230 g. CS₂, the nonaq. hydrolyzate is extracted with Et₂O; evaporation and addition of 70 cc. EtOH gives bis(bromoacetyl)anisole (X), m. 76-80°. From 3.3 g. X and 1.4 g. I in 30 cc. 60% EtOH after 2 h. heating on the H₂O-bath, the HCl salt ppts. Dissolve the precipitate in 40 cc. hot H₂O containing 1.5 g. NaHCO₃ to precipitate bis(2-amino-4-thiazolyl)anisole, m. 205-8°. The Friedel-Crafts reaction with 120 g. sebacoyl chloride, 150 g. IV in 600 cc. benzene, after hydrolysis gives a white precipitate soluble in addnl. benzene. Wash with dilute Na₂CO₃ solution and dry over CaCl₂; distil off the benzene; recrystn. from alc. gives 1,8-dibenzoyloctane (XI). XI (40 g.) and 180 cc. CCl₄ are heated under reflux with dropwise addition of 40 g. Br. Distillation gives a concentrated solution, which crystallizes on cooling. Purifying the crystalline product by washing with petr. ether gives PhCOCHBr(CH₂)₆CHBrCOPh (XII), m. 83°. XII (40.5 g.) and 12 g. I in 300 cc. 65% EtOH precipitates the HCl salt. Neutralization with Na₂CO₃ gives 36 g. 1,6-bis(2-amino-4-phenyl-5-thiazolyl)hexane, m. 202-4°. The Friedel-Crafts reaction with 16 g. MeCHClCOCl, 10 g. III, and 15 g. IV in 75 cc. CS₂ gives p,p'-bis(α-chloropropionyl)diphenyl ether (XIII), m. 78-85°. XIII (11 g.) and 8 g. I in BuOH precipitate the HCl salt, which on neutralization with Na₂CO₃ ppts. p,p'-bis(5-methyl-2-amino-4-thiazolyl)diphenyl ether, m. 244-6°.

IT 854260-01-8P, Thiazole, 4,4'-(oxydi-p-phenylene)bis[2-amino-4-methyl-, hydrochloride 857549-94-1P, Thiazole, 5,5'-(oxydi-p-phenylene)bis[2-amino-4-methyl-, hydrochloride (4CI) (CA INDEX NAME)

RN 854260-01-8 CAPLUS
CN Ether, bis[p-(2-amino-4-thiazolyl)phenyl] (4CI) (CA INDEX NAME)



RN 857549-92-9 CAPLUS
CN Thiazole, 5,5'-(oxydi-p-phenylene)bis[2-amino-4-methyl-, hydrochloride (4CI) (CA INDEX NAME)



L31 ANSWER 104 OF 104 CAPLUS COPYRIGHT 2007 ACS ON STN

AN 1946:19352 CAPLUS [Full-text](#)

DN 40:19352

OREF 40:3779f-i,3780a-h

TI Thiazoles

IN Simons, John K.

PA Libbey-Owens-Ford Glass Co.

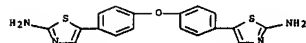
DT Patent

LA Unavailable

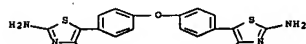
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2396893		19460319	US 1942-453987	19420807

AB Thiazoles are prepared which react with aldehydes to form thermosetting resins. Although 2,2'-diamino-4,4'-bithiazole does not form thermosetting resins with aldehydes, the general formulas for the compds. that form resins are: Ph-A1-(CH₂)_n-A2-Ph and R1-A1-B-A2-R2, where A1 and A2 are bivalent radicals from the 2-amino-4-thiazolyl group; n an integer not greater than 12; R1 and R2 are univalent radicals as H, Me, Et, Pr, iso-Pr, Ph; and benzyl; B is a bivalent radical as phenylene or substituted phenylene with no more than 4 univalent substituents, of which 3 may be Me and 2 may be MeO, EtO, -r1-O-r2-, and -r1-O-(CH₂-CH₂-O)_x-r2-, where r1 and r2 are bivalent radicals as phenylene or substituted phenylene with no more than 2 univalent substituents as MeO and EtO; x is an integer not greater than 6. The 1st step consists of a Friedel-Crafts reaction between an aromatic compound and an acyl halide to prepare a dihalo ketone. In the 2nd step, the dihalo ketone is treated with thiourea (I) to give a hydrohalide, from which is liberated the organic compound on neutralization with a base. The general formula for the acyl halide is (R1)(X1)CH-CO(X2), where X1 and X2 may be Cl or Br and R1 as above. Thus 2 mols. ClCH₂COCl (II) and 1 mol. PhOPh (III) are mixed and slowly added to a stirred suspension of 2 mols. anhydrous AlCl₃ (IV) in 850 cc. CS₂ in an ice bath. After the addition, warm on the water bath for 4 h., pour off the CS₂, and hydrolyze the reddish oil with aqueous HCl. Crystallize the precipitate from alc. to produce 50% p,p'-bis(chloroacetyl)-diphenyl ether (V), white solid, m. 99-102°. In the 2nd step, heat 150 g. V on the H₂O-bath with 250 g. I and 750 cc. H₂O containing 4 cc. concentrated HCl until the HCl salt ppts. Dissolve the precipitate in 9 l. boiling H₂O, filter, neutralize the hot solution with aqueous NaHCO₃. Filter, wash, and recrystallize from the Me ether of ethylene glycol to obtain 44% of p,p'-bis(2-amino-4-thiazolyl)diphenyl ether, m. 246-8°. Dissolve 40 g. NaOH and 94 g. PhOH in 100 cc. H₂O, add 100 cc. alc., and 94 g. (CH₂Br)₂. Reflux 16 h., filter, and cool. Recrystallize from alc. the ethylene glycol di-Ph ether (VII), m. 97°. The Friedel-Crafts reaction with 48 g. VI, 40 cc. II, and 60 g. IV in 300 cc. CS₂ gives the di-Cl diketone (VII), m. 155-65°. VII (30 g.) and 16.5 g. I are dissolved in BuOH and boiled to precipitate the HCl salt, which is neutralized with NaHCO₃ and ppts. ethylene glycol bis(2-amino-4-thiazolylphenyl) ether, m. 255-60°. Diethylene glycol di-Ph ether (VIII), m. 65-70°, is prepared from 72 g. (ClCH₂CH₂)₂O instead of 94 g. (CH₂Br)₂. The Friedel-Crafts reaction is



RN 857549-94-1 CAPLUS
CN Thiazole, 5,5'-(oxydi-p-phenylene)bis[2-amino-4-methyl-, hydrochloride (4CI) (CA INDEX NAME)



SINCE FILE		TOTAL	
COST IN U.S. DOLLARS	ENTRY	SESSION	
549.02	1001.54		

FULL ESTIMATED COST

SINCE FILE		TOTAL	
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	ENTRY	SESSION	
CA SUBSCRIBER PRICE	-81.12	-84.24	

SESSION WILL BE HELD FOR 120 MINUTES
STN INTERNATIONAL SESSION SUSPENDED AT 09:16:55 ON 19 DEC 2007